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NEWS 7 SEP 11 WPIDS, WINDEX, and WPIX now include Japanese FTERM
thesaurus
NEWS 8 OCT 21 Derwent World Patents Index Coverage of Indian and
Taiwanese Content Expanded
NEWS 9 OCT 21 Derwent World Patents Index enhanced with human
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feature for sorting BLAST answer sets
NEWS 14 DEC 02 Derwent World Patent Index: Japanese FI-TERM
thesaurus added
NEWS 15 DEC 02 PCTGEN enhanced with patent family and legal status
display data from INPADOCDB
NEWS 16 DEC 02 USGENE: Enhanced coverage of bibliographic and
sequence information

NEWS EXPRESS MAY 26 09 CURRENT WINDOWS VERSION IS V8.4,
AND CURRENT DISCOVER FILE IS DATED 06 APRIL 2009.

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=> file reg
COST IN U.S. DOLLARS          SINCE FILE      TOTAL
                                ENTRY        SESSION
FULL ESTIMATED COST          0.22          0.22
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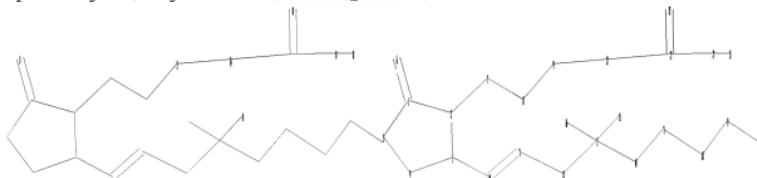
TSCA INFORMATION NOW CURRENT THROUGH June 26, 2009.

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<http://www.cas.org/support/stn/gen/stndoc/properties.html>

=>
Uploading C:\Program Files\STNEXP\Queries\10581619sl.str



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chain nodes :
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ring nodes :
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chain bonds :
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17-18 18-19 19-20 21-22 22-23 22-24 24-25
ring bonds :
1-2 1-5 2-3 3-4 4-5
exact/norm bonds :
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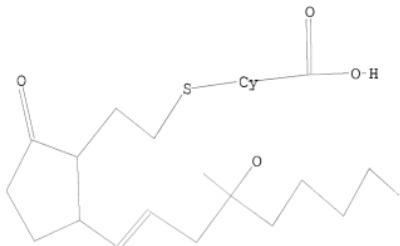
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Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:CLASS 9:CLASS
10:CLASS 11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS
18:CLASS 19:CLASS 20:CLASS 21:Atom 22:CLASS 23:CLASS 24:CLASS 25:CLASS

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss sam
SAMPLE SEARCH INITIATED 11:09:20 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 9 TO ITERATE

100.0% PROCESSED 9 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
 BATCH **COMPLETE**
PROJECTED ITERATIONS: 9 TO 360
PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

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THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 185.40 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y
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FULL SCREEN SEARCH COMPLETED - 253 TO ITERATE

100.0% PROCESSED 253 ITERATIONS 10 ANSWERS
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L3 10 SEA SSS FUL L1

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COST IN U.S. DOLLARS
FULL ESTIMATED COST

SINCE FILE ENTRY TOTAL
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185.88 186.10

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FILE COVERS 1907 - 14 Dec 2009 VOL 151 ISS 25
FILE LAST UPDATED: 13 Dec 2009 (20091213/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Oct 2009
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Aug 2009

CPlus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2009.

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 13
L4 2 L3

=> d ibib abs hitstr 1-2

L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 20061283410 CAPLUS
DOCUMENT NUMBER: 146:39069
TITLE: Agents for regeneration and/or protection of nerves containing prostaglandin EP2 receptor agonists
INVENTOR(S): Ohmoto, Kazuyuki; Kinoshita, Akihiro; Matsuya, Hidekazu
PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 103pp.
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|------------------|----------|
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KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX,
 MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE,
 SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC,
 VN, YU, ZA, ZM, ZW
 RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
 IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
 CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
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 KG, KZ, MD, RU, TJ, TM
 AU 2006253356 A1 20061207 AU 2006-253356 20060602
 CA 2610692 A1 20061207 CA 2006-2610692 20060602
 EP 1886693 A1 20080213 EP 2006-756919 20060602
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 IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR
 ZA 2007010414 A 20081126 ZA 2007-10414 20071130
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 NO 2007006232 A 20080228 NO 2007-6232 20071203
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 KR 2008016926 A 20080222 KR 2008-700009 20080102
 CN 101237885 A 20080806 CN 2006-80028685 20080203
 PRIORITY APPLN. INFO.: JP 2005-164458 A 20050603
 WO 2006-JP11084 W 20060602
 WO 2006-JP311084 W 20060602

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 146:39069

AB An EP2 agonist which may have an EP3 agonistic effect has an effect of regenerating and/or protecting nerves, and is therefore useful as a therapeutic agent for a disease of the peripheral nervous system, such as a lower or upper motor neuron disease, a nerve root disease, plexopathy, thoracic outlet compression syndrome, peripheral neuropathy, neurofibromatosis and neuromuscular transmission disease. An EP2 agonist which has an EP3 agonistic effect is a safe and effective agent for the regeneration and/or protection of nerves which has little influence on the circulatory system. For example 2-[(2-[(1R,2R)-2-[(1E,4S)-5-cyclohexyl-4-hydroxy-4-methyl-1-penten-1-yl]-5-oxocyclopentyl]ethyl]thio]-1,3-thiazole-4-carboxylic acid (I) was prepared, and examined for its effect on cauda equina repair-promoting effect in rats. Also, a tablet containing I 0.5 mg/tablet was formulated.

IT 853999-74-3P 916317-64-1P 916317-68-5P
 916317-76-5P 916317-77-6P 916317-81-2P
 916317-91-4P 916318-01-9P 916318-02-0P

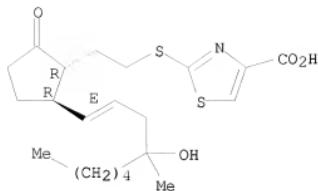
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(agents for regeneration and/or protection of nerves containing prostaglandin EP2 receptor agonists)

RN 853999-74-3 CAPLUS
 CN 4-Thiazolecarboxylic acid, 2-[(2-[(1R,2R)-2-[(1E)-4-hydroxy-4-methyl-1-nonen-1-yl]-5-oxocyclopentyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

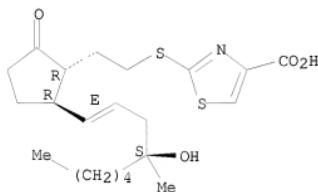


RN 916317-64-1 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(1R,2R)-2-[(1E,4S)-4-hydroxy-4-methyl-1-nonen-1-yl]-5-oxocyclopentyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

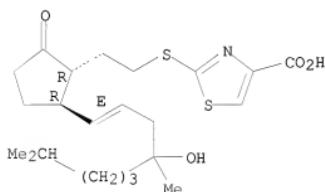


RN 916317-68-5 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(1R,2R)-2-[(1E)-4-hydroxy-4,8-dimethyl-1-nonen-1-yl]-5-oxocyclopentyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

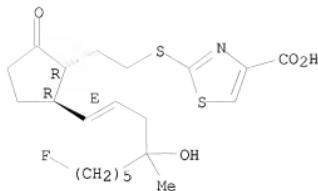


RN 916317-76-5 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(1R,2R)-2-[(1E)-9-fluoro-4-hydroxy-4-methyl-1-nonen-1-yl]-5-oxocyclopentyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

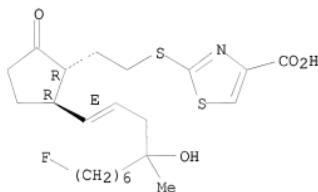


RN 916317-77-6 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(1R,2R)-2-[(1E)-10-fluoro-4-hydroxy-4-methyl-1-decen-1-yl]-5-oxocyclopentyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

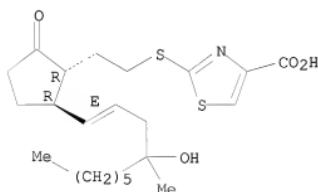


RN 916317-81-2 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(1R,2R)-2-[(1E)-4-hydroxy-4-methyl-1-decen-1-yl]-5-oxocyclopentyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

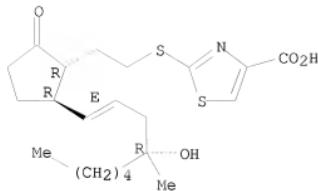


RN 916317-91-4 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(1R,2R)-2-[(1E,4R)-4-hydroxy-4-methyl-1-nonen-1-yl]-5-oxocyclopentyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

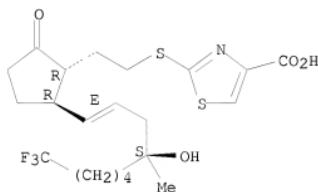


RN 916318-01-9 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(1R,5R)-2-oxo-5-[(1E,4S)-9,9,9-trifluoro-4-hydroxy-4-methyl-1-nonen-1-yl]cyclopentyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

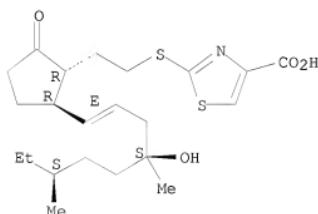


RN 916318-02-0 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(1R,2R)-2-[(1E,4S,7S)-4-hydroxy-4,7-dimethyl-1-nonen-1-yl]-5-oxocyclopentyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:523298 CAPLUS

DOCUMENT NUMBER: 143:53530

TITLE: Blood flow promoters for cauda equina tissues

INVENTOR(S): containing prostaglandin-like compounds
 Ohmoto, Kazuyuki; Kinoshita, Akihiro; Kamanaka,
 Yoshihisa; Matsuya, Hidekazu
 PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan
 SOURCE: PCT Int. Appl., 132 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|------------|
| WO 2005053707 | A1 | 20050616 | WO 2004-JP17961 | 20041202 |
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GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
LK, LR, LS, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,
RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
MR, NE, SN, TD, TG | | | | |
| EP 1707208 | A1 | 20061004 | EP 2004-819909 | 20041202 |
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IE, SI, LT, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS | | | | |
| US 20070129327 | A1 | 20070607 | US 2007-581619 | 20070126 |
| PRIORITY APPLN. INFO.: | | | JP 2003-407675 | A 20031205 |
| | | | WO 2004-JP17961 | W 20041202 |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 143:53530

AB It is intended to provide highly safe and efficacious blood flow promoters for cauda equina tissues. Among prostaglandin-like compds. having a weak hypotensive effect, compds. having an effect of promoting the blood flow in cauda equina tissues (excluding limaprost) are useful as highly safe blood flow promoters for cauda equina tissues and, therefore, are efficacious in preventing and/or treating lumbar pain, lower limb pain, lower limb palsy, intermittent claudication, vesicorectal failure, hypogonadism, etc. caused by cauda equina injuries. Thus, 2-[2-[(4S)-4-[(1E,3R)-3-[1-(4-fluorobutyl)cyclobutyl]-3-hydroxy-1-propenyl]-2-oxo-1,3-oxazolidin-3-yl]ethyl]sulfanyl]-1,3-thiazole-4-carboxylic acid (I) was prepared. The compound I improved blood flow of cauda equina in rats without significantly affecting blood pressure. Also, a tablet containing I 0.5 mg/tablet was formulated.

IT 853999-74-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

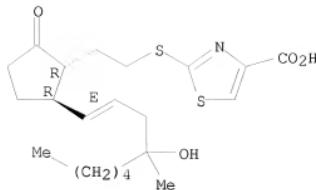
(blood flow promoters for cauda equina tissues containing prostaglandin-like compds.)

RN 853999-74-3 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(1R,2R)-2-[(1E)-4-hydroxy-4-methyl-1-nonen-1-yl]-5-oxocyclopentyl]ethyl]thio)- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD
 (2 CITINGS)
 REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

| | | | |
|--|------------------|---------------|--|
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| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION | |
| FULL ESTIMATED COST | 11.78 | 197.88 | |
| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE ENTRY | TOTAL SESSION | |
| CA SUBSCRIBER PRICE | -1.64 | -1.64 | |

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Connecting via Winsock to STN

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PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

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NEWS 9 OCT 21 Derwent World Patents Index enhanced with human translated claims for Chinese Applications and Utility Models
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NEWS 14 DEC 02 Derwent World Patent Index: Japanese FI-TERM thesaurus added
NEWS 15 DEC 02 PCTGEN enhanced with patent family and legal status display data from INPADOCDB
NEWS 16 DEC 02 USGENE: Enhanced coverage of bibliographic and sequence information

NEWS EXPRESS MAY 26 09 CURRENT WINDOWS VERSION IS V8.4,
AND CURRENT DISCOVER FILE IS DATED 06 APRIL 2009

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FILE 'HOME' ENTERED AT 11:22:11 ON 14 DEC 2009

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COST IN U.S. DOLLARS                               SINCE FILE      TOTAL
                                                    ENTRY          SESSION
FULL ESTIMATED COST                           0.22          0.22
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FILE 'REGISTRY' ENTERED AT 11:22:18 ON 14 DEC 2009
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DICTIONARY FILE UPDATES: 13 DEC 2009 HIGHEST RN 1197170-99-2

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experimental property data in the original document. For information
on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

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ring bonds :
1-2 1-5 2-3 3-4 4-5
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1-2 1-5 1-6 2-3 2-7 3-4 3-10 4-5 7-8 8-9 9-14 10-11 11-12 12-13 14-15
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normalized bonds :
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G1:C,S

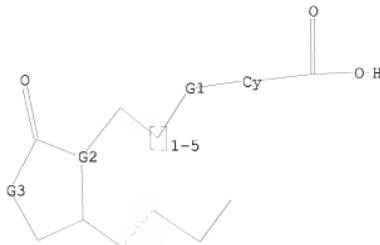
G2:C,N

G3:C,O

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:CLASS 9:CLASS
10:CLASS 11:CLASS 12:CLASS 13:CLASS 14:Atom 15:CLASS 16:CLASS 17:CLASS
18:CLASS

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L1 HAS NO ANSWERS  
L1 STR
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G1 C,S  
G2 C,N  
G3 C,O
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Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SEARCH INITIATED 11:22:48 FILE 'REGISTRY'  
SAMPLE SCREEN SEARCH COMPLETED - 1525 TO ITERATE
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100.0% PROCESSED 1525 ITERATIONS 18 ANSWERS  
SEARCH TIME: 00.00.01
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FULL FILE PROJECTIONS: ONLINE **COMPLETE**  
BATCH **COMPLETE**  
PROJECTED ITERATIONS: 28158 TO 32842  
PROJECTED ANSWERS: 106 TO 614
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L2 18 SEA SSS SAM L1
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THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 185.40 U.S. DOLLARS  
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y  
FULL SEARCH INITIATED 11:22:54 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED - 29703 TO ITERATE
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100.0% PROCESSED 29703 ITERATIONS 325 ANSWERS  
SEARCH TIME: 00.00.01
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L3 325 SEA SSS FUL L1
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COST IN U.S. DOLLARS SINCE FILE TOTAL  
ENTRY SESSION  
FULL ESTIMATED COST 185.88 186.10
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FILE 'CAPLUS' ENTERED AT 11:22:59 ON 14 DEC 2009  
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PLEASE SEE "HELP USAGETERMS" FOR DETAILS.  
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FILE COVERS 1907 - 14 Dec 2009 VOL 151 ISS 25

FILE LAST UPDATED: 13 Dec 2009 (20091213/ED)

REVISED CLASS FIELDS (/NCL) LAST RELOADED: Oct 2009

USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Aug 2009

Cplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2009.

CAS Information Use Policies apply and are available at:

<http://www.cas.org/legal/infopolicy.html>

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 13
L4 38 L3

=> d ibib abs hitstr 1-38

L4 ANSWER 1 OF 38 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 20091048404 CAPLUS
DOCUMENT NUMBER: 151:305240
TITLE: Novel methods for bone treatment by modulating an arachidonic acid metabolic or signaling pathway
INVENTOR(S): O'Connor, James Patrick
PATENT ASSIGNEE(S): Accelalox, Inc., USA
SOURCE: PCT Int. Appl., 89pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|--|----------|-----------------|----------|
| WO 2009105723 | A2 | 20090827 | WO 2009-US34790 | 20090220 |
| WO 2009105723 | A3 | 20091029 | | |
| W: | AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW | | | |
| RW: | AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LV, MC, MK, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA | | | |

PRIORITY APPLN. INFO.:

US 2008-30764P P 20080222

AB Methods for promoting osteogenesis to accelerate or enhance bone fracture healing, treat bone defects, and enhance bone formation are disclosed. The methods rely on *in vivo* or *ex vivo* modulation of an arachidonic acid metabolic or signaling pathway in general, and, in particular, utilize 5-lipoxygenase inhibitors, leukotriene A4 hydrolase inhibitors, and/or leukotriene B4 receptor antagonists. These mols. can be delivered alone or in combination with one or more agents that inhibit bone resorption, regulate calcium resorption from bone, enhance bone accumulation, enhance bone formation, induce bone formation, impair growth of microorganisms, reduce inflammation, and/or reduce pain.

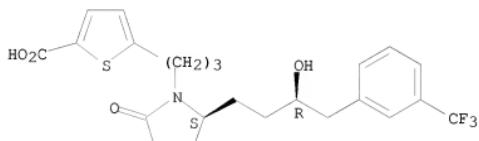
IT 431990-08-8, CP432 431990-08-8D, CP432, derivs.

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(novel methods for bone treatment by modulating an arachidonic acid metabolic or signaling pathway)

RN 431990-08-8 CAPLUS

CN 2-Thiophenecarboxylic acid, 5-[3-[(2S)-2-[(3R)-3-hydroxy-4-[3-(trifluoromethyl)phenyl]butyl]-5-oxo-1-pyrrolidinyl]propyl]- (CA INDEX NAME)

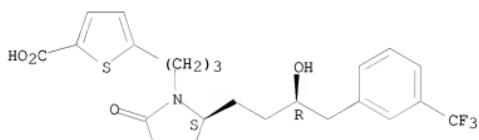
Absolute stereochemistry.



RN 431990-08-8 CAPLUS

CN 2-Thiophenecarboxylic acid, 5-[3-[(2S)-2-[(3R)-3-hydroxy-4-[3-(trifluoromethyl)phenyl]butyl]-5-oxo-1-pyrrolidinyl]propyl]- (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 2 OF 38 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2008:280001 CAPLUS

DOCUMENT NUMBER: 149:323931

TITLE: Pharmacological and functional characterization of novel EP and DP receptor agonists: DP1 receptor mediates penile erection in multiple species

AUTHOR(S): Brugger, Nadia; Kim, Noel N.; Araldi, Gian Luca; Traish, Abdulkhaled M.; Palmer, Stephen S.

CORPORATE SOURCE: EMD Serono Research Institute-Medicinal Chemistry, Rockland, MA, USA

SOURCE: Journal of Sexual Medicine (2008), 5(2), 344-356

CODEN: JSMOAN; ISSN: 1743-6095
PUBLISHER: Blackwell Publishing, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Introduction: Despite the widespread use of prostaglandin E1 as an efficacious treatment for male erectile dysfunction for more than two decades, research on prostanoid function in penile physiol. has been limited. Aim: To characterize the pharmacol. and physiol. activity of novel subtype-selective EP and DP receptor agonists. Methods: Radioligand binding and second messenger assays were used to define receptor subtype specificity of the EP and DP agonists. Functional activity was further characterized using isolated human and rabbit penile cavernosal tissue in organ baths. In vivo activity was assessed in rabbits and rats by measuring changes in cavernous pressure after intracavernosal injection of receptor agonists. Main Outcome Measures: Receptor binding and signal transduction, smooth muscle contractile activity, erectile function. Results: In organ bath preps. of human cavernosal tissue contracted with phenylephrine, EP2- and EP4-selective agonists exhibited variable potency in causing relaxation. One of the compds. caused mild contraction, and none of the compds. was as effective as PGE1 (EC₅₀ = 0.23 µM). There was no consistent correlation between the pharmacol. profile (receptor binding and second messenger assays) of the EP agonists and their effect on cavernosal tissue tone. In contrast, the DP1-selective agonist AS702224 (EC₅₀ = 29 nM) was more effective in relaxing human cavernosal tissue than either PGE1, PGD2 (EC₅₀ = 58 nM), or the DP agonist BW245C (EC₅₀ = 59 nM). In rabbit cavernosal tissue, PGE1 and PGD2 caused only contraction, while AS702224 and BW245C caused relaxation. Intracavernosal administration of AS702224 and BW245C also caused penile tumescence in rabbits and rats. For each compound, the erectile response improved with increasing dose and was significantly higher than vehicle alone. Conclusions: These data suggest that AS702224 is a potent DP1-selective agonist that causes penile erection. The DP1 receptor mediates relaxation in human cavernosal tissue, and stimulates pro-erectile responses in rat and rabbit. Thus, rabbits and rats can be useful models for investigating the physiol. function of DP1 receptors.

IT 757965-74-5

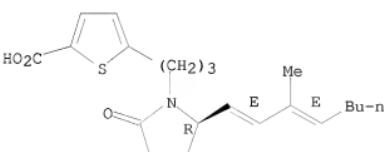
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(DP1-selective agonist AS702224 showed greater potency than AS701919 in improving penile erection in multiple species including rabbit, rat and human)

RN 757965-74-5 CAPLUS

CN 2-Thiophenecarboxylic acid, 5-[3-[(2R)-2-[(1E,3E)-3-methyl-1,3-octadien-1-yl]-5-oxo-1-pyrrolidinylpropyl]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ACCESSION NUMBER: 2007:201135 CAPLUS
 DOCUMENT NUMBER: 1461266827
 TITLE: Methods for bone treatment by modulating an arachidonic acid metabolic or signaling pathway
 INVENTOR(S): O'Connor, James Patrick
 PATENT ASSIGNEE(S): Accelalox, Inc., USA
 SOURCE: PCT Int. Appl., 50pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|------------------|------------|
| WO 2007022427 | A2 | 20070222 | WO 2006-US32367 | 20060818 |
| WO 2007022427 | A3 | 20070830 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JE, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW | | | | |
| RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA | | | | |
| AU 2006279325 | A1 | 20070222 | AU 2006-279325 | 20060818 |
| CA 2619608 | A1 | 20070222 | CA 2006-2619608 | 20060818 |
| EP 1947942 | A2 | 20080730 | EP 2006-801878 | 20060818 |
| R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR | | | | |
| JP 2009504776 | T | 20090205 | JP 2008-527172 | 20060818 |
| CN 101277614 | A | 20081001 | CN 2006-80036641 | 20080402 |
| US 20080280826 | A1 | 20081113 | US 2008-995529 | 20080714 |
| PRIORITY APPLN. INFO.: | | | US 2005-709838P | P 20050818 |
| | | | WO 2006-US32367 | W 20060818 |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

AB Methods for promoting osteogenesis to accelerate or enhance bone fracture healing, treat bone defects, and enhance bone formation are disclosed. The methods modulate an arachidonic acid metabolic or signaling pathway in general, and, in particular, utilize 5-lipoxygenase inhibitors including small interfering RNA (siRNA). These mols. can be delivered alone or in combination with one or more agents that inhibit bone resorption, regulate calcium resorption from bone, enhance bone accumulation, enhance bone formation, induce bone formation, impair growth of microorganisms, reduce inflammation, and/or reduce pain. Administration of 5-lipoxygenase inhibitors nordihydroguaiaretic acid and AA-861 to rats with closed femur fractures resulted in accelerated fracture healing with enhancement of the bone mech. properties.

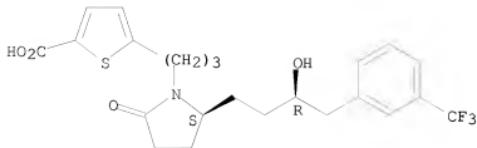
IT 431990-08-8, CP432

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (arachidonic acid metabolic or signaling pathway modulators for bone healing)

RN 431990-08-8 CAPLUS

CN 2-Thiophencarboxylic acid, 5-[3-[(2S)-2-[(3R)-3-hydroxy-4-[3-(trifluoromethyl)phenyl]butyl]-5-oxo-1-pyrrolidinyl]propyl] (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 4 OF 38 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2007:110373 CAPLUS

DOCUMENT NUMBER: 146:177235

TITLE: Inner ear disorder treatment agents containing PGE receptor-binding compounds and vestibulocochlear nerve regeneration and protection agents containing PEG receptor agonists/antagonists

INVENTOR(S): Yotani, Tsutomu; Nishiura, Akio

PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 60pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|----------|-----------------|------------|
| JP 2007023028 | A | 20070201 | JP 2006-165837 | 20060615 |
| PRIORITY APPLN. INFO.: | | | JP 2005-177012 | A 20050616 |

OTHER SOURCE(S): MARPAT 146:177235

AB Agents for prevention, therapy, and/or progression inhibition of inner ear diseases contain compds. showing PGE receptor-binding activity. Also claimed are agents for regeneration and/or protection of vestibulocochlear nerve containing ≥ 1 selected from EP2 agonists, EP4 agonists, EP1 antagonists, and EP3 antagonists. The inner ear disease treatment agents may used in combination with ≥ 1 selected from cholinergic antagonists, antihistaminics, antiviral agents, leukotriene receptor antagonists, anticoagulants, vasodilators, steroids, thrombolytics, vitamin B, their derivs., and inner ear circulation improvers. Thus, i.v. administration of 2-[2-[(2R)-2-[(3,5-dichlorophenoxy)methyl]-5-oxopyrrolidin-1-yl]ethyl]sulfanyl]-1,3-thiazole-4-carboxylic acid (I) as a EP2 agonist to rats promoted skin circulation on the sole. I also promoted HGF production by human lung fibroblast HFL-1 in a dose-dependent manner. Tablets and injection solns. containing (52)-7-[(1R,2R,3R,5R)-5-chloro-2-[(1E,4S)-4-(1-ethylcyclobutyl)-4-hydroxybut-1-enyl]-3-hydroxycyclopentyl]hepta-5-enoic acid were also formulated.

IT 597570-99-5

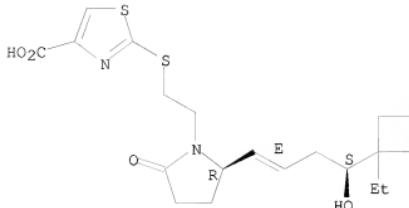
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(inner ear disorder treatment agents containing PGE receptor-binding compds. and vestibulocochlear nerve regeneration and protection agents containing PEG receptor agonists/antagonists)

RN 597570-99-5 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(2R)-2-[(1E,4S)-4-(1-ethylcyclobutyl)-4-hydroxy-1-butyl-1-yl]-5-oxo-1-pyrrolidinyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD
(1 CITINGS)

L4 ANSWER 5 OF 38 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 200611283410 CAPLUS
DOCUMENT NUMBER: 146:39069
TITLE: Agents for regeneration and/or protection of nerves containing prostaglandin EP2 receptor agonists
INVENTOR(S): Ohmoto, Kazuyuki; Kinoshita, Akihiro; Matsuya, Hidekazu
PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 103pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|--|----------|------------------|------------|
| WO 2006129788 | A1 | 20061207 | WO 2006-JP311084 | 20060602 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | RM: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MM, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | |
| AU 2006253356 | A1 | 20061207 | AU 2006-253356 | 20060602 |
| CA 2610692 | A1 | 20061207 | CA 2006-2610692 | 20060602 |
| EP 1886693 | A1 | 20080213 | EP 2006-756919 | 20060602 |
| R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR | | | | |
| ZA 2007010414 | A | 20081126 | ZA 2007-10414 | 20071130 |
| MX 2007015230 | A | 20080221 | MX 2007-15230 | 20071203 |
| NO 2007006232 | A | 20080228 | NO 2007-6232 | 20071203 |
| IN 2007CN05554 | A | 20080328 | IN 2007-CN5554 | 20071203 |
| US 20090227644 | A1 | 20090910 | US 2007-916374 | 20071203 |
| KR 2008016926 | A | 20080222 | KR 2008-700009 | 20080102 |
| CN 101237885 | A | 20080806 | CN 2006-80028685 | 20080203 |
| PRIORITY APPLN. INFO.: | | | JP 2005-164458 | A 20050603 |

WO 2006-JP11084 W 20060602
WO 2006-JP311084 W 20060602

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 146:39069

AB An EP2 agonist which may have an EP3 agonistic effect has an effect of regenerating and/or protecting nerves, and is therefore useful as a therapeutic agent for a disease of the peripheral nervous system, such as a lower or upper motor neuron disease, a nerve root disease, plexopathy, thoracic outlet compression syndrome, peripheral neuropathy, neurofibromatosis and neuromuscular transmission disease. An EP2 agonist which has an EP3 agonistic effect is a safe and effective agent for the regeneration and/or protection of nerves which has little influence on the circulatory system. For example 2-[[2-[(1R,2R)-2-[(1E,4S)-5-cyclohexyl-4-hydroxy-4-methyl-1-penten-1-yl]-5-oxocyclopentyl]ethyl]thio]-1,3-thiazole-4-carboxylic acid (I) was prepared, and examined for its effect on cauda equina repair-promoting effect in rats. Also, a tablet containing I 0.5 mg/tablet was formulated.

IT 916317-88-9P 916317-89-0P

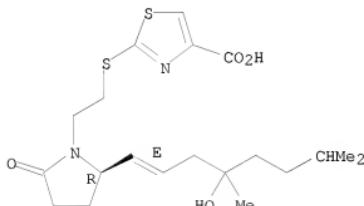
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(agents for regeneration and/or protection of nerves containing prostaglandin EP2 receptor agonists)

RN 916317-88-9 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[[2-[(2R)-2-[(1E)-4-hydroxy-4,7-dimethyl-1-octen-1-yl]-5-oxo-1-pyrrolidinyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

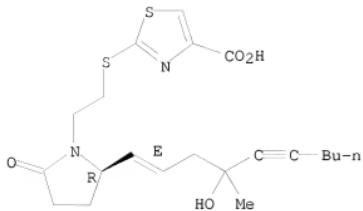


RN 916317-89-0 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[[2-[(2R)-2-[(1E)-4-hydroxy-4-methyl-1-decen-5-yn-1-yl]-5-oxo-1-pyrrolidinyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



| | | | |
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| IT | 853999-73-2P | 853999-74-3P | 916317-64-1P |
| | 916317-65-2P | 916317-66-3P | 916317-67-4P |
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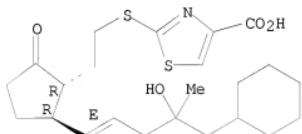
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(agents for regeneration and/or protection of nerves containing prostaglandin EP2 receptor agonists)

RN 853999-73-2 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(1R,2R)-2-[(1E)-5-cyclohexyl-4-hydroxy-4-methyl-1-penten-1-yl]-5-oxocyclopentyl]ethyl]thio)- (CA INDEX NAME)

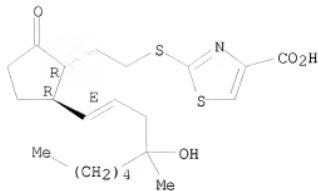
Absolute stereochemistry.
Double bond geometry as shown.



RN 853999-74-3 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(1R,2R)-2-[(1E)-4-hydroxy-4-methyl-1-nonen-1-yl]-5-oxocyclopentyl]ethyl]thio)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

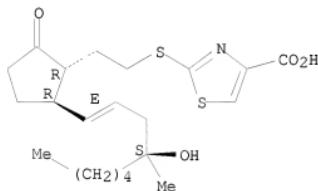


RN 916317-64-1 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[[2-[(1R,2R)-2-[(1E,4S)-4-hydroxy-4-methyl-1-penten-1-yl]ethoxy]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

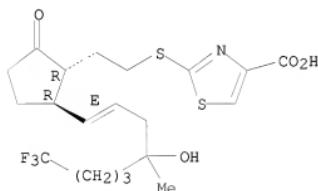


RN 916317-65-2 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[[2-[(1R,5R)-2-oxo-5-[(1E)-8,8,8-trifluoro-4-hydroxy-4-methyl-1-octen-1-yl]cyclopentyl]ethoxy]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

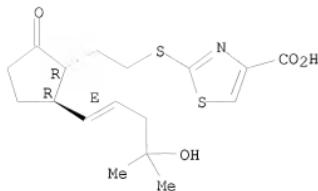


RN 916317-66-3 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[[2-[(1R,2R)-2-[(1E)-4-hydroxy-4-methyl-1-penten-1-yl]ethoxy]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

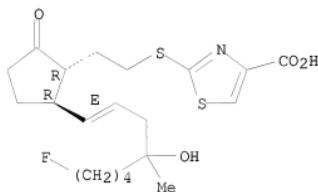


RN 916317-67-4 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(1R,2R)-2-[(1E)-8-fluoro-4-hydroxy-4-methyl-1-octen-1-yl]-5-oxocyclopentyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

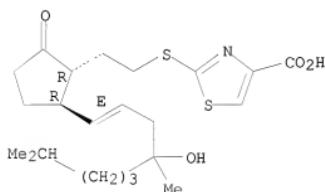


RN 916317-68-5 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(1R,2R)-2-[(1E)-4-hydroxy-4,8-dimethyl-1-nonen-1-yl]-5-oxocyclopentyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

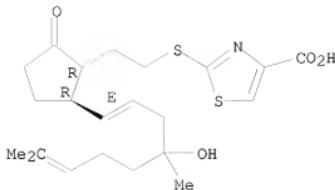


RN 916317-69-6 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(1R,2R)-2-[(1E)-4-hydroxy-4,8-dimethyl-1,7-nonadien-1-yl]-5-oxocyclopentyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

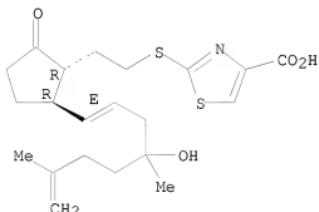


RN 916317-70-9 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(1R,2R)-2-[(1E)-4-hydroxy-4,7-dimethyl-1,7-octadien-1-yl]-5-oxocyclopentyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

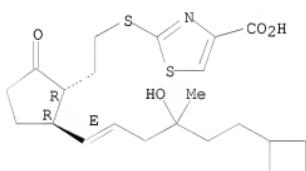


RN 916317-71-0 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(1R,2R)-2-[(1E)-6-cyclobutyl-4-hydroxy-4-methyl-1-hexen-1-yl]-5-oxocyclopentyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

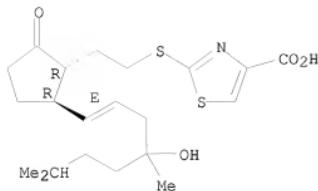


RN 916317-72-1 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(1R,2R)-2-[(1E)-4-hydroxy-4,7-dimethyl-1-octen-1-yl]-5-oxocyclopentyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

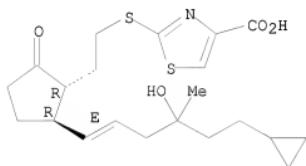


RN 916317-73-2 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(1R,2R)-2-[(1E)-6-cyclopropyl-4-hydroxy-4-methyl-1-hexen-1-yl]-5-oxocyclopentyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

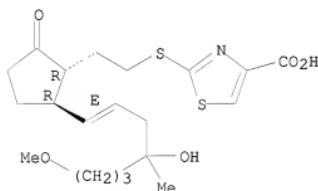


RN 916317-74-3 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(1R,2R)-2-[(1E)-4-hydroxy-7-methoxy-4-methyl-1-hepten-1-yl]-5-oxocyclopentyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

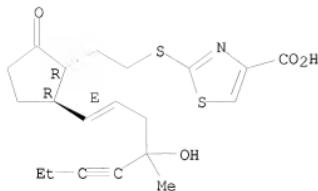


RN 916317-75-4 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(1R,2R)-2-[(1E)-4-hydroxy-4-methyl-1-octen-5-yn-1-yl]-5-oxocyclopentyl]ethyl]thio)- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

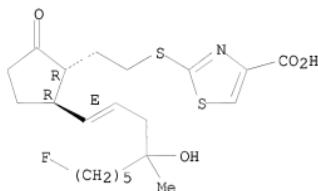


RN 916317-76-5 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(1R,2R)-2-[(1E)-9-fluoro-4-hydroxy-4-methyl-1-nonene-1-yl]-5-oxocyclopentyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

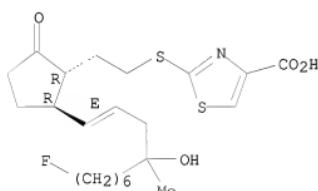


RN 916317-77-6 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(1R,2R)-2-[(1E)-10-fluoro-4-hydroxy-4-methyl-1-decen-1-yl]-5-oxocyclopentyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

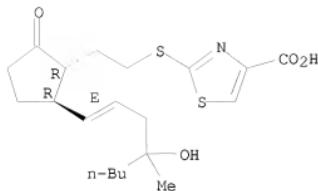


RN 916317-78-7 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(1R,2R)-2-[(1E)-4-hydroxy-4-methyl-1-octen-1-yl]-5-oxocyclopentyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

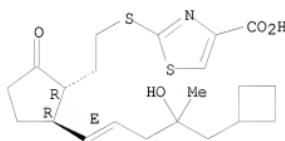


RN 916317-79-8 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(1R,2R)-2-[(1E)-5-cyclobutyl-4-hydroxy-4-methyl-1-penten-1-yl]-5-oxocyclopentyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

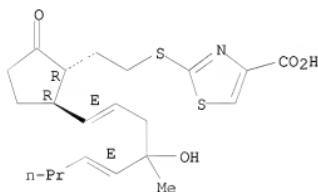


RN 916317-80-1 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(1R,2R)-2-[(1E,5E)-4-hydroxy-4-methyl-1,5-nonadien-1-yl]-5-oxocyclopentyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

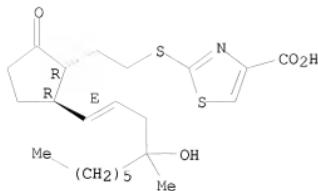


RN 916317-81-2 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(1R,2R)-2-[(1E)-4-hydroxy-4-methyl-1-decen-1-yl]-5-oxocyclopentyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

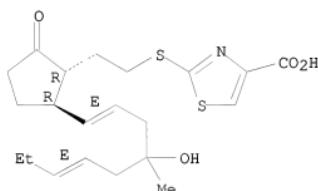


RN 916317-82-3 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(1R,2R)-2-[(1E,6E)-4-hydroxy-4-methyl-1,6-nonadien-1-yl]-5-oxocyclopentyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

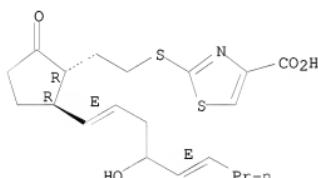


RN 916317-83-4 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(1R,2R)-2-[(1E,5E)-4-hydroxy-1,5-nonadien-1-yl]-5-oxocyclopentyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

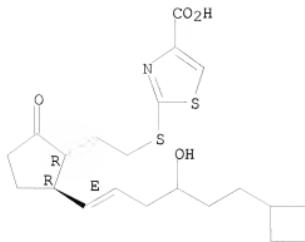


RN 916317-84-5 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(1R,2R)-2-[(1E)-6-cyclobutyl-4-hydroxy-1-hexen-1-yl]-5-oxocyclopentyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

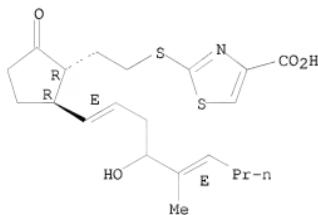


RN 916317-85-6 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(1R,2R)-2-[(1E,5E)-4-hydroxy-5-methyl-1,5-nonadien-1-yl]-5-oxocyclopentyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

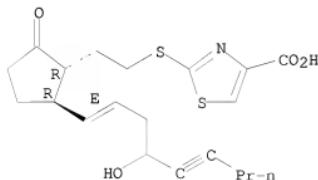


RN 916317-86-7 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(1R,2R)-2-[(1E)-4-hydroxy-1-non-en-5-yn-1-yl]-5-oxocyclopentyl]ethyl]thio)- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

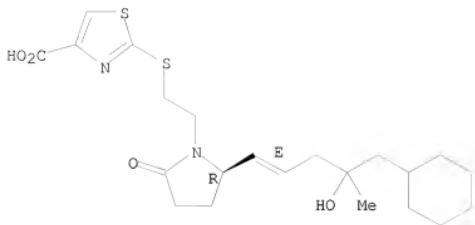


RN 916317-87-8 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(2R)-2-[(1E)-5-cyclohexyl-4-hydroxy-4-methyl-1-penten-1-yl]-5-oxo-1-pyrrolidinyl]ethyl]thio)- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

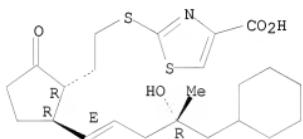


RN 916317-90-3 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(1R,2R)-2-[(1E,4R)-5-cyclohexyl-4-hydroxy-4-methyl-1-penten-1-yl]-5-oxocyclopentyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

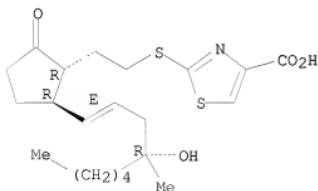


RN 916317-91-4 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(1R,2R)-2-[(1E,4R)-4-hydroxy-4-methyl-1-nonen-1-yl]-5-oxocyclopentyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

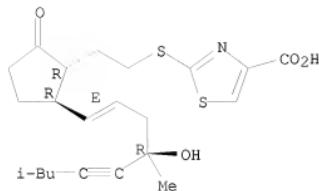


RN 916317-92-5 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(1R,2R)-2-[(1E,4R)-4-hydroxy-4-(dimethyl-1-nonen-5-yn-1-yl)-5-oxocyclopentyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

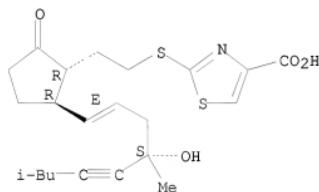


RN 916317-93-6 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(1R,2R)-2-[(1E,4S)-4-hydroxy-4,8-dimethyl-1-nonene-5-yn-1-yl]-5-oxocyclopentyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

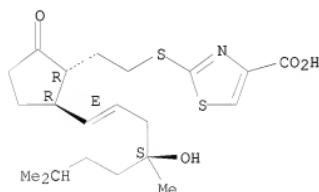


RN 916317-94-7 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(1R,2R)-2-[(1E,4S)-4-hydroxy-4,7-dimethyl-1-octen-1-yl]-5-oxocyclopentyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

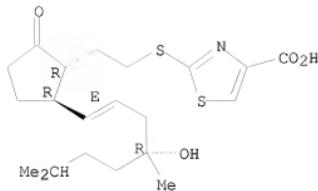


RN 916317-95-8 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(1R,2R)-2-[(1E,4R)-4-hydroxy-4,7-dimethyl-1-octen-1-yl]-5-oxocyclopentyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

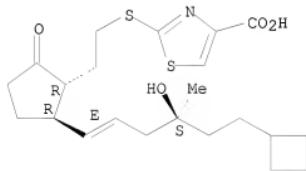


RN 916317-96-9 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[[2-[(1R,2R)-2-[(1E,4S)-6-cyclobutyl-4-hydroxy-4-methyl-1-hexen-1-yl]-5-oxocyclopentyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

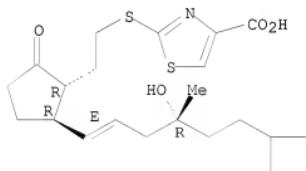


RN 916317-97-0 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[[2-[(1R,2R)-2-[(1E,4R)-6-cyclobutyl-4-hydroxy-4-methyl-1-hexen-1-yl]-5-oxocyclopentyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

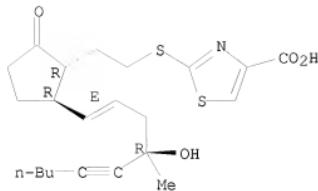


RN 916317-98-1 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[[2-[(1R,2R)-2-[(1E,4R)-4-hydroxy-4-methyl-1-decen-5-yn-1-yl]-5-oxocyclopentyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

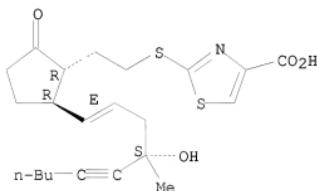


RN 916317-99-2 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(1R,2R)-2-[(1E,4S)-4-hydroxy-4-methyl-1-decen-5-yn-1-yl]-5-oxocyclopentyl]ethyl)thio]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

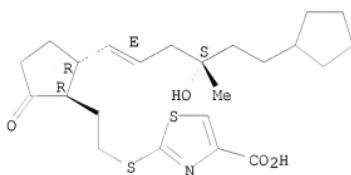


RN 916318-00-8 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(1R,2R)-2-[(1E,4S)-6-cyclopentyl-4-hydroxy-4-methyl-1-hexen-1-yl]-5-oxocyclopentyl]ethyl)thio]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

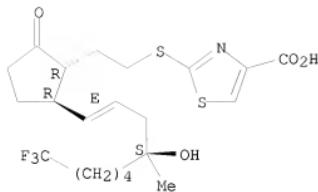


RN 916318-01-9 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(1R,5R)-2-oxo-5-[(1E,4S)-9,9,9-trifluoro-4-hydroxy-4-methyl-1-nonen-1-yl]cyclopentyl]ethyl)thio]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

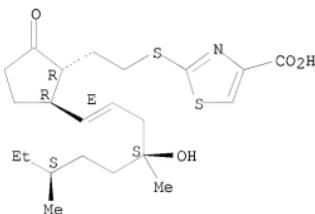


RN 916318-02-0 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[[2-[(1R,2R)-2-[(1E,4S)-4-hydroxy-4,7-dimethyl-1-nonen-1-yl]-5-oxocyclopentyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

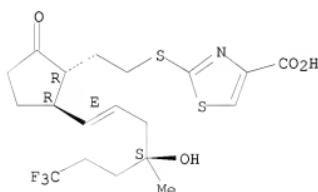


RN 916318-03-1 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[[2-[(1R,5R)-2-oxo-5-[(1E,4S)-7,7,7-trifluoro-4-hydroxy-4-methyl-1-hepten-1-yl)cyclopentyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

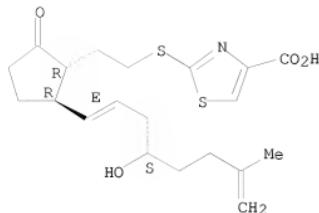


RN 916318-04-2 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[[2-[(1R,2R)-2-[(1E,4S)-4-hydroxy-7-methyl-1,7-octadien-1-yl]-5-oxocyclopentyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

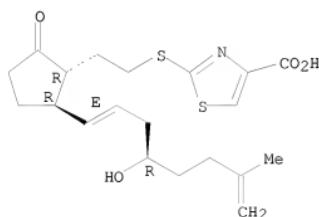


RN 916318-05-3 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[[2-[(1R,2R)-2-[(1E,4R)-4-hydroxy-7-methyl-1,7-octadien-1-yl]-5-oxocyclopentyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

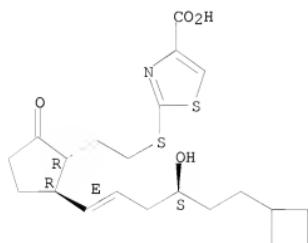


RN 916318-06-4 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[[2-[(1R,2R)-2-[(1E,4S)-6-cyclobutyl-4-hydroxy-1-hexen-1-yl]-5-oxocyclopentyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

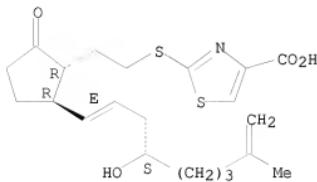


RN 916318-07-5 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[[2-[(1R,2R)-2-[(1E,4S)-4-hydroxy-8-methyl-1,8-nonadien-1-yl]-5-oxocyclopentyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

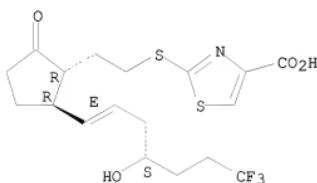


RN 916318-08-6 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[[2-[(1R,5R)-2-oxo-5-[(1E,4S)-7,7,7-trifluoro-4-hydroxy-1-hepten-1-yl]cyclopentyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

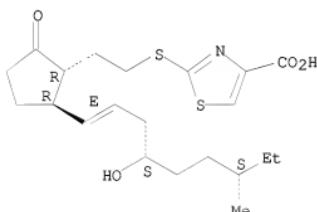


RN 916318-09-7 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[[2-[(1R,2R)-2-[(1E,4S,7S)-4-hydroxy-7-methyl-1-nonen-1-yl]cyclopentyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

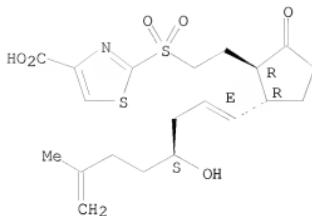


RN 916318-10-0 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[[2-[(1R,2R)-2-[(1E,4S)-4-hydroxy-7-methyl-1,7-octadien-1-yl]cyclopentyl]ethyl]sulfonyl]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

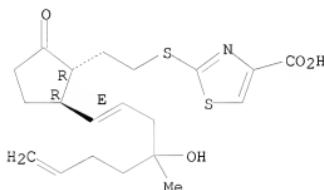


RN 916318-11-1 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(1R,2R)-2-[(1E)-4-hydroxy-4-methyl-1,7-octadien-1-yl]-5-oxocyclopentyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

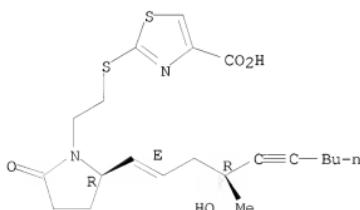


RN 916318-12-2 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(2R)-2-[(1E,4R)-4-hydroxy-4-methyl-1-decen-5-yn-1-yl]-5-oxo-1-pyrrolidinyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

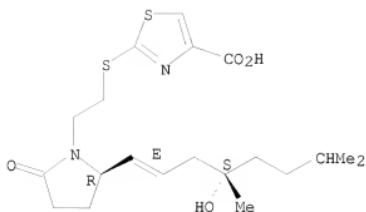


RN 916318-13-3 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(2R)-2-[(1E,4S)-4-hydroxy-4,7-dimethyl-1-octen-1-yl]-5-oxo-1-pyrrolidinyl]ethyl]thio)- (CA INDEX NAME)

Absolute stereochemistry.

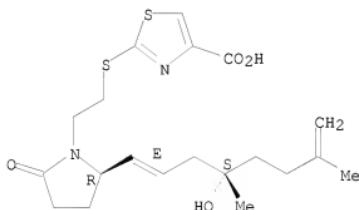
Double bond geometry as shown.



RN 916318-14-4 CAPLUS

CN 4-Thiazoletcarboxylic acid, 2-[(2R)-2-((1E,4S)-4-hydroxy-4,7-dimethyl-1,7-octadien-1-yl)-5-oxo-1-pyrrolidinyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 38 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:1247594 CAPLUS

DOCUMENT NUMBER: 146:780

TITLE: Preventives and/or remedies for neurodegeneration containing prostaglandin EP4 receptor agonists

INVENTOR(S): Maruyama, Takayuki

PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 59pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|-------|----------|-----------------|----------|
| ----- | ----- | ----- | ----- | ----- |
| JP 2006321737 | A | 20061130 | JP 2005-144960 | 20050518 |

PRIORITY APPLN. INFO.: MARPAT 146:780

OTHER SOURCE(S): AB The invention relates to a preventive and/or remedy for neurodegeneration characterized by containing a prostaglandin EP4 receptor agonist having excitatory amino acid-induced neuronal apoptosis-protecting effect. The preventive and/or remedy may further include other active agent, e.g. a

dopamine receptor agonist, monoamine oxidase inhibitor, COMT inhibitor, etc. For example, the effect of [13-[(1R,2S,3R)-3-hydroxy-2-[(1E,3S)-3-hydroxy-4-[3-(methoxymethyl)phenyl]but-1-enyl]-5-oxocyclopentyl]sulfanyl]propyl)sulfanyl]acetic acid (I) on NMDA-induced neuronal death model mice was examined. Also, a tablet containing I 30 µg/tablet was formulated.

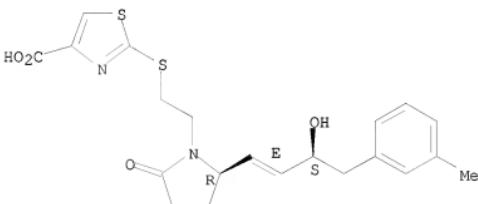
IT 494223-86-8 494223-92-6 597571-01-2
729611-52-3

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preventives and/or remedies for neurodegeneration containing prostaglandin EP4 receptor agonists)

RN 494223-86-8 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(2R)-2-[(1E,3S)-3-hydroxy-4-(3-methylphenyl)-1-buten-1-yl]-5-oxo-1-pyrrolidinyl]ethyl]thio]- (CA INDEX NAME)

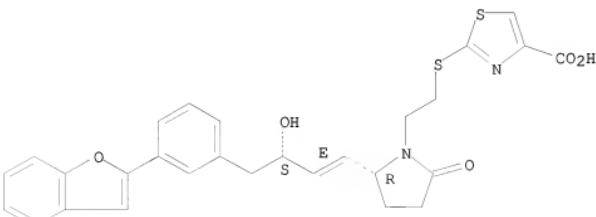
Absolute stereochemistry.
Double bond geometry as shown.



RN 494223-92-6 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(2R)-2-[(1E,3S)-4-[3-(2-benzoferanyl)phenyl]-3-hydroxy-1-buten-1-yl]-5-oxo-1-pyrrolidinyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

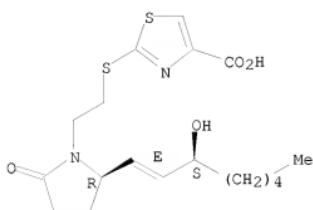


RN 597571-01-2 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(2R)-2-[(1E,3S)-3-hydroxy-1-octen-1-yl]-5-oxo-1-pyrrolidinyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

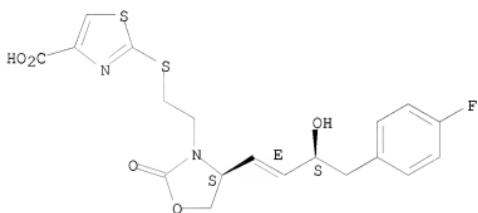


RN 729611-52-3 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[[2-[(4S)-4-[(1E,3S)-4-(4-fluorophenyl)-3-hydroxy-1-butene-1-yl]-2-oxo-3-oxazolidinyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



L4 ANSWER 7 OF 38 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:426911 CAPLUS

DOCUMENT NUMBER: 145:306691

TITLE: A nonprostanoid EP4 receptor selective prostaglandin E2 agonist restores bone mass and strength in aged, ovariectomized rats

AUTHOR(S): Ke, Hua Zhu; Crawford, D. Todd; Qi, Hong; Simmons, Hollis A.; Owen, Thomas A.; Paralkar, Vishwas M.; Li, Mei; Lu, Bihong; Grasser, William A.; Cameron, Kimberly O.; Lefker, Bruce A.; DaSilva-Jardine, Paul; Scott, Dennis O.; Zhang, Qing; Tian, Xiao Yan; Jee, Webster S. S.; Brown, Thomas A.; Thompson, David D.

CORPORATE SOURCE: Groton Laboratories, Pfizer Global Research and Development, Groton, CT, USA

SOURCE: Journal of Bone and Mineral Research (2006), 21(4), 565-575

CODEN: JBMREJ; ISSN: 0884-0431

PUBLISHER: American Society for Bone and Mineral Research

DOCUMENT TYPE: Journal

LANGUAGE: English

AB CP432 is a newly discovered, nonprostanoid EP4 receptor selective prostaglandin E2 agonist. CP432 stimulates trabecular and cortical bone formation and restores bone mass and bone strength in aged ovariectomized rats with established osteopenia. Introduction: The purpose of this study

was to determine whether a newly discovered, nonprostanoid EP4 receptor selective prostaglandin E2 (PGE2) agonist, CP432, could produce bone anabolic effects in aged, ovariectomized (OVX) rats with established osteopenia. Materials and Methods: CP432 at 0.3, 1, or 3 mg/kg/day was given for 6 wk by s.c. injection to 12-mo-old rats that had been OVX for 8.5 mo. The effects on bone mass, bone formation, bone resorption, and bone strength were determined. Results: Total femoral BMD increased significantly in OVX rats treated with CP432 at all doses. CP432 completely restored trabecular bone volume of the third lumbar vertebral body accompanied with a dose-dependent decrease in osteoclast number and osteoclast surface and a dose-dependent increase in mineralizing surface, mineral apposition rate, and bone formation rate-tissue reference in OVX rats. CP432 at 1 and 3 mg/kg/day significantly increased total tissue area, cortical bone area, and periosteal and endocortical bone formation in the tibial shafts compared with both sham and OVX controls. CP432 at all doses significantly and dose-dependently increased ultimate strength in the fifth lumbar vertebral body compared with both sham and OVX controls. At 1 and 3 mg/kg/day, CP432 significantly increased maximal load in a three-point bending test of femoral shaft compared with both sham and OVX controls. Conclusions: CP432 completely restored trabecular and cortical bone mass and strength in established osteopenic, aged OVX rats by stimulating bone formation and inhibiting bone resorption on trabecular and cortical surfaces.

IT 431990-08-8, CP 432

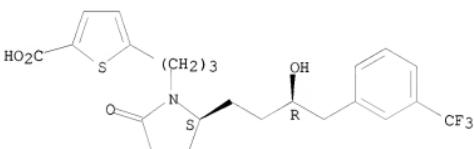
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(nonprostanoid EP4 receptor selective prostaglandin E2 agonist CP432 stimulates trabecular and cortical bone formation and restores bone mass and bone strength in aged ovariectomized rat with osteopenia)

RN 431990-08-8 CAPLUS

CN 2-Thiophencarboxylic acid, 5-[3-[(2S)-2-[(3R)-3-hydroxy-4-[3-(trifluoromethyl)phenyl]butyl]-5-oxo-1-pyrrolidinyl]propyl]- (CA INDEX NAME)

Absolute stereochemistry.



| | | |
|----------------------|----|---|
| OS.CITING REF COUNT: | 18 | THERE ARE 18 CAPLUS RECORDS THAT CITE THIS RECORD (18 CITINGS) |
| REFERENCE COUNT: | 37 | THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT |

| | | |
|---------------------|---|---------------------------|
| L4 ANSWER 8 OF 38 | CAPLUS | COPYRIGHT 2009 ACS on STN |
| ACCESSION NUMBER: | 2006:381177 | CAPLUS |
| DOCUMENT NUMBER: | 144:419688 | |
| TITLE: | Medicinal composition containing EP2 agonists for inhalation | |
| INVENTOR(S): | Yamamoto, Shigeki; Shiroya, Tsutomu; Kadode, Michiaki; Maruyama, Toru; Tani, Kousuke; Nagase, Toshihiko | |
| PATENT ASSIGNEE(S): | Ono Pharmaceutical Co., Ltd., Japan | |
| SOURCE: | PCT Int. Appl., 79 pp. | |
| DOCUMENT TYPE: | CODEN: PIXD2 | |
| | Patent | |

LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|------------|
| WO 2006043655 | A1 | 20060427 | WO 2005-JP19376 | 20051021 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| EP 1806148 | A1 | 20070711 | EP 2005-795486 | 20051021 |
| R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR | | | | |
| US 20080114043 | A1 | 20080515 | US 2007-665966 | 20070420 |
| PRIORITY APPLN. INFO.: | | | JP 2004-307902 | A 20041022 |
| | | | WO 2005-JP19376 | W 20051021 |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 144:419688

AB Disclosed is a medicinal composition for inhalation containing a continuous-release

type prodrug of an EP2 agonist topically exhibits a prolonged bronchodilating and antiinflammatory effects. Namely, the medicinal composition for inhalation containing a continuous-release type prodrug of an

EP2

agonist is useful as a safe preventive and/or a remedy for respiratory diseases (for example, asthma, pulmonary injury, pulmonary fibrosis, pulmonary emphysema, bronchitis, chronic obstructive pulmonary disease, adult respiratory distress syndrome, cystic fibrosis, pulmonary hypertension or the like) without causing any systemic effect such as lowering blood pressure. Thus, a safe and useful remedy for respiratory diseases is provided. For example, the long-lasting bronchodilatory effect of undecyl 2-[(2-[(2R)-2-[(3,5-dichlorophenoxy)methyl]-5-oxopyrrolidin-1-yl]ethyl)sulfanyl]-1,3-thiazole-4-carboxylate was examined in guinea pigs.

IT 597570-99-5

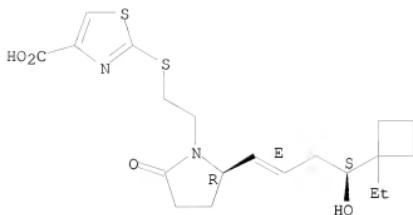
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(medicinal composition containing EP2 agonists for inhalation)

RN 597570-99-5 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(2R)-2-[(1E,4S)-4-(1-ethylcyclobutyl)-4-hydroxy-1-but-en-1-yl]-5-oxo-1-pyrrolidinyl]ethyl]thio)- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



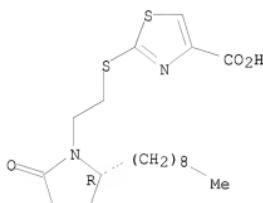
IT 883978-52-7

RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of medicinal composition containing EP2 agonists for inhalation)

RN 883978-52-7 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(2R)-2-nonyl-5-oxo-1-pyrrolidinyl]ethyl)thio]- (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD
(1 CITINGS)

REFERENCE COUNT: 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 38 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:188867 CAPLUS

DOCUMENT NUMBER: 144:412311

TITLE: Discovery of highly selective EP4 receptor agonists that stimulate new bone formation and restore bone mass in ovariectomized rats

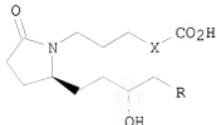
AUTHOR(S): Cameron, Kimberly O.; Lefker, Bruce A.; Chu-Moyer, Margaret Y.; Crawford, David T.; Jardine, Paul DaSilva; DeNinno, Shari L.; Gilbert, Sandra; Grasser, William A.; Ke, Huazhu; Lu, Bihong; Owen, Thomas A.; Paralkar, Vishwas M.; Qi, Hong; Scott, Dennis O.; Thompson, David D.; Tjoa, Christina M.; Zawistoski, Michael P.

CORPORATE SOURCE: Groton Laboratories, Department of Cardiovascular and Metabolic Diseases, Pfizer Global Research and Development, Groton, CT, 06340, USA

SOURCE: Bioorganic & Medicinal Chemistry Letters (2006), 16(7), 1799-1802

CODEN: BMCL8; ISSN: 0960-894X

PUBLISHER: Elsevier B.V.
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 144:412311
 GI



AB Heptanoic acid lactams and analogs I [X = (CH₂)₃, 1,4-phenylene, 2,5-thiophenediyl; R = Ph, 4-FC₆H₄, 3-PhOC₆H₄, 2-naphthyl, etc.] were identified as highly selective EP4 agonists via high throughput screening. Lead optimization led to the identification of lactams with a 30-fold increase in EP4 potency in vitro. Compds. demonstrated robust bone anabolic effects when administered in vivo in rat models of osteoporosis.

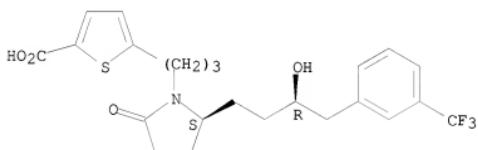
IT 431990-08-8P

RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (preparation of carboxylic acid-functionalized (hydroxyalkyl)pyrrolidinones as selective EP4 receptor agonists that stimulate new bone formation and restore bone mass in ovariectomized rats)

RN 431990-08-8 CAPLUS

CN 2-Thiophencarboxylic acid, 5-[3-[(2S)-2-[(3R)-3-hydroxy-4-[3-(trifluoromethyl)phenyl]butyl]-5-oxo-1-pyrrolidinyl]propyl]- (CA INDEX NAME)

Absolute stereochemistry.

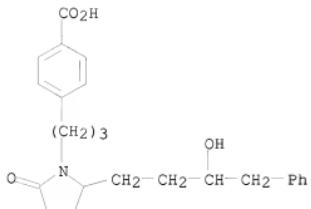


IT 431988-78-2P 431988-90-8P 431988-96-4P
 431989-00-3P 431989-07-0P 431989-10-5P
 431989-58-1P 431989-71-8P 431989-74-1P
 431989-79-6P 431989-84-3P 431989-90-1P
 431990-00-0P 431990-04-4P 884490-38-4P
 884490-40-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (preparation of carboxylic acid-functionalized (hydroxyalkyl)pyrrolidinones as selective EP4 receptor agonists that stimulate new bone formation and restore bone mass in ovariectomized rats)

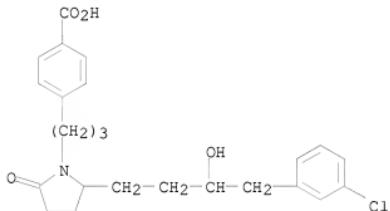
RN 431988-78-2 CAPLUS

CN Benzoic acid, 4-[3-[2-(3-hydroxy-4-phenylbutyl)-5-oxo-1-pyrrolidinyl]propyl]- (CA INDEX NAME)



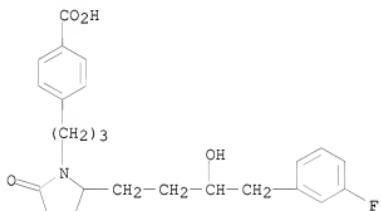
RN 431988-90-8 CAPLUS

CN Benzoic acid, 4-[3-[2-[4-(3-chlorophenyl)-3-hydroxybutyl]-5-oxo-1-pyrrolidinyl]propyl]- (CA INDEX NAME)



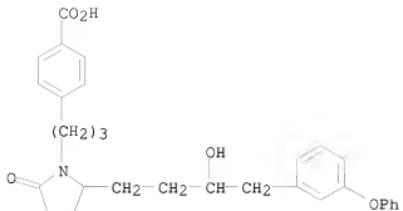
RN 431988-96-4 CAPLUS

CN Benzoic acid, 4-[3-[2-[4-(3-fluorophenyl)-3-hydroxybutyl]-5-oxo-1-pyrrolidinyl]propyl]- (CA INDEX NAME)

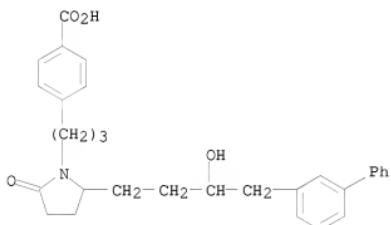


RN 431989-00-3 CAPLUS

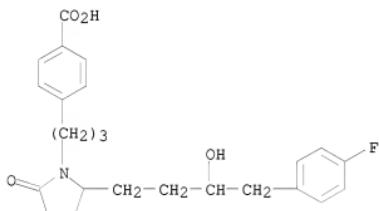
CN Benzoic acid, 4-[3-[2-[3-hydroxy-4-(3-phenoxyphenyl)butyl]-5-oxo-1-pyrrolidinyl]propyl]- (CA INDEX NAME)



RN 431989-07-0 CAPLUS
 CN Benzoic acid, 4-[3-{2-[4-(1,1'-biphenyl)-3-yl-3-hydroxybutyl]-5-oxo-1-pyrrolidinyl}propyl]- (CA INDEX NAME)

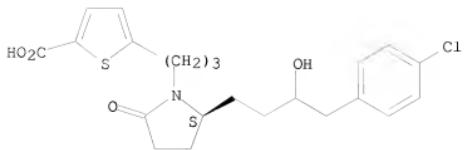


RN 431989-10-5 CAPLUS
 CN Benzoic acid, 4-[3-{2-[4-(4-fluorophenyl)-3-hydroxybutyl]-5-oxo-1-pyrrolidinyl}propyl]- (CA INDEX NAME)



RN 431989-58-1 CAPLUS
 CN 2-Thiophencarboxylic acid, 5-[3-[(2S)-2-[4-(4-chlorophenyl)-3-hydroxybutyl]-5-oxo-1-pyrrolidinyl]propyl]- (CA INDEX NAME)

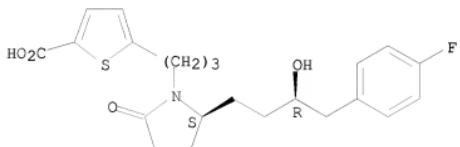
Absolute stereochemistry.



RN 431989-71-8 CAPLUS

CN 2-Thiophenecarboxylic acid, 5-[3-[(2S)-2-[(3R)-4-(4-fluorophenyl)-3-hydroxybutyl]-5-oxo-1-pyrrolidinyl]propyl]- (CA INDEX NAME)

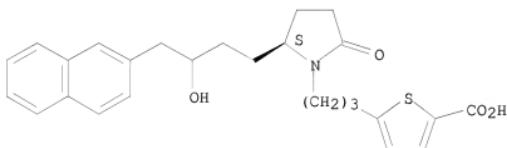
Absolute stereochemistry.



RN 431989-74-1 CAPLUS

CN 2-Thiophenecarboxylic acid, 5-[3-[(2S)-2-[(3R)-4-(2-naphthalenyl)-3-hydroxybutyl]-5-oxo-1-pyrrolidinyl]propyl]- (CA INDEX NAME)

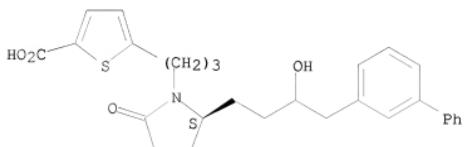
Absolute stereochemistry.



RN 431989-79-6 CAPLUS

CN 2-Thiophenecarboxylic acid, 5-[3-[(2S)-2-[(4-[1,1'-biphenyl]-3-yl)-3-hydroxybutyl]-5-oxo-1-pyrrolidinyl]propyl]- (CA INDEX NAME)

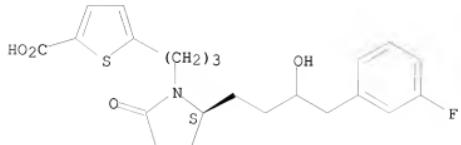
Absolute stereochemistry.



RN 431989-84-3 CAPLUS

CN 2-Thiophenecarboxylic acid, 5-[3-[(2S)-2-[(4-(3-fluorophenyl)-3-hydroxybutyl]-5-oxo-1-pyrrolidinyl]propyl]- (CA INDEX NAME)

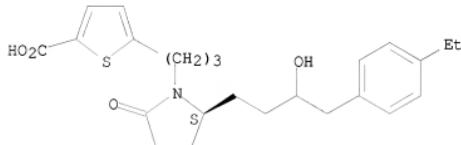
Absolute stereochemistry.



RN 431989-90-1 CAPLUS

CN 2-Thiophenecarboxylic acid, 5-[3-[(2S)-2-[4-(4-ethylphenyl)-3-hydroxybutyl]-5-oxo-1-pyrrolidinyl]propyl]- (CA INDEX NAME)

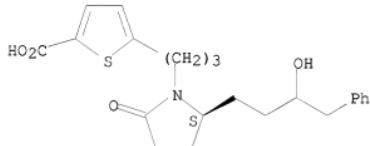
Absolute stereochemistry.



RN 431990-00-0 CAPLUS

CN 2-Thiophenecarboxylic acid, 5-[3-[(2S)-2-(3-hydroxy-4-phenylbutyl)-5-oxo-1-pyrrolidinyl]propyl]- (CA INDEX NAME)

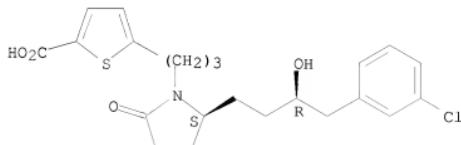
Absolute stereochemistry.



RN 431990-04-4 CAPLUS

CN 2-Thiophenecarboxylic acid, 5-[3-[(2S)-2-[4-(3-chlorophenyl)-3-hydroxybutyl]-5-oxo-1-pyrrolidinyl]propyl]- (CA INDEX NAME)

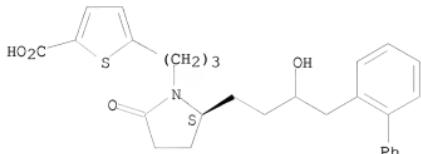
Absolute stereochemistry.



RN 884490-38-4 CAPLUS

CN 2-Thiophenecarboxylic acid, 5-[3-[(2S)-2-(4-[1,1'-biphenyl]-2-yl-3-hydroxybutyl)-5-oxo-1-pyrrolidinyl]propyl]- (CA INDEX NAME)

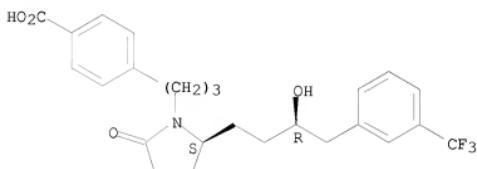
Absolute stereochemistry.



RN 884490-40-8 CAPLUS

CN Benzoic acid, 4-[3-[(2S)-2-[(3R)-3-hydroxy-4-[3-(trifluoromethyl)phenyl]butyl]-5-oxo-1-pyrrolidinyl]propyl]- (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD
(5 CITINGS)

REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 10 OF 38 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:151237 CAPLUS

DOCUMENT NUMBER: 144:205827

TITLE: Preventive and/or remedy for hyperkalemia containing EP4 agonist

INVENTOR(S): Kuwahara, Atsukazu; Suzuki, Yuichi; Maruyama, Takayuki

PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 111 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|----------|
| WO 2006016695 | A1 | 20060216 | WO 2005-JP14885 | 20050809 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, | | | | |

SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU,
 ZA, ZM, ZW
 RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
 IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
 CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG, BW, GH,
 GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
 KG, KZ, MD, RU, TJ, TM
 EP 1782829 A1 20070509 EP 2005-770532 20050809
 R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
 IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR
 US 20080234337 A1 20080925 US 2007-660048 20070212
 PRIORITY APPLN. INFO.: JP 2004-232984 A 20040810
 WO 2005-JP14885 W 20050809

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 144:205827

AB Disclosed is a preventive and/or remedy for hyperkalemia and a potassium excretion promoter containing an prostaglandin receptor EP4 agonist. Because of promoting potassium excretion, an EP4 agonist is useful as a preventive and/or remedy for hyperkalemia. A selective EP4 agonist is useful as a preventive and/or remedy for hyperkalemia having no side effect. Furthermore, an EP4 agonist is useful in ameliorating various symptoms of hyperkalemia (for example, sensation abnormality, error of perception, sense of exhaustion, muscle paralysis, nausea, vomiting, abdominal pain, diarrhea, arrhythmia, atrioventricular block, ventricular fibrillation, atrial fibrillation, asystole, respiratory arrest and/or respiratory distress and so on). For example, the EP4 agonistic effect of [3-[(1R,2S,3R)-3-hydroxy-2-[(1E,3S)-3-hydroxy-4-[3-(methoxymethyl)phenyl]but-1-enyl]-5-oxocyclopentyl]sulfanyl]propylsulfanyl]acetic acid (I) was in vitro examined. Also a tablet containing I 30 µg/tablet was formulated.

IT 494223-86-8 494223-92-6 597571-01-2
729611-52-3

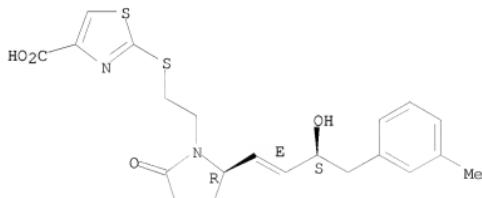
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preventive and/or remedy for hyperkalemia containing EP4 agonist)

RN 494223-86-8 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(2R)-2-[(1E,3S)-3-hydroxy-4-(3-methylphenyl)-1-buten-1-yl]-5-oxo-1-pyrrolidinyl]ethylthio)- (CA INDEX NAME)

Absolute stereochemistry.

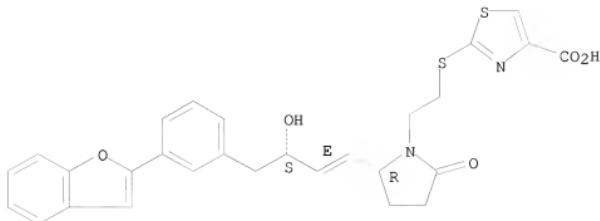
Double bond geometry as shown.



RN 494223-92-6 CAPLUS

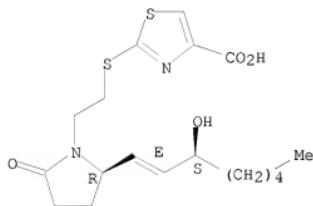
CN 4-Thiazolecarboxylic acid, 2-[(2-[(2R)-2-[(1E,3S)-3-hydroxy-4-(2-benzofuranyl)phenyl]-3-hydroxy-1-buten-1-yl]-5-oxo-1-pyrrolidinyl]ethylthio)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



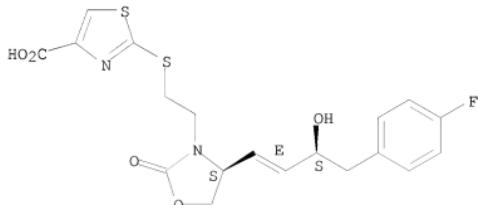
RN 597571-01-2 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[(2-[(2R)-2-((1E,3S)-3-hydroxy-1-octen-1-yl)-5-oxo-1-pyrrolidinyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 729611-52-3 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[(2-[(4S)-4-((1E,3S)-4-(4-fluorophenyl)-3-hydroxy-1-buten-1-yl)-2-oxo-3-oxazolidinyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 11 OF 38 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006149115 CAPLUS

DOCUMENT NUMBER: 144:205819

TITLE: Preventive and/or remedy for lower urinary tract diseases containing EP4 agonist

INVENTOR(S): Okada, Hiroki; Maruyama, Takayuki

PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 119 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|------------|
| WO 2006016689 | A1 | 20060216 | WO 2005-JP14875 | 20050809 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| EP 1782830 | A1 | 20070509 | EP 2005-770606 | 20050809 |
| R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR | | | | |
| US 20080021021 | A1 | 20080124 | US 2007-660043 | 20070212 |
| PRIORITY APPLN. INFO.: | | | JP 2004-232985 | A 20040810 |
| | | | WO 2005-JP14875 | W 20050809 |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 144:205819

AB Disclosed are (1) a preventive and/or a remedy for lower urinary tract diseases such as inflammation in the lower urinary tract, cystitis (interstitial cystitis, etc.) and urethritis; (2) an agent for improving bladder compliance and/or bladder capacity; and (3) an agent for protecting bladder mucosa and/or bladder epithelial cells and/or promoting the regeneration thereof; each containing an EP4 agonist. An EP4 agonist is useful in ameliorating symptoms of lower urinary tract diseases such as (1) frequent urination, (2) urgency of urination, (3) pain in the reproductive organs and/or lower urinary tract (for example, bladder pain, urinary tract pain, vulvar pain, vaginal pain, scrotal pain, perineal pain, pelvic pain, etc.) and/or (4) unpleasantness in the reproductive organs and/or lower urinary tract. Among all, a selective EP4 agonist is useful as a preventive and/or remedy for lower urinary tract diseases having no side effect. For example, the effect of 4-[2-[1(2R)-2-[(1E,3S)-4-(4-fluorophenyl)-3-hydroxybut-1-enyl]-5-oxopyrrolidin-1-yl]ethyl]sulfanyl]butanoic acid (I) in cystitis model rats was examined. Also, a tablet containing I 30 µg/tablet was formulated.

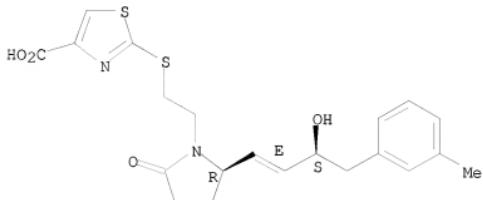
IT 494223-86-8 494223-92-6 597571-01-2
729611-52-3

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preventive and/or remedy for lower urinary tract diseases containing EP4 agonists)

RN 494223-86-8 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-((2R)-2-[(1E,3S)-3-hydroxy-4-(3-methylphenyl)-1-buten-1-yl]-5-oxo-1-pyrrolidinyl)ethyl]thio]- (CA INDEX NAME)

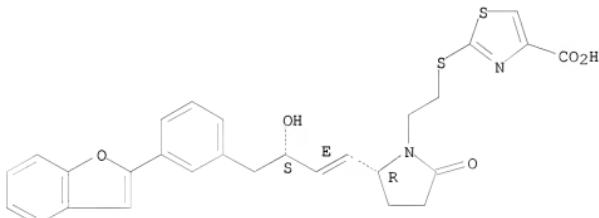
Absolute stereochemistry.
Double bond geometry as shown.



RN 494223-92-6 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-((2R)-2-[(1E,3S)-4-[3-(2-benzofuranyl)phenyl]-3-hydroxy-1-buten-1-yl]-5-oxo-1-pyrrolidinyl)ethyl]thio]- (CA INDEX NAME)

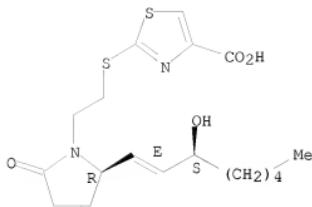
Absolute stereochemistry.
Double bond geometry as shown.



RN 597571-01-2 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-((2R)-2-[(1E,3S)-3-hydroxy-1-octen-1-yl]-5-oxo-1-pyrrolidinyl)ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

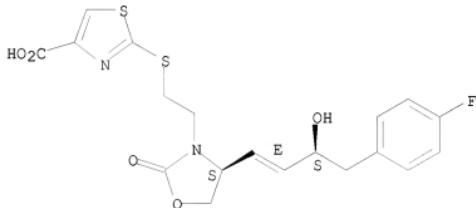


RN 729611-52-3 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(4S)-4-[(1E,3S)-4-(4-fluorophenyl)-3-hydroxy-1-butene-1-yl]-2-oxo-3-oxazolidinyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD
(4 CITINGS)

REFERENCE COUNT: 42 THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 12 OF 38 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:588642 CAPLUS

DOCUMENT NUMBER: 143:91468

TITLE: Continuous combination therapy with selective prostaglandin EP4 receptor agonists and an estrogen for the treatment of conditions that present with low bone mass

INVENTOR(S): Ke, Hua Zhu; Thompson, David Duane

PATENT ASSIGNEE(S): Pfizer Products Inc., USA

SOURCE: PCT Int. Appl., 61 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|----------|
| WO 2005060946 | A1 | 20050707 | WO 2004-IB0449 | 20041206 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, | | | | |

GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
 LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
 NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
 TJ, TM, TN, TR, TT, TZ, UA, US, UZ, VC, VN, YU, ZA, ZM, ZW
 RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW,
 AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
 EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,
 RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML,
 MR, NE, SN, TD, TG

| | | | | |
|--|----|----------|-----------------|------------|
| CA 2549935 | A1 | 20050707 | CA 2004-2549935 | 20041206 |
| EP 1696893 | A1 | 20060906 | EP 2004-801348 | 20041206 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS | | | | |
| BR 2004017621 | A | 20070410 | BR 2004-17621 | 20041206 |
| MX 2006006810 | A | 20060823 | MX 2006-6810 | 20060615 |
| US 20070191319 | A1 | 20070816 | US 2006-596504 | 20060615 |
| PRIORITY APPLN. INFO.: | | | US 2003-530839P | P 20031217 |
| | | | WO 2004-IB4049 | W 20041206 |

OTHER SOURCE(S): CASREACT 143:91468; MARPAT 143:91468

AB This invention is directed to methods for treating conditions which present with low bone mass in a patient in need thereof using continuous combination therapy with a synergistically effective combination of an EP4 receptor selective agonist or a pharmaceutically acceptable salt thereof, such as 5-(3-(2S)-3R-hydroxy-4-(3-trifluoromethyl-phenyl)-butyl)-5-oxo-pyrrolidin-1-yl)propyl)thiophene-2-carboxylic acid (I) or a pharmaceutically acceptable salt thereof; and an estrogen or a pharmaceutically effective salt thereof. The present methods are useful for treating conditions that present with low bone mass. I was prepared and data show a synergistic effect of I and 17 β -estradiol given by continuous slow release administration in ovariectomized rats.

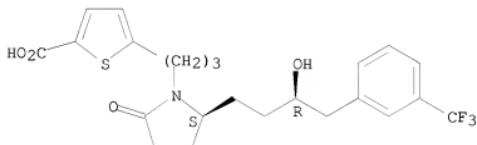
IT 431990-08-8

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(continuous combination therapy with selective prostaglandin EP4 receptor agonists and an estrogen for the treatment of conditions that present with low bone mass)

RN 431990-08-8 CAPLUS

CN 2-Thiophenecarboxylic acid, 5-[3-[(2S)-2-[(3R)-3-hydroxy-4-[3-(trifluoromethyl)phenyl]butyl]-5-oxo-1-pyrrolidinyl]propyl]- (CA INDEX NAME)

Absolute stereochemistry.



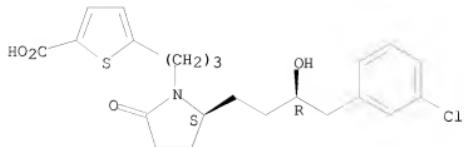
IT 431990-04-4 431991-28-5

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(continuous combination therapy with selective prostaglandin EP4 receptor agonists and an estrogen for the treatment of conditions that present with low bone mass)

RN 431990-04-4 CAPLUS

CN 2-Thiophenecarboxylic acid, 5-[3-[(2S)-2-[(3R)-4-(3-chlorophenyl)-3-hydroxybutyl]-5-oxo-1-pyrrolidinyl]propyl]- (CA INDEX NAME)

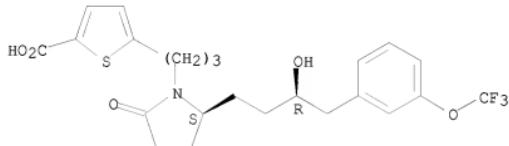
Absolute stereochemistry.



RN 431991-28-5 CAPLUS

CN 2-Thiophenecarboxylic acid, 5-[3-[(2S)-2-[(3R)-3-hydroxy-4-[3-(trifluoromethoxy)phenyl]butyl]-5-oxo-1-pyrrolidinyl]propyl]- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 13 OF 38 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:523298 CAPLUS

DOCUMENT NUMBER: 143:53530

TITLE: Blood flow promoters for cauda equina tissues containing prostaglandin-like compounds

INVENTOR(S): Ohmoto, Kazuyuki; Kinoshita, Akihiro; Kamanaka, Yoshihisa; Matsuya, Hidekazu

PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 132 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| WO 2005053707 | A1 | 20050616 | WO 2004-JP17961 | 20041202 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| EP 1707208 | A1 | 20061004 | EP 2004-819909 | 20041202 |

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS
 US 20070129327 A1 20070607 US 2007-581619 20070126
 PRIORITY APPLN. INFO.: JP 2003-407675 A 20031205
 WO 2004-JP17961 W 20041202

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
 OTHER SOURCE(S): MARPAT 143:53530

AB It is intended to provide highly safe and efficacious blood flow promoters for cauda equina tissues. Among prostaglandin-like compds. having a weak hypotensive effect, compds. having an effect of promoting the blood flow in cauda equina tissues (excluding limaprost) are useful as highly safe blood flow promoters for cauda equina tissues and, therefore, are efficacious in preventing and/or treating lumbar pain, lower limb pain, lower limb palsy, intermittent claudication, vesicorectal failure, hypogonadism, etc. caused by cauda equina injuries. Thus, 2-[[2-[(4S)-4-[(1E,3R)-3-[1-(4-fluorobutyl)cyclobutyl]-3-hydroxy-1-propenyl]-2-oxo-1,3-oxazolidin-3-yl]ethyl]sulfanyl]-1,3-thiazole-4-carboxylic acid (I) was prepared. The compound I improved blood flow of cauda equina in rats without significantly affecting blood pressure. Also, a tablet containing I 0.5 mg/tablet was formulated.

IT 853999-43-6P

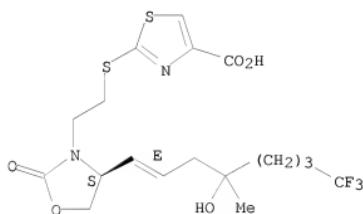
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (blood flow promoters for cauda equina tissues containing prostaglandin-like compds.)

RN 853999-43-6 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[[2-[(4S)-2-oxo-4-[(1E)-8,8,8-trifluoro-4-hydroxy-4-methyl-1-octen-1-yl]-3-oxazolidinyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



| | | | |
|----|--------------|--------------|--------------|
| IT | 853998-78-4P | 853998-80-8P | 853998-83-1P |
| | 853998-84-2P | 853998-85-3P | 853998-86-4P |
| | 853998-87-5P | 853998-88-6P | 853998-90-0P |
| | 853998-95-5P | 853998-96-6P | 853999-00-5P |
| | 853999-03-8P | 853999-04-9P | 853999-16-3P |
| | 853999-17-4P | 853999-18-5P | 853999-21-0P |
| | 853999-23-2P | 853999-25-4P | 853999-27-6P |
| | 853999-38-9P | 853999-39-0P | 853999-40-3P |
| | 853999-41-4P | 853999-42-5P | 853999-45-8P |
| | 853999-46-9P | 853999-47-0P | 853999-48-1P |
| | 853999-49-2P | 853999-50-5P | 853999-51-6P |
| | 853999-52-7P | 853999-53-8P | 853999-54-9P |
| | 853999-55-0P | 853999-56-1P | 853999-57-2P |
| | 853999-58-3P | 853999-59-4P | 853999-60-7P |

853999-61-8P 853999-62-9P 853999-63-0P
 853999-65-2P 853999-66-3P 853999-67-4P
 853999-68-5P 853999-69-6P 853999-70-9P
 853999-73-2P 853999-74-3P 853999-76-5P
 853999-77-6P 853999-78-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

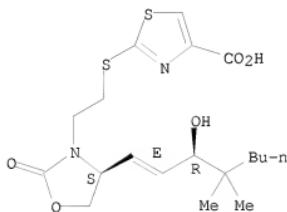
(blood flow promoters for cauda equina tissues containing prostaglandin-like compds.)

RN 853998-78-4 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(4S)-4-[(1E,3R)-3-hydroxy-4,4-dimethyl-1-octen-1-yl]-2-oxo-3-oxazolidinyl]ethyl]thio)- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

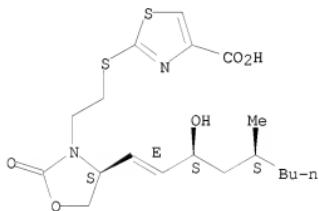


RN 853998-80-8 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(4S)-4-[(1E,3S,5S)-3-hydroxy-5-methyl-1-non-en-1-yl]-2-oxo-3-oxazolidinyl]ethyl]thio)- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

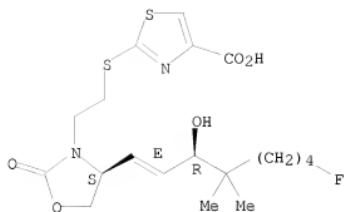


RN 853998-83-1 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(4S)-4-[(1E,3R)-8-fluoro-3-hydroxy-4,4-dimethyl-1-octen-1-yl]-2-oxo-3-oxazolidinyl]ethyl]thio)- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

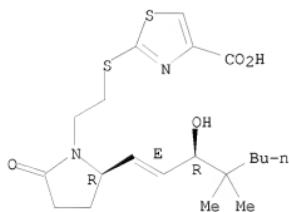


RN 853998-84-2 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(2R)-2-[(1E,3R)-3-hydroxy-4,4-dimethyl-1-octen-1-yl]-5-oxo-1-pyrrolidinyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

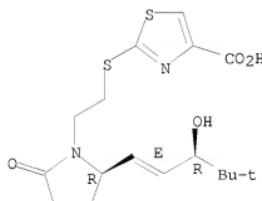


RN 853998-85-3 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(2R)-2-[(1E,3R)-3-hydroxy-4,4-dimethyl-1-penten-1-yl]-5-oxo-1-pyrrolidinyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

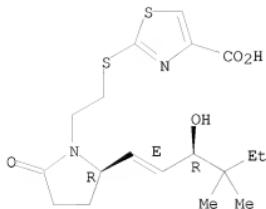


RN 853998-86-4 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(2R)-2-[(1E,3R)-3-hydroxy-4,4-dimethyl-1-hexen-1-yl]-5-oxo-1-pyrrolidinyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

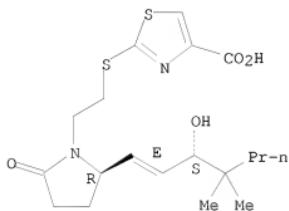


RN 853998-87-5 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2R)-2-[(1E,3S)-3-hydroxy-4,4-dimethyl-1-hepten-1-yl]-5-oxo-1-pyrrolidinyl]ethyl]thio]-(CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

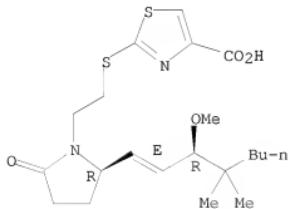


RN 853998-88-6 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2R)-2-[(1E,3R)-3-methoxy-4,4-dimethyl-1-octen-1-yl]-5-oxo-1-pyrrolidinyl]ethyl]thio]-(CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

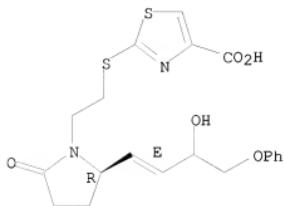


RN 853998-90-0 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2R)-2-[(1E)-3-hydroxy-4-phenoxy-1-butene-1-yl]-5-oxo-1-pyrrolidinyl]ethyl]thiol]-(CA INDEX NAME)

Absolute stereochemistry.

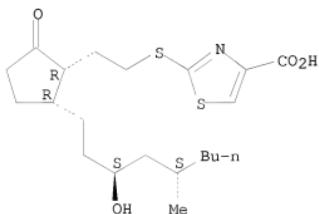
Double bond geometry as shown.



RN 853998-95-5 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-((1R,2R)-2-[(3S,5S)-3-hydroxy-5-methylnonyl]-5-oxocyclopentyl)ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

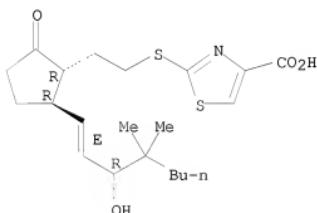


RN 853998-96-6 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-((1R,2R)-2-[(1E,3R)-3-hydroxy-4,4-dimethyl-1-octen-1-yl]-5-oxocyclopentyl)ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

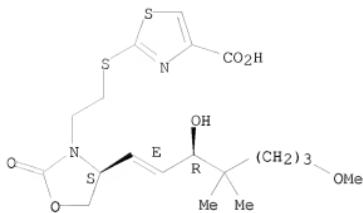


RN 853998-00-5 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(4S)-4-[(1E,3R)-3-hydroxy-7-methoxy-4,4-dimethyl-1-hepten-1-yl]-2-oxo-3-oxazolidinyl)ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

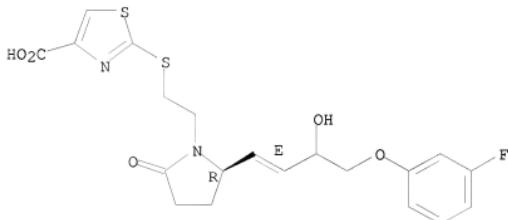


RN 853999-03-8 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(2R)-2-[(1E)-4-(3-fluorophenoxy)-3-hydroxy-1-buten-1-yl]-5-oxo-1-pyrrolidinyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

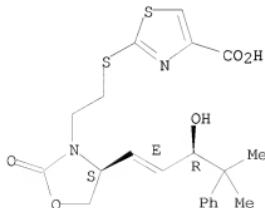


RN 853999-04-9 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(4S)-4-((1E,3R)-3-hydroxy-4-methyl-4-phenyl-1-penten-1-yl)-2-oxo-3-oxazolidinyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

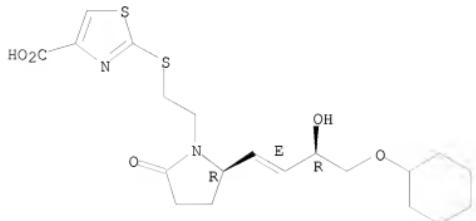
Double bond geometry as shown.



RN 853999-16-3 CAPLUS

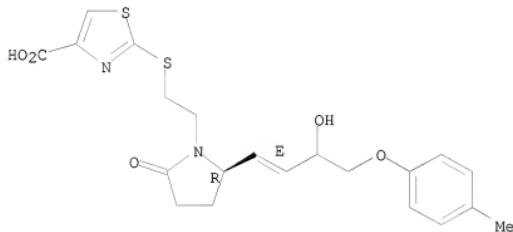
CN 4-Thiazolecarboxylic acid, 2-[(2-[(2R)-2-[(1E,3R)-4-(cyclohexyloxy)-3-hydroxy-1-buten-1-yl]-5-oxo-1-pyrrolidinyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



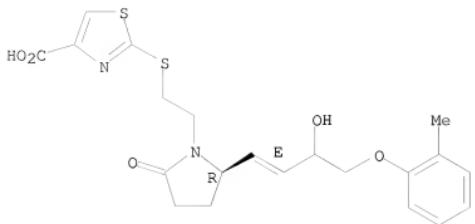
RN 853999-17-4 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[(2R)-2-[(1E)-3-hydroxy-4-(4-methylphenoxy)-1-buten-1-yl]-5-oxo-1-pyrrolidinyl]ethyl]thio]-(CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 853999-18-5 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[(2R)-2-[(1E)-3-hydroxy-4-(2-methylphenoxy)-1-buten-1-yl]-5-oxo-1-pyrrolidinyl]ethyl]thio]-(CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

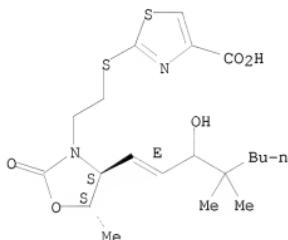


RN 853999-21-0 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(4S,5S)-4-[(1E)-3-hydroxy-4,4-dimethyl-1-octen-1-yl]-5-methyl-2-oxo-3-oxazolidinyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

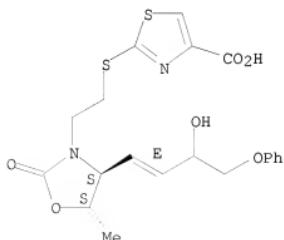


RN 853999-23-2 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(4S,5S)-4-[(1E)-3-hydroxy-4-phenoxy-1-buten-1-yl]-5-methyl-2-oxo-3-oxazolidinyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

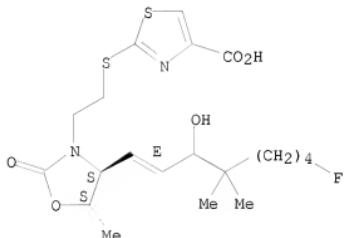


RN 853999-25-4 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(4S,5S)-4-[(1E)-8-fluoro-3-hydroxy-4,4-phenoxyl]-5-methyl-2-oxo-3-oxazolidinyl]ethyl]thio]-

dimethyl-1-octen-1-yl]-5-methyl-2-oxo-3-oxazolidinyl]ethyl]thio]- (CA INDEX NAME)

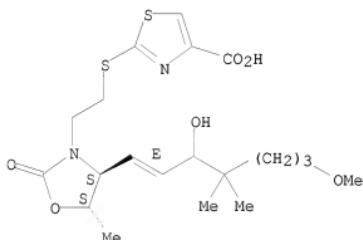
Absolute stereochemistry.
Double bond geometry as shown.



RN 853999-27-6 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(4S,5S)-4-((1E)-3-hydroxy-7-methoxy-4,4-dimethyl-1-hepten-1-yl]-5-methyl-2-oxo-3-oxazolidinyl]ethyl]thio]- (CA INDEX NAME)

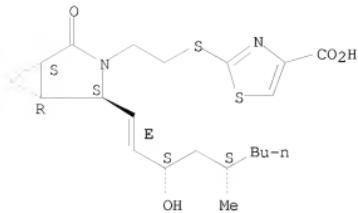
Absolute stereochemistry.
Double bond geometry as shown.



RN 853999-38-9 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(1R,2S,5S)-2-((1E,3S,5S)-3-hydroxy-5-methyl-1-nonan-1-yl)-4-oxo-3-azabicyclo[3.1.0]hex-3-yl]ethyl]thio]- (CA INDEX NAME)

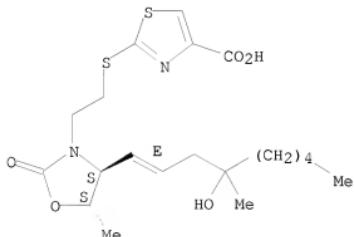
Absolute stereochemistry.
Double bond geometry as shown.



RN 853999-39-0 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[{2-[(4S,5S)-4-[(1E)-4-hydroxy-4-methyl-1-nonen-1-yl]-5-methyl-2-oxo-3-oxazolidinyl]ethyl}thio]- (CA INDEX NAME)

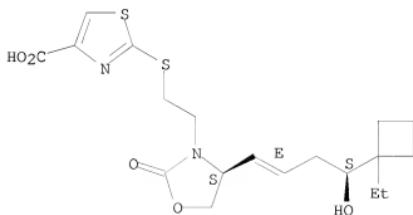
Absolute stereochemistry.
Double bond geometry as shown.



RN 853999-40-3 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[{2-[(4S)-4-[(1E,4S)-4-(1-ethylcyclobutyl)-4-hydroxy-1-butene-1-yl]-2-oxo-3-oxazolidinyl]ethyl}thio]- (CA INDEX NAME)

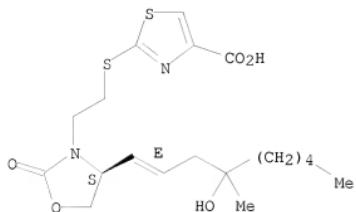
Absolute stereochemistry.
Double bond geometry as shown.



RN 853999-41-4 CAPLUS

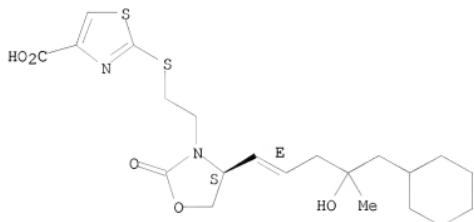
CN 4-Thiazolecarboxylic acid, 2-[{2-[(4S)-4-[(1E)-4-hydroxy-4-methyl-1-nonen-1-yl]-2-oxo-3-oxazolidinyl]ethyl}thio]- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



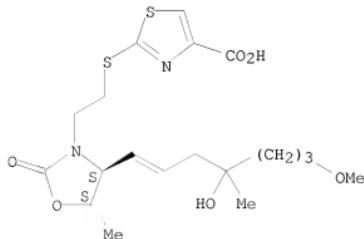
RN 853999-42-5 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[(2-[(4S)-4-((1E)-5-cyclohexyl-4-hydroxy-4-methyl-1-penten-1-yl)-2-oxo-3-oxazolidinyl]ethyl)thio]- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 853999-45-8 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[(2-[(4S,5S)-4-(4-hydroxy-7-methoxy-4-methyl-1-hepten-1-yl)-5-methyl-2-oxo-3-oxazolidinyl]ethyl)thio]- (CA INDEX NAME)

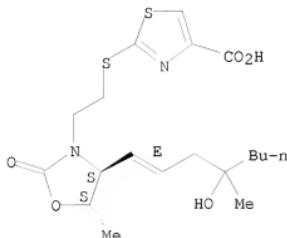
Absolute stereochemistry.
Double bond geometry unknown.



RN 853999-46-9 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(4S,5S)-4-[(1E)-4-hydroxy-4-methyl-1-octen-1-yl] -5-methyl-2-oxo-3-oxazolidinyl]ethyl]thio]- (CA INDEX NAME)

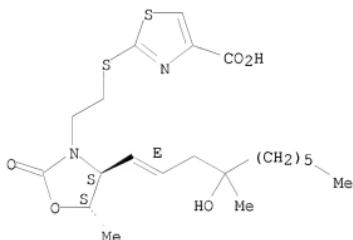
Absolute stereochemistry.
Double bond geometry as shown.



RN 853999-47-0 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(4S,5S)-4-[(1E)-4-hydroxy-4-methyl-1-decen-1-yl] -5-methyl-2-oxo-3-oxazolidinyl]ethyl]thio]- (CA INDEX NAME)

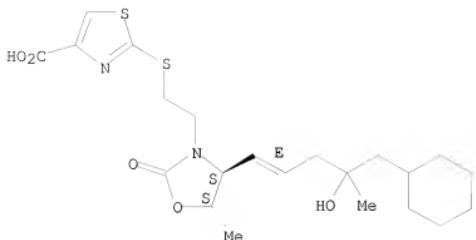
Absolute stereochemistry.
Double bond geometry as shown.



RN 853999-48-1 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(4S,5S)-4-[(1E)-5-cyclohexyl-4-hydroxy-4-methyl-1-penten-1-yl] -5-methyl-2-oxo-3-oxazolidinyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

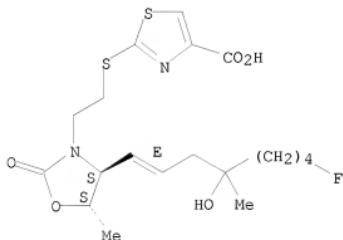


RN 853999-49-2 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(4S,5S)-4-[(1E)-8-fluoro-4-hydroxy-4-methyl-1-octen-1-yl]-5-methyl-2-oxo-3-oxazolidinyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

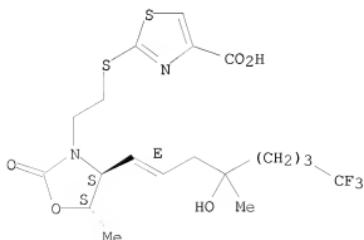


RN 853999-50-5 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(4S,5S)-5-methyl-2-oxo-4-[(1E)-8,8-trifluoro-4-hydroxy-4-methyl-1-octen-1-yl]-3-oxazolidinyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

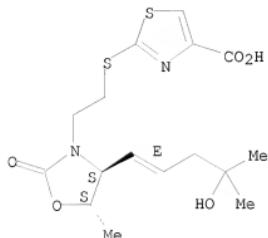


RN 853999-51-6 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(4S,5S)-4-[(1E)-4-hydroxy-4-methyl-1-penten-1-yl]-5-methyl-2-oxo-3-oxazolidinyl]ethyl]thio)- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

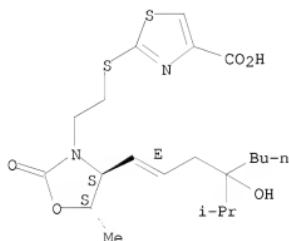


RN 853999-52-7 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(4S,5S)-4-[(1E)-4-hydroxy-4-(1-methylethyl)-1-octen-1-yl]-5-methyl-2-oxo-3-oxazolidinyl]ethyl]thio)- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

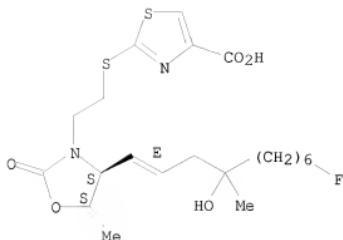


RN 853999-53-8 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(4S,5S)-4-[(1E)-10-fluoro-4-hydroxy-4-methyl-1-decen-1-yl]-5-methyl-2-oxo-3-oxazolidinyl]ethyl]thio)- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

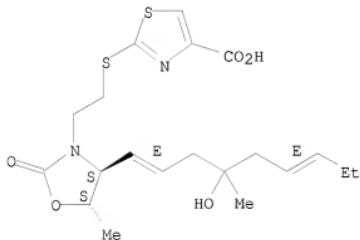


RN 853999-54-9 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[[2-[(4S,5S)-4-[(1E,6E)-4-hydroxy-4-methyl-1,6-nonadien-1-yl]thio]-5-methyl-2-oxo-3-oxazolidinyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

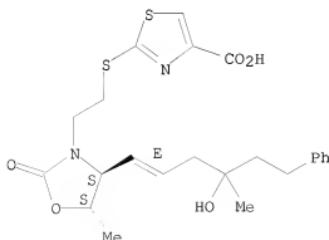


RN 853999-55-0 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[[2-[(4S,5S)-4-[(1E)-4-hydroxy-4-methyl-6-phenyl-1-hexen-1-yl]thio]-5-methyl-2-oxo-3-oxazolidinyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

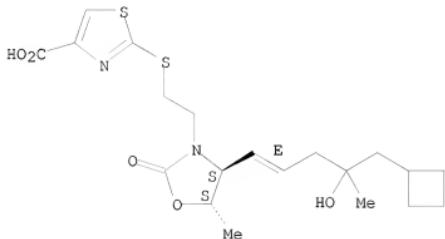
Double bond geometry as shown.



RN 853999-56-1 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(4S,5S)-4-[(1E)-5-cyclobutyl-4-hydroxy-4-methyl-1-penten-1-yl]-5-methyl-2-oxo-3-oxazolidinyl]ethyl]thio)- (CA INDEX NAME)

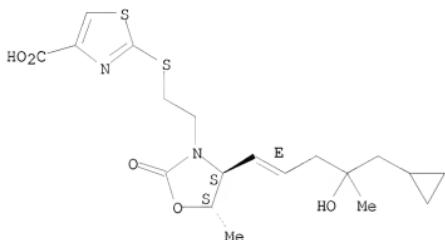
Absolute stereochemistry.
Double bond geometry as shown.



RN 853999-57-2 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(4S,5S)-4-[(1E)-5-cyclopropyl-4-hydroxy-4-methyl-1-penten-1-yl]-5-methyl-2-oxo-3-oxazolidinyl]ethyl]thio)- (CA INDEX NAME)

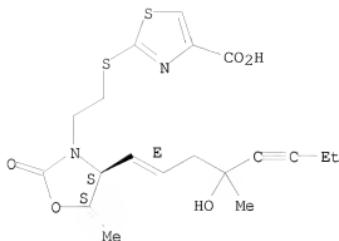
Absolute stereochemistry.
Double bond geometry as shown.



RN 853999-58-3 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(4S,5S)-4-[(1E)-4-hydroxy-4-methyl-1-octen-5-yn-1-yl]-5-methyl-2-oxo-3-oxazolidinyl]ethyl]thio)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

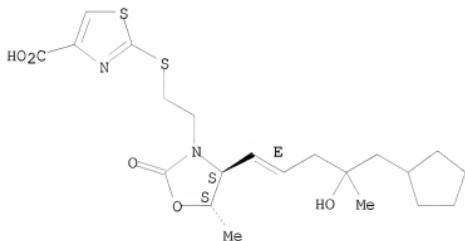


RN 853999-59-4 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(4S,5S)-4-[(1E)-5-cyclopentyl-4-hydroxy-4-methyl-1-penten-1-yl]-5-methyl-2-oxo-3-oxazolidinyl]ethyl)thio]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

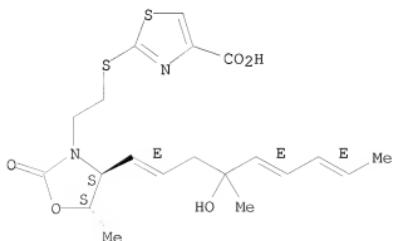


RN 853999-60-7 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(4S,5S)-4-[(1E,5E,7E)-4-hydroxy-4-methyl-1,5,7-nonatrien-1-yl]-5-methyl-2-oxo-3-oxazolidinyl]ethyl)thio]- (CA INDEX NAME)

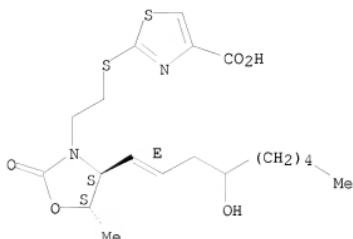
Absolute stereochemistry.

Double bond geometry as shown.



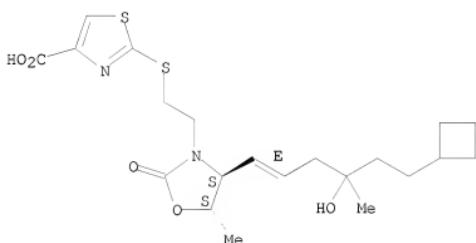
RN 853999-61-8 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[[2-[(4S,5S)-4-[(1E)-4-hydroxy-1-nonen-1-yl]-5-methyl-2-oxo-3-oxazolidinyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



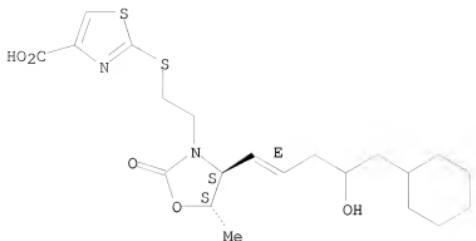
RN 853999-62-9 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[[2-[(4S,5S)-4-[(1E)-6-cyclobutyl-4-hydroxy-4-methyl-1-hexen-1-yl]-5-methyl-2-oxo-3-oxazolidinyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 853999-63-0 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[[2-[(4S,5S)-4-[(1E)-5-cyclohexyl-4-hydroxy-1-penten-1-yl]-5-methyl-2-oxo-3-oxazolidinyl]ethyl]thio]- (CA INDEX NAME)

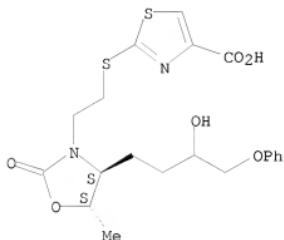
Absolute stereochemistry.
Double bond geometry as shown.



RN 853999-65-2 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(4S,5S)-4-(3-hydroxy-4-phenoxybutyl)-5-methyl-2-oxo-3-oxazolidinyl]ethyl]thio]- (CA INDEX NAME)

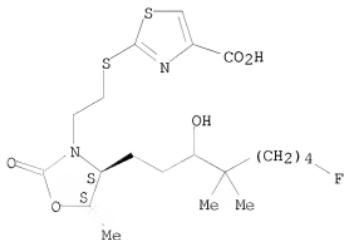
Absolute stereochemistry.



RN 853999-66-3 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(4S,5S)-4-(8-fluoro-4-hydroxy-4,4-dimethyloctyl)-5-methyl-2-oxo-3-oxazolidinyl]ethyl]thio]- (CA INDEX NAME)

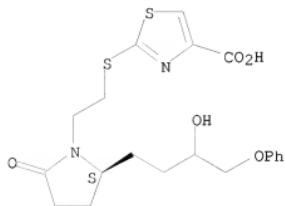
Absolute stereochemistry.



RN 853999-67-4 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(2S)-2-(3-hydroxy-4-phenoxybutyl)-5-oxo-1-pyrrolidinyl]ethyl]thio)- (CA INDEX NAME)

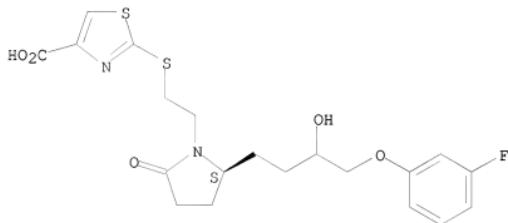
Absolute stereochemistry.



RN 853999-68-5 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2S)-2-[4-(3-fluorophenoxy)-3-hydroxybutyl]-5-oxo-1-pyrrolidinyl]ethyl]thio- (CA INDEX NAME)

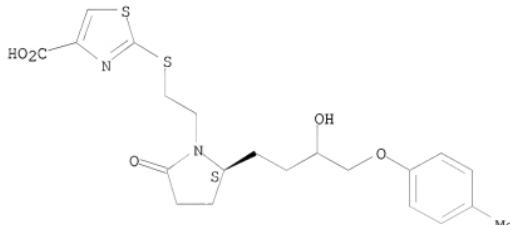
Absolute stereochemistry.



RN 853999-69-6 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2S)-2-[3-hydroxy-4-(4-methylphenoxy)butyl]-5-oxo-1-pyrrolidinyl]ethyl]thio- (CA INDEX NAME)

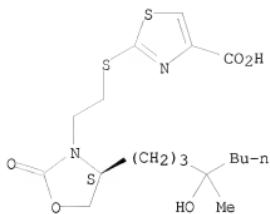
Absolute stereochemistry.



RN 853999-70-9 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2S)-2-[4-(4-hydroxy-4-methyloctyl)-2-oxo-3-oxazolidinyl]ethyl]thio- (CA INDEX NAME)

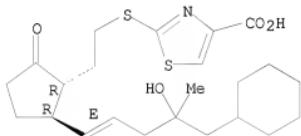
Absolute stereochemistry.



RN 853999-73-2 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-((1R,2R)-2-((1E)-5-cyclohexyl-4-hydroxy-4-methyl-1-penten-1-yl)-5-oxocyclopentyl)ethyl]thio]- (CA INDEX NAME)

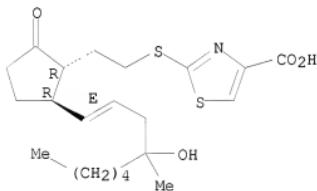
Absolute stereochemistry.
Double bond geometry as shown.



RN 853999-74-3 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-((1R,2R)-2-((1E)-4-hydroxy-4-methyl-1-nonen-1-yl)-5-oxocyclopentyl)ethyl]thio]- (CA INDEX NAME)

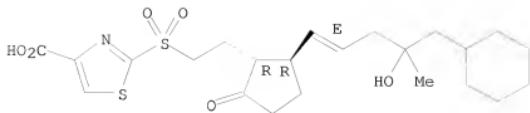
Absolute stereochemistry.
Double bond geometry as shown.



RN 853999-76-5 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-((1R,2R)-2-((1E)-5-cyclohexyl-4-hydroxy-4-methyl-1-penten-1-yl)-5-oxocyclopentyl)ethyl)sulfonyl]- (CA INDEX NAME)

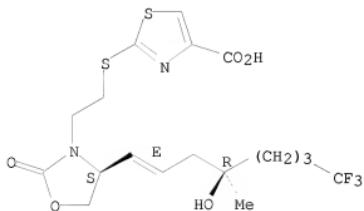
Absolute stereochemistry.
Double bond geometry as shown.



RN 853999-77-6 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(4S)-2-oxo-4-[(1E,4R)-8,8-trifluoro-4-hydroxy-4-methyl-1-octenyl]thioethyl]thio)- (CA INDEX NAME)

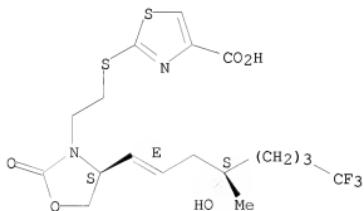
Absolute stereochemistry.
Double bond geometry as shown.



RN 853999-78-7 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(4S)-2-oxo-4-[(1E,4S)-8,8-trifluoro-4-hydroxy-4-methyl-1-octenyl]thioethyl]thio)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 14 OF 38 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:259664 CAPLUS

DOCUMENT NUMBER: 142:317005

TITLE: Pharmaceutical compositions and methods comprising

combinations of 2-alkylidene-19-nor-vitamin d
 derivatives and an ep2 or ep4 selective agonist
 INVENTOR(S): Lee, Andrew G.; Thompson, David D.
 PATENT ASSIGNEE(S): Pfizer Inc., USA
 SOURCE: U.S. Pat. Appl. Publ., 49 pp.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|----------|
| US 20050065133 | A1 | 20050324 | US 2004-944119 | 20040916 |
| WO 2005027931 | A1 | 20050331 | WO 2004-IB2949 | 20040906 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
SN, TD, TG | | | | |

PRIORITY APPLN. INFO.: US 2003-503798P P 20030919

OTHER SOURCE(S): CASREACT 142:317005

AB The present invention relates to pharmaceutical compns. and methods of treatment comprising administering to a patient in need thereof a combination of a 2-alkylidene-19-nor-vitamin D derivative and an EP2 or EP4 selective agonist or a pharmaceutically acceptable salt or prodrug thereof. Particularly, the present invention relates to pharmaceutical compns. and methods comprising administering to a patient in need thereof 2-methylene-19-nor-20(S)-1 α ,25-dihydroxyvitamin D3 and an EP2 or EP4 selective agonist or a pharmaceutically acceptable salt or prodrug thereof.

IT 431990-08-8P

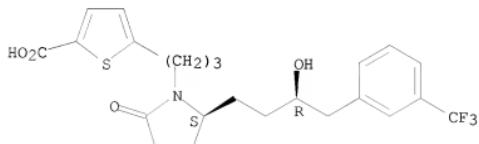
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(pharmaceutical compns. and methods comprising combinations of 2-alkylidene-19-nor-vitamin D derivs. and aromatase inhibitors)

RN 431990-08-8 CAPLUS

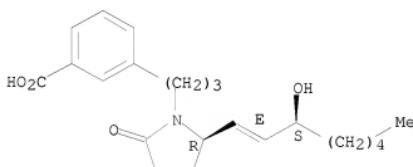
CN 2-Thiophencarboxylic acid, 5-[3-[(2S)-2-[(3R)-3-hydroxy-4-[3-(trifluoromethyl)phenyl]butyl]-5-oxo-1-pyrrolidinyl]propyl]- (CA INDEX NAME)

Absolute stereochemistry.



ACCESSION NUMBER: 2004:956785 CAPLUS
 DOCUMENT NUMBER: 142:85862
 TITLE: Lactams as EP4 Prostanoid Receptor Agonists. 3.
 Discovery of N-Ethylbenzoic Acid 2-Pyrrolidinones as
 Subtype Selective Agents
 AUTHOR(S): Elworthy, Todd R.; Brill, Emma R.; Chiou, San-San;
 Chu, Frances; Harris, Jason R.; Hendricks, R. Than;
 Huang, Jane; Kim, Woongki; Lach, Leang K.; Mirzadegan,
 Tara; Yee, Calvin; Walker, Keith A. M.
 CORPORATE SOURCE: Roche Palo Alto, Palo Alto, CA, 94304, USA
 SOURCE: Journal of Medicinal Chemistry (2004), 47(25),
 6124-6127
 PUBLISHER: American Chemical Society
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 142:85862
 AB Two distinct synthetic schemes were applied to access heteroatom-containing
 α -chain lactams or lactams terminated as aryl acids. The latter
 lactams were devised using a pharmacophore for EP4 receptor activity.
 γ -Lactams were characterized for their prostanoid EP receptor
 affinities and EP4 activity and found to be selective for the EP2 and EP4
 receptors or selective for the EP4 subtype. Benzoic acid 17 displayed
 enhanced *in vivo* exposure relative to 3.
 IT 819067-16-8P
 RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic
 preparation); BIOL (Biological study); PREP (Preparation)
 (discovery of N-ethylbenzoic acid 2-pyrrolidinones as EP4 prostanoid
 receptor agonists)
 RN 819067-16-8 CAPLUS
 CN Benzoic acid, 3-[3-[(2R)-2-[(1E,3S)-3-hydroxy-1-octen-1-yl]-5-oxo-1-
 pyrrolidinyl]propyl]- (CA INDEX NAME)

Absolute stereochemistry.
 Double bond geometry as shown.



OS.CITING REF COUNT: 11 THERE ARE 11 CAPLUS RECORDS THAT CITE THIS
 RECORD (13 CITINGS)
 REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 16 OF 38 CAPLUS COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 2004:754406 CAPLUS
 DOCUMENT NUMBER: 141:277481
 TITLE: A preparation of γ -lactam derivatives, useful as
 prostaglandin agonists
 INVENTOR(S): Araldi, Gian Luca; Karra, Srinivasa; Zhao, Zhong;
 Brugger, Nadia
 PATENT ASSIGNEE(S): Applied Research Systems Ars Holding N.V., Neth.
 Antilles

SOURCE: PCT Int. Appl., 123 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|------------|
| WO 2004078103 | A2 | 20040916 | WO 2004-EP50239 | 20040302 |
| WO 2004078103 | A3 | 20041028 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE,
BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU,
MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA,
GN, GO, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU 2004216857 | A1 | 20040916 | AU 2004-216857 | 20040302 |
| CA 2513652 | A1 | 20040916 | CA 2004-2513652 | 20040302 |
| EP 1603874 | A2 | 20051214 | EP 2004-737283 | 20040302 |
| EP 1603874 | B1 | 20080507 | | |
| R: AI, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK | | | | |
| JP 2006519245 | T | 20060824 | JP 2006-505441 | 20040302 |
| AT 394372 | T | 20080515 | AT 2004-737283 | 20040302 |
| ES 2305779 | T3 | 20081101 | ES 2004-737283 | 20040302 |
| US 20060194865 | A1 | 20060831 | US 2005-547676 | 20050902 |
| US 7276531 | B2 | 20071002 | | |
| NO 2005004441 | A | 20050926 | NO 2005-4441 | 20050926 |
| PRIORITY APPLN. INFO.: | | | US 2003-451829P | P 20030303 |
| | | | WO 2004-EP50239 | W 20040302 |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 141:277481

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to a preparation of γ -lactam derivs. of formula I [wherein: A is (cyclo)alkyl, (hetero)aryl, or heterocycloalkyl; B is CO₂-, CO₂-alkyl, NH₂, piperidinyl, etc.; D is H, halogen, or alkyl; E is H or alkyl; G is H, alk(en)ynyl, (hetero)cycloalkyl, or (hetero)aryl, etc.; J, K, and L are independently selected from H, halogen, alkyl, or (hetero)aryl, etc.; M is OH or H; X is (CH₂)₀₋₁; (a) and (b) double bonds can be independently in Z or E configuration], useful as prostaglandin agonists. γ -Lactam derivs. are useful in the treatment and/or prevention of asthma, hypertension, osteoporosis, sexual dysfunction and fertility disorders. For instance, γ -lactam derivs. II (X is E and Z configuration; h-EP2, EC₅₀ = 0.197 μ M; h-EP4, EC₅₀ = 0.02 μ M) were prepared from (E)-2-heptynenetriphenylphosphonium bromide and pyrrolidinone derivative III (examples 1 and 2).

IT 757965-74-5P 757965-75-6P 757966-99-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

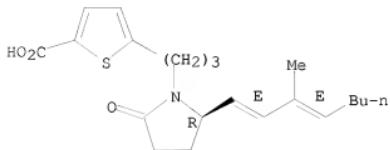
(preparation of γ -lactam derivs., useful as prostaglandin agonists)

RN 757965-74-5 CAPLUS

CN 2-Thiophenecarboxylic acid, 5-[3-[(2R)-2-[(1E,3E)-3-methyl-1,3-octadien-1-

yl]-5-oxo-1-pyrrolidinyl]propyl]- (CA INDEX NAME)

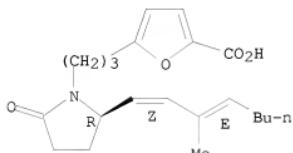
Absolute stereochemistry.
Double bond geometry as shown.



RN 757965-75-6 CAPLUS

CN 2-Furancarboxylic acid, 5-[3-[(2R)-2-[(1Z,3E)-3-methyl-1,3-octadien-1-yl]-5-oxo-1-pyrrolidinyl]propyl]- (CA INDEX NAME)

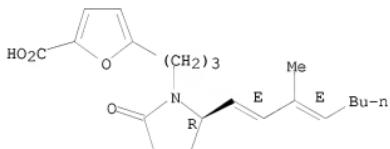
Absolute stereochemistry.
Double bond geometry as shown.



RN 757966-99-7 CAPLUS

CN 2-Furancarboxylic acid, 5-[3-[(2R)-2-[(1E,3E)-3-methyl-1,3-octadien-1-yl]-5-oxo-1-pyrrolidinyl]propyl]- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 17 OF 38 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2004:633912 CAPLUS

DOCUMENT NUMBER: 141:156958

TITLE: Preparation of 8-azaprostaglandin derivatives as prostaglandin EP4 receptor agonists

INVENTOR(S): Kambe, Tohru; Maruyama, Toru; Kobayashi, Kaoru; Tani, Kouuke; Nakai, Yoshihiko; Nagase, Toshihiko; Maruyama, Takayuki; Sakata, Kiyoto; Yoshida, Hideyuki; Fujimura, Shinsei; Nishiura, Akio; Abe, Nobutaka

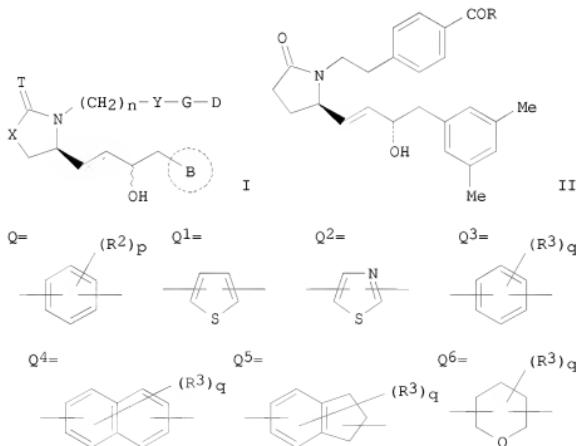
PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan
 SOURCE: PCT Int. Appl., 153 pp.
 CODEN: PIXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|-------------|
| WO 2004065365 | A1 | 20040805 | WO 2004-JP419 | 20040120 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MN, MW, MX, MZ | | | | |
| JP 2005104836 | A | 20050421 | JP 2003-289954 | 20030808 |
| EP 1586564 | A1 | 20051019 | EP 2004-703518 | 20040120 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | |
| US 7256211 | B1 | 20070814 | US 2005-542724 | 20050720 |
| US 20080033033 | A1 | 20080207 | US 2007-749531 | 20070516 |
| PRIORITY APPLN. INFO.: | | | JP 2003-11936 | A 20030121 |
| | | | JP 2003-289954 | A 20030808 |
| | | | WO 2004-JP419 | W 20040120 |
| | | | US 2005-542724 | A3 20050720 |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 141:156958

GI

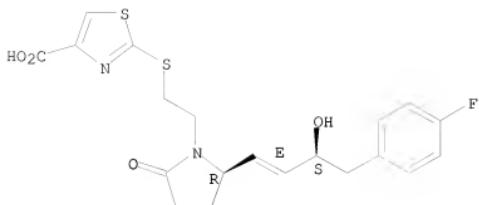


AB Compds. having an 8-azaprostaglandin skeleton represented by the following general formula (I), salts thereof, solvates thereof, clathrate compds.

thereof in cyclodextrin, or prodrugs thereof [wherein a solid line accompanied by a dotted line represents a single or double bond; a wavy line for the OH group represents an α - or β -disposition or a mixture with any α/β ratio thereof; D = Cl-4 alkoxy-carbonyl, tetrazolyl; the ring A = O, Q1, Q2; R2 = halo, Cl-4 alkyl, Cl-4 alkoxy; p = an integer of 0-4; Y = a bond, S; T = O, S; X = CH2, O, S; ring B = Q3, Q4, Q5, Q6; R3 = halo, each mono- to pentahalo-Cl-4 alkyl or -Cl-4 alkoxy, Cl-4 alkoxy-Cl-4 alkyl, Ph, each (un)substituted Ph or 3- to 13-membered bi- or tricyclic heterocyclyl containing 1-4 heteroatoms selected from N and S; q = an integer of 0-5] are prepared. These compds. are prostaglandin EP4 receptor agonists and thereby useful in preventing and/or treating EP4-mediated diseases such as immune diseases, asthma, nerve cell death, arthritis, pulmonary injury, pulmonary fibrosis, pulmonary emphysema, bronchitis, chronic obstructive pulmonary disease, liver injury, acute hepatitis, nephritis, renal failure, hypertension, myocardial ischemia, systemic inflammatory reaction syndrome, sepsis, hemophagous syndrome, macrophage activation syndrome, Still's disease, Kawasaki's disease, burn, systemic granuloma, ulcerative colitis, Crohn's disease, hypercytokinemia in dialysis, multiorgan failure, shock and glaucoma. Because of having an effect of promoting osteogenesis, moreover, they are useful in preventing and/or treating diseases with bone loss (bone diseases such as primary osteoporosis, secondary osteoporosis, bone metastasis of cancer, hypercalcemia, Behcet's disease, bone defect and bone necrosis, postoperative osteogenesis, alternative therapy for bone transplantation). Thus, (4R,5E,7S)-4-amino-7-hydroxy-8-(3,5-dimethylphenyl)oct-5-enoic acid Et ester hydrochloride (preparation given) underwent reductive alkylation and cyclization with Me 4-formylmethylbenzoate using sodium triacetoxyborohydride in THF at room temperature overnight to give 2,3,4,5,17,18,19,20-octanor-8-azaprostan-13-enoic acid Me ester derivative (II; R = OMe) which was saponified by a mixture of 2 N aqueous NaOH solution and acidified with 2 N aqueous HCl solution to give II (R = OH). II (R = OH) showed the binding activity to prostaglandin EP4 receptor expressed by CHO cells with Ki of 6.4 nM. A tablet and vial formulation containing a specific compound I were described.

| | | | |
|-----|--|-----------------|--------------|
| IT | 494222-47-8P | 729611-04-5P | 729611-06-7P |
| | 729611-09-0P | 729611-12-5P | 729611-13-6P |
| | 729611-15-8P | 729611-16-9P | 729611-19-2P |
| | 729611-50-1P | 729611-51-2P | 729611-52-3P |
| | 729611-53-4P | 729611-54-5P | 729611-55-6P |
| | 729611-56-7P | 729611-57-8P | 729611-58-9P |
| | 729611-59-0P | 729611-60-3P | 729611-61-4P |
| | 729611-62-5P | 729611-63-6P | 729611-64-7P |
| | 729611-65-8P | 729611-66-9P | 729611-67-0P |
| | 729611-68-1P | 729611-69-2P | 729611-70-5P |
| | 729611-71-6P | 729611-72-7P | 729611-73-8P |
| RL: | PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) | | |
| | (preparation of 8-azaprostaglandin derivs. as prostaglandin EP4 receptor agonists or osteogenesis promoters for preventing and/or treating EP4-mediated diseases or bone diseases) | | |
| RN | 494222-47-8 CAPLUS | | |
| CN | 4-Thiazolecarboxylic acid, 2-[(2-[(2R)-2-[(1E,3S)-4-(4-fluorophenyl)-3-hydroxy-1-butene-1-yl]-5-oxo-1-pyrrolidinyl]ethyl]thio)- | (CA INDEX NAME) | |

Absolute stereochemistry.
Double bond geometry as shown.

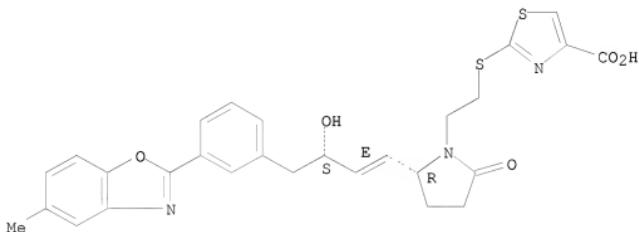


RN 729611-04-5 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(2R)-2-[(1E,3S)-3-hydroxy-4-[3-(5-methyl-2-benzoxazolyl)phenyl]-1-buten-1-yl]ethoxy-1-pyrrolidinyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

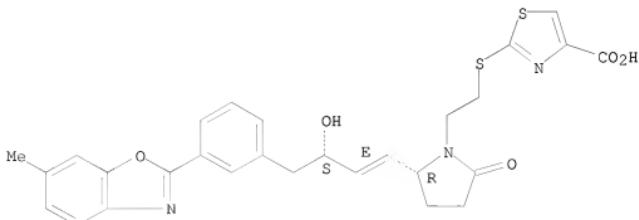


RN 729611-06-7 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(2R)-2-[(1E,3S)-3-hydroxy-4-[3-(6-methyl-2-benzoxazolyl)phenyl]-1-buten-1-yl]ethoxy-1-pyrrolidinyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

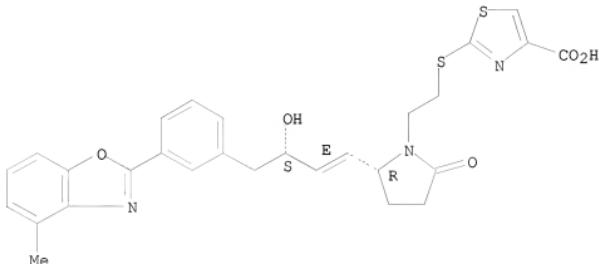
Double bond geometry as shown.



RN 729611-09-0 CAPLUS

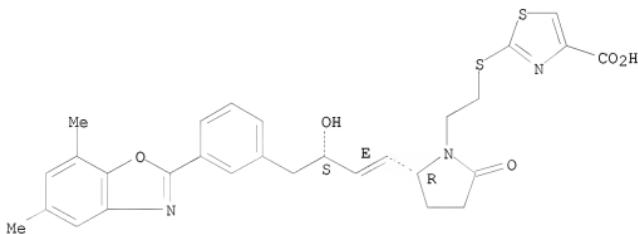
CN 4-Thiazolecarboxylic acid, 2-[(2-((2R)-2-((1E,3S)-3-hydroxy-4-[3-(4-methyl-2-benzoxazolyl)phenyl]-1-buten-1-yl)-5-oxo-1-pyrrolidinyl]ethyl]thio)-(CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



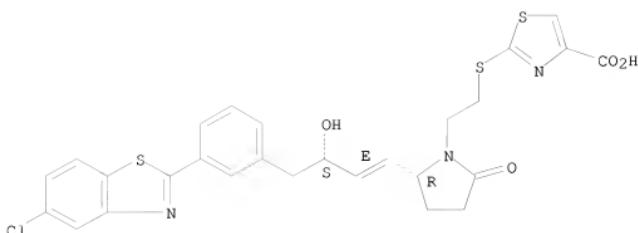
RN 729611-12-5 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[(2-((2R)-2-((1E,3S)-4-[3-(5,7-dimethyl-2-benzoxazolyl)phenyl]-3-hydroxy-1-buten-1-yl)-5-oxo-1-pyrrolidinyl)ethyl]thio)-(CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 729611-13-6 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[(2-((2R)-2-((1E,3S)-4-[3-(5-chloro-2-benzothiazolyl)phenyl]-3-hydroxy-1-buten-1-yl)-5-oxo-1-pyrrolidinyl)ethyl]thio)-(CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

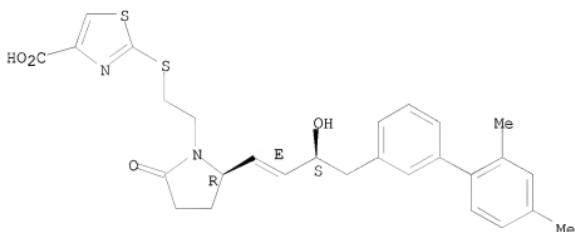


RN 729611-15-8 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(2R)-2-[(1E,3S)-4-(2',4'-dimethyl[1,1'-biphenyl]-3-yl)-3-hydroxy-1-buten-1-yl]-5-oxo-1-pyrrolidinyl]ethyl]thio)-(CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

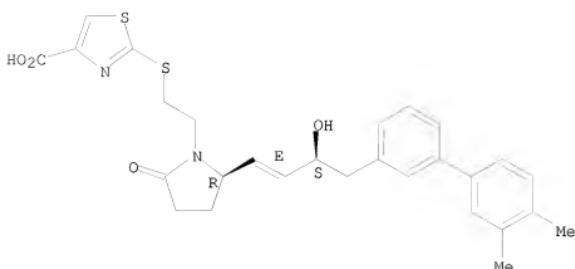


RN 729611-16-9 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(2R)-2-[(1E,3S)-4-(3',4'-dimethyl[1,1'-biphenyl]-3-yl)-3-hydroxy-1-buten-1-yl]-5-oxo-1-pyrrolidinyl]ethyl]thio)-(CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

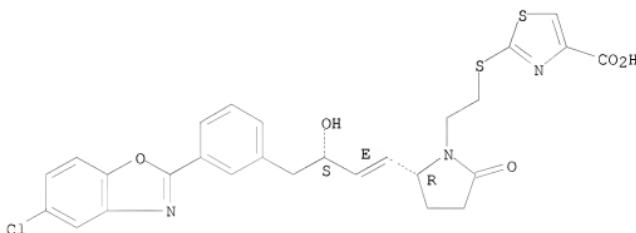


RN 729611-19-2 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(2R)-2-[(1E,3S)-4-[(3-(5-chloro-2-benzoxazolyl)phenyl]-3-hydroxy-1-butyl]-5-oxo-1-pyrrolidinyl]ethyl]thio)- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

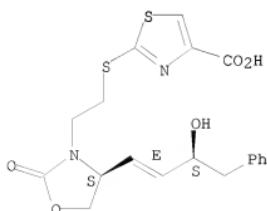


RN 729611-50-1 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(4S)-4-[(1E,3S)-3-hydroxy-4-phenyl-1-buten-1-yl]-2-oxo-3-oxazolidinyl]ethyl]thio)- (CA INDEX NAME)

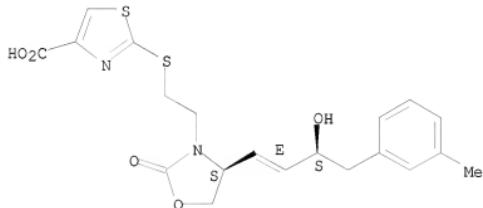
Absolute stereochemistry.

Double bond geometry as shown.



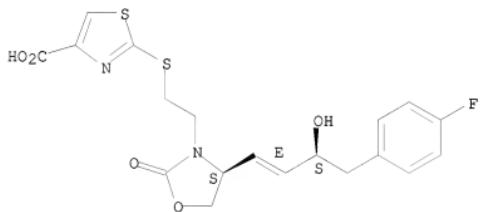
RN 729611-51-2 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[(2-[(4S)-4-[(1E,3S)-3-hydroxy-4-(3-methylphenyl)-1-buten-1-yl]-2-oxo-3-oxazolidinyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



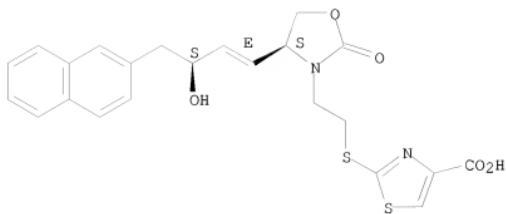
RN 729611-52-3 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[(2-[(4S)-4-[(1E,3S)-4-(4-fluorophenyl)-3-hydroxy-1-buten-1-yl]-2-oxo-3-oxazolidinyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 729611-53-4 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[(2-[(4S)-4-[(1E,3S)-3-hydroxy-4-(2-naphthalenyl)-1-buten-1-yl]-2-oxo-3-oxazolidinyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

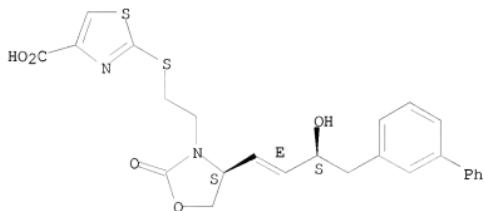


RN 729611-54-5 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(4S)-4-[(1E,3S)-4-(1,1'-biphenyl)-3-yl-3-hydroxy-1-buten-1-yl]-2-oxo-3-oxazolidinyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

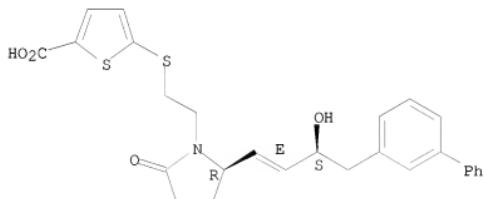


RN 729611-55-6 CAPLUS

CN 2-Thiophenecarboxylic acid, 5-[(2-[(2R)-2-[(1E,3S)-4-(1,1'-biphenyl)-3-yl-3-hydroxy-1-buten-1-yl]-5-oxo-1-pyrrolidinyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

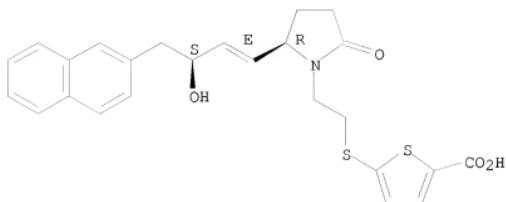


RN 729611-56-7 CAPLUS

CN 2-Thiophenecarboxylic acid, 5-[(2-[(2R)-2-[(1E,3S)-3-hydroxy-4-(2-naphthalenyl)-1-buten-1-yl]-5-oxo-1-pyrrolidinyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

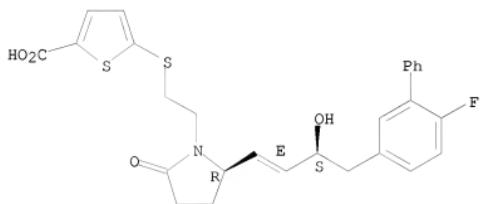


RN 729611-57-8 CAPLUS

CN 2-Thiophenecarboxylic acid, 5-[(2-[(1E,3S)-4-(6-fluoro[1,1'-biphenyl]-3-yl)-3-hydroxy-1-buten-1-yl]-5-oxo-1-pyrrolidinyl)ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

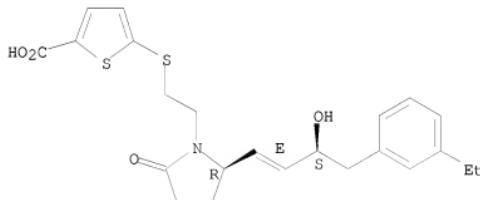


RN 729611-58-9 CAPLUS

CN 2-Thiophenecarboxylic acid, 5-[(2-[(1E,3S)-4-(3-ethylphenyl)-3-hydroxy-1-buten-1-yl]-5-oxo-1-pyrrolidinyl)ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

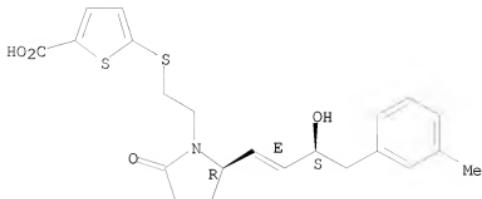


RN 729611-59-0 CAPLUS

CN 2-Thiophenecarboxylic acid, 5-[(2-[(1E,3S)-3-hydroxy-4-(3-methylphenyl)-1-buten-1-yl]-5-oxo-1-pyrrolidinyl)ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

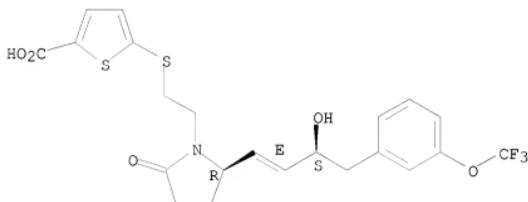


RN 729611-60-3 CAPLUS

CN 2-Thiophencarboxylic acid, 5-[(2-[(2R)-2-[(1E,3S)-3-hydroxy-4-[3-(trifluoromethoxy)phenyl]-1-butenyl]-5-oxo-1-pyrrolidinyl]ethyl]thio]-(CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

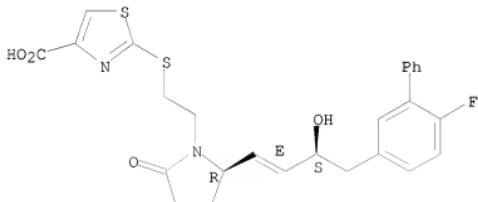


RN 729611-61-4 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(2R)-2-[(1E,3S)-4-(6-fluoro[1,1'-biphenyl]-3-yl)-3-hydroxy-1-butenyl]-5-oxo-1-pyrrolidinyl]ethyl]thio]-(CA INDEX NAME)

Absolute stereochemistry.

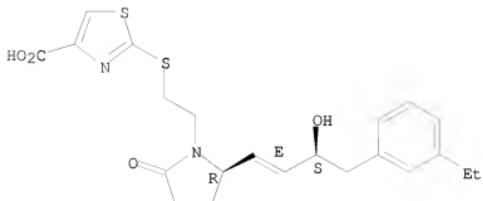
Double bond geometry as shown.



RN 729611-62-5 CAPLUS

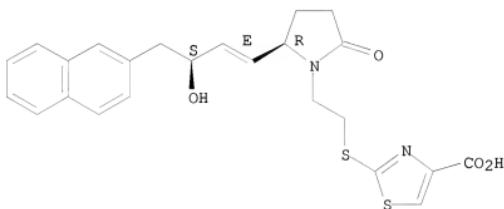
CN 4-Thiazolecarboxylic acid, 2-[(2-[(2R)-2-[(1E,3S)-4-(3-ethylphenyl)-3-hydroxy-1-butenyl]-5-oxo-1-pyrrolidinyl]ethyl]thio]-(CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



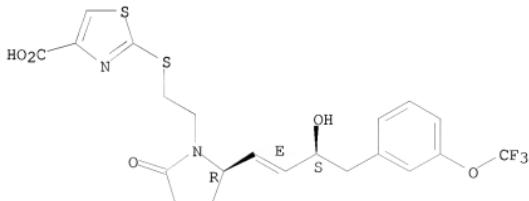
RN 729611-63-6 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[(2-[(2R)-2-[(1E,3S)-3-hydroxy-4-(2-naphthalenyl)-1-buten-1-yl]-5-oxo-1-pyrrolidinyl]ethyl)thio]- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 729611-64-7 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[(2-[(2R)-2-[(1E,3S)-3-hydroxy-4-[(trifluoromethoxy)phenyl]-1-buten-1-yl]-5-oxo-1-pyrrolidinyl]ethyl)thio]- (CA INDEX NAME)

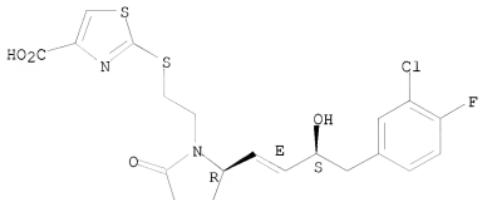
Absolute stereochemistry.
Double bond geometry as shown.



RN 729611-65-8 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2R)-2-[(1E,3S)-4-(3-chloro-4-fluorophenyl)-3-hydroxy-1-butyl]-5-oxo-1-pyrrolidinyl]ethyl]thio]- (CA INDEX NAME)

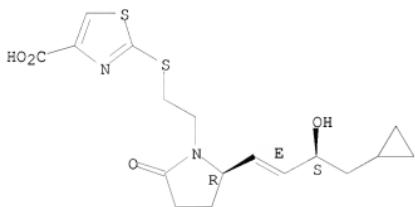
Absolute stereochemistry.
Double bond geometry as shown.



RN 729611-66-9 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2R)-2-[(1E,3S)-4-cyclopropyl-3-hydroxy-1-butyl]-5-oxo-1-pyrrolidinyl]ethyl]thio]- (CA INDEX NAME)

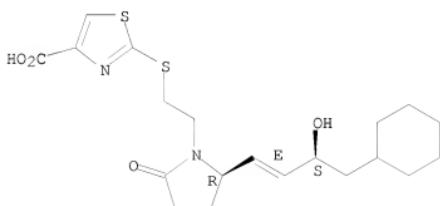
Absolute stereochemistry.
Double bond geometry as shown.



RN 729611-67-0 CAPLUS

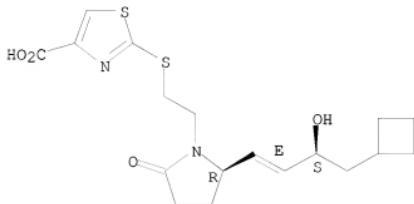
CN 4-Thiazolecarboxylic acid, 2-[(2R)-2-[(1E,3S)-4-cyclohexyl-3-hydroxy-1-butyl]-5-oxo-1-pyrrolidinyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



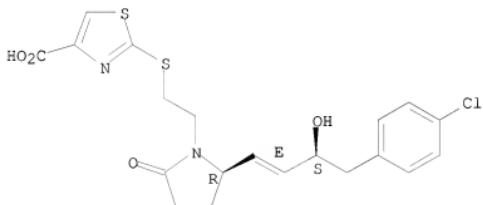
RN 729611-68-1 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[(2-[(2R)-2-[(1E,3S)-4-cyclobutyl-3-hydroxy-1-but-en-1-yl]-5-oxo-1-pyrrolidinyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



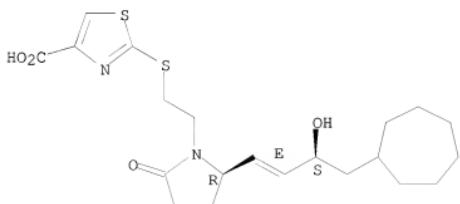
RN 729611-69-2 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[(2-[(2R)-2-[(1E,3S)-4-(4-chlorophenyl)-3-hydroxy-1-but-en-1-yl]-5-oxo-1-pyrrolidinyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 729611-70-5 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[(2-[(2R)-2-[(1E,3S)-4-cycloheptyl-3-hydroxy-1-but-en-1-yl]-5-oxo-1-pyrrolidinyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

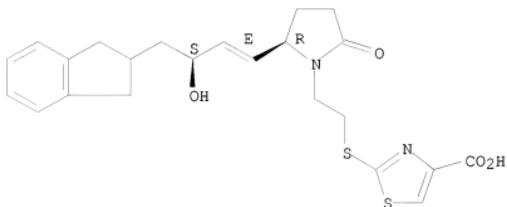


RN 729611-71-6 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(2R)-2-[(1E,3S)-4-(2,3-dihydro-1H-inden-2-yl)-3-hydroxy-1-buten-1-yl]-5-oxo-1-pyrrolidinyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

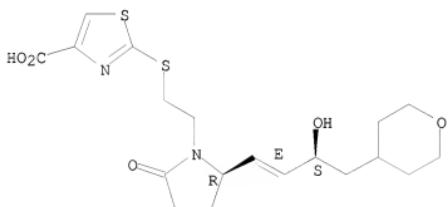


RN 729611-72-7 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(2R)-2-[(1E,3S)-3-hydroxy-4-(tetrahydro-2H-pyran-4-yl)-1-buten-1-yl]-5-oxo-1-pyrrolidinyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

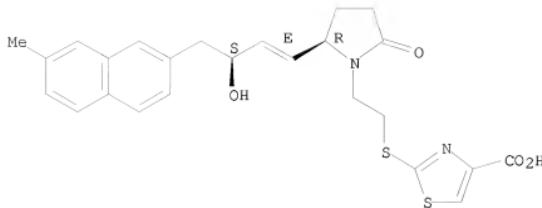


RN 729611-73-8 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(2R)-2-[(1E,3S)-3-hydroxy-4-(7-methyl-2-naphthalenyl)-1-buten-1-yl]-5-oxo-1-pyrrolidinyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



OS.CITING REF COUNT: 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD
 (15 CITINGS)
 REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 18 OF 38 CAPLUS COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 2004:370901 CAPLUS
 DOCUMENT NUMBER: 140:391154
 TITLE: A preparation of pyrrolidinone derivatives useful as
 selective EP4 receptor agonists
 INVENTOR(S): Billot, Xavier; Beunard, Jean-Luc; Han, Yongxin;
 Young, Robert N.; Colucci, John; Girard, Mario;
 Wilson, Marie-Claire
 PATENT ASSIGNEE(S): Merck Frost Canada & Co., Can.
 SOURCE: PCT Int. Appl., 47 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|------------|
| WO 2004037786 | A2 | 20040506 | WO 2003-CA1620 | 20031023 |
| WO 2004037786 | A3 | 20040930 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE,
GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR,
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,
PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SI, SY, TJ, TM, TN,
TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
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| CA 2502914 | A1 | 20040506 | CA 2003-2502914 | 20031023 |
| AU 2003275840 | A1 | 20040513 | AU 2003-275840 | 20031023 |
| EP 1558602 | A2 | 20050803 | EP 2003-809227 | 20031023 |
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| JP 2006505572 | T | 20060216 | JP 2004-545645 | 20031023 |
| US 20060167081 | A1 | 20060727 | US 2005-528419 | 20050317 |
| PRIORITY APPLN. INFO.: | | | US 2002-421402P | P 20021025 |
| | | | WO 2003-CA1620 | W 20031023 |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 140:391154

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to pyrrolidinone derivs. of formula I [wherein: Y1 = (CH₂)₂, CH:CH, 1,2-cyclopropanediyl; Y is C(O) or CH(OH); A is (CH₂)₁₋₄; Z = O, S, 1,2-cyclopropanediyl, HC:CH, C:tpibond.C, or a bond; Q is a disubstituted (hetero)aryl ring; W is a bond, unsubstituted Cl-6 alkylene, or Cl-6 alkylene substituted with 1-4 halogen atoms; R1 = OH, CN, CHO, etc.; R2 = Cl-6alkyl, (CH₂)₀₋₈-(C₆₋₁₀aryl), O-C1-10alkyl, etc.; R3 and R4 are independently selected from halogen, Cl-6alkyl, or R3 and R4, together with the carbon atom to which they are attached, form a C3-7 cycloalkyl ring] useful as potent selective agonists of the EP₄ subtype of prostaglandin E2 receptors. The invention compds. are useful in treatment of glaucoma and other conditions which are related to the elevated intraocular pressure in the eye. The invention relates to the use of the invention compds. for mediating the bone modeling and remodeling processes of the osteoblasts and osteoclasts. The invention compds. were tested as EP₄ agonists on intraocular pressure in rabbits and monkeys; prostanoïd receptor binding assays and bone resorption assays were performed (in a subclass of the invented compds., agonists have EC₅₀ values from 0.01 µM to 10 µM). The synthesized stereoisomeric pyrrolidinones II were prepared from pyrrole derivative III via oxidation, condensation with PhCF₂C(O)CH₂P(O)(OMe)₂, keto-group reduction of the obtained unsatd. ketone IV, alc. protection, N-cleavage, addition of thiophene derivative V to the obtained compound VI, separation of the isomers, alc. deprotection, and hydrolysis.

IT 685896-00-8P 685896-02-0P 685896-04-2P
685896-06-4P 685896-07-5P 685896-09-7P
685896-12-2P 685896-15-5P 685896-16-6P
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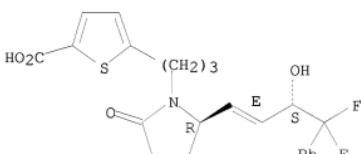
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrrolidinone derivs. useful as selective EP₄ receptor agonists)

RN 685896-00-8 CAPLUS

CN 2-Thiophenecarboxylic acid, 5-[3-[(2R)-2-[(1E,3S)-4,4-difluoro-3-hydroxy-4-phenyl-1-buten-1-yl]-5-oxo-1-pyrrolidinyl]propyl]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

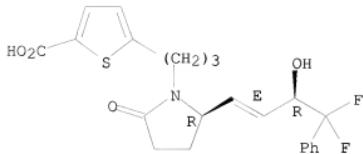


RN 685896-02-0 CAPLUS

CN 2-Thiophenecarboxylic acid, 5-[3-[(2R)-2-[(1E,3R)-4,4-difluoro-3-hydroxy-4-phenyl-1-buten-1-yl]-5-oxo-1-pyrrolidinyl]propyl]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

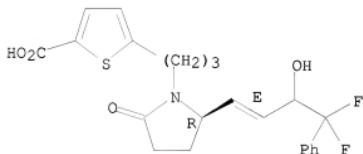


RN 685896-04-2 CAPLUS

CN 2-Thiophenecarboxylic acid, 5-[3-[(2R)-2-[(1E)-4,4-difluoro-3-hydroxy-4-phenyl-1-buten-1-yl]-5-oxo-1-pyrrolidinyl]propyl]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

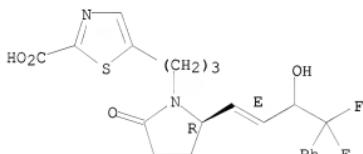


RN 685896-06-4 CAPLUS

CN 2-Thiazolecarboxylic acid, 5-[3-[(2R)-2-[(1E)-4,4-difluoro-3-hydroxy-4-phenyl-1-buten-1-yl]-5-oxo-1-pyrrolidinyl]propyl]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

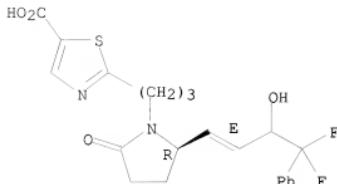


RN 685896-07-5 CAPLUS

CN 5-Thiazolecarboxylic acid, 2-[3-[(2R)-2-[(1E)-4,4-difluoro-3-hydroxy-4-phenyl-1-buten-1-yl]-5-oxo-1-pyrrolidinyl]propyl]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

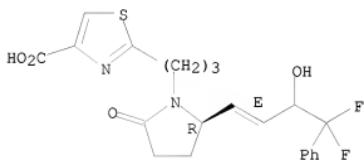


RN 685896-09-7 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[3-[(2R)-2-[(1E)-4,4-difluoro-3-hydroxy-4-phenyl-1-buten-1-yl]-5-oxo-1-pyrrolidinyl]propyl]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

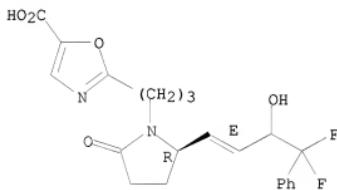


RN 685896-12-2 CAPLUS

CN 5-Oxazolecarboxylic acid, 2-[3-[(2R)-2-[(1E)-4,4-difluoro-3-hydroxy-4-phenyl-1-buten-1-yl]-5-oxo-1-pyrrolidinyl]propyl]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

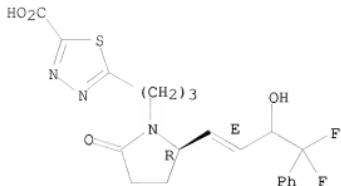


RN 685896-15-5 CAPLUS

CN 1,3,4-Thiadiazole-2-carboxylic acid,
5-[3-[(2R)-2-[(1E)-4,4-difluoro-3-hydroxy-4-phenyl-1-buten-1-yl]-5-oxo-1-pyrrolidinyl]propyl]- (CA INDEX NAME)

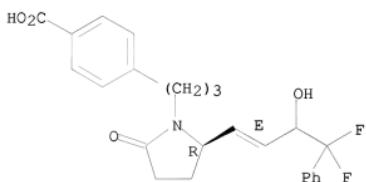
Absolute stereochemistry.

Double bond geometry as shown.



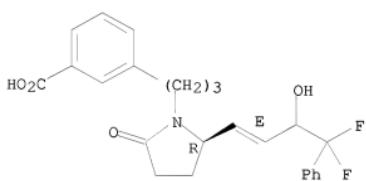
RN 685896-16-6 CAPLUS
 CN Benzoic acid, 4-[3-[(2R)-2-[(1E)-4,4-difluoro-3-hydroxy-4-phenyl-1-buten-1-yl]-5-oxo-1-pyrrolidinyl]propyl]- (CA INDEX NAME)

Absolute stereochemistry.
 Double bond geometry as shown.



RN 685896-17-7 CAPLUS
 CN Benzoic acid, 3-[(2R)-2-[(1E)-4,4-difluoro-3-hydroxy-4-phenyl-1-buten-1-yl]-5-oxo-1-pyrrolidinyl]- (CA INDEX NAME)

Absolute stereochemistry.
 Double bond geometry as shown.



| | | |
|----------------------|---|--|
| OS.CITING REF COUNT: | 2 | THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD
(2 CITINGS) |
| REFERENCE COUNT: | 4 | THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT |

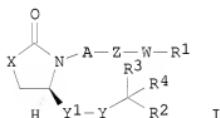
L4 ANSWER 19 OF 38 CAPLUS COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 2004:203664 CAPLUS
 DOCUMENT NUMBER: 140:253553
 TITLE: Preparation of oxazolidin-2-one and thiazolidin-2-one derivatives for use as prostaglandin E2 receptor EP4-subtype agonists

INVENTOR(S): Han, YONGXIN; Colucci, John; Billot, Xavier; Wilson, Marie-Claire; Young, Robert
 PATENT ASSIGNEE(S): Merck Frosst Canada & Co., Can.
 SOURCE: PCT Int. Appl., 66 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|-------------|
| WO 2004019938 | A1 | 20040311 | WO 2003-CA1306 | 20030825 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2495917 | A1 | 20040311 | CA 2003-2495917 | 20030825 |
| AU 2003258433 | A1 | 20040319 | AU 2003-258433 | 20030825 |
| EP 1545517 | A1 | 20050629 | EP 2003-790594 | 20030825 |
| R: AI, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | |
| JP 2006504679 | T | 20060209 | JP 2004-531332 | 20030825 |
| US 20060154899 | A1 | 20060713 | US 2005-521508 | 20050118 |
| US 7109223 | B2 | 20060919 | | |
| US 20060270721 | A1 | 20061130 | US 2006-498124 | 20060802 |
| PRIORITY APPLN. INFO.: | | | US 2002-406530P | P 20020828 |
| | | | WO 2003-CA1306 | W 20030825 |
| | | | US 2005-521508 | A3 20050118 |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 140:253553
GI



AB This invention relates to compds. of formula (I) [X = O, S; Y1 = CH2CH2, CH:CH, cyclopropane-1,2-diyl; Y = CO, CH(OH); A, W = a bond, C1-6 alkylene optionally substituted with 1, 2, 3, or 4 halogen atoms; Z = O, S, cyclopropane-1,2-diyl, CH2, HC:H, C.tplbond.C, each disubstituted aryl or heteroaryl ring; R2 = C1-6 alkyl, provided that R2 is not n-pentyl, (CH2)0-8-C6-10 aryl, (CH2)0-8-C5-10 heteroaryl, (CH2)0-8-C3-10 heterocycloalkyl, (CH2)0-8-C3-8cycloalkyl, O-C1-10-alkyl, O-C6-10aryl, O-C5-10heteroaryl, O-C5-10heterocycloalkyl, O-C3-10cycloalkyl wherein aryl, heteroaryl, heterocycloalkyl, and cycloalkyl are optionally substituted; R3, R4 = H, halogen, C1-6 alkyl; or R3 and R4, together with the carbon atom to which they are attached, form a C3-7 cycloalkyl ring; R5 = H, OH, CH2OH, C1-6 alkoxy, NHPO2R6, NHR9, NHSO2R8, NR6R7; R6, R7 = H,

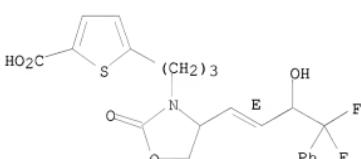
C1-6 alkyl; R8 = H, C6-10 aryl, C1-4 alkyl; R9 = acyl, sulfonyl) are prepared. These compds. are potent selective agonists of the EP4 subtype of prostaglandin E2 receptors. Also disclosed are their use for a medicament in the treatment of conditions which are related to elevated intraocular pressure in the eye of a patient by (1) treating ocular hypertension, glaucoma, macular edema, or macular degeneration, (2) increasing retinal and optic nerve head blood velocity, (3) increasing retinal and optic nerve tension, (4) providing a neuroprotective effect, or (5) treating dry eyes.

IT 670219-78-0P, 5-[3-{4-((1E)-4,4-Difluoro-3-hydroxy-4-phenylbut-1-enyl)-2-oxo-1,3-oxazolidin-3-yl}propyl]thiophene-2-carboxylic acid
 670219-79-1P, 5-[3-{4-((1E)-4,4-Difluoro-3-hydroxy-4-phenylbut-1-enyl)-2-oxo-1,3-oxazolidin-3-yl}propyl]-2-furoic acid
 670219-86-0P, 3-[3-{4-((1E)-4,4-Difluoro-3-hydroxy-4-phenylbut-1-enyl)-2-oxo-1,3-oxazolidin-3-yl}propyl]benzoic acid 670219-87-1P
 , 4-[3-{4-((1E)-4,4-Difluoro-3-hydroxy-4-phenylbut-1-enyl)-2-oxo-1,3-oxazolidin-3-yl}propyl]benzoic acid 670219-88-2P,
 2-[3-{4-((1E)-4,4-Difluoro-3-hydroxy-4-phenylbut-1-enyl)-2-oxo-1,3-oxazolidin-3-yl}propyl]benzoic acid
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of oxazolidinone and thiazolidinone derivs. as prostaglandin E2 receptor EP4-subtype agonists in treatment of conditions related to elevated intraocular pressure in eye)

RN 670219-78-0 CAPLUS

CN 2-Thiophenecarboxylic acid, 5-[3-{4-[(1E)-4,4-difluoro-3-hydroxy-4-phenyl-1-buten-1-yl]-2-oxo-3-oxazolidinyl}propyl]- (CA INDEX NAME)

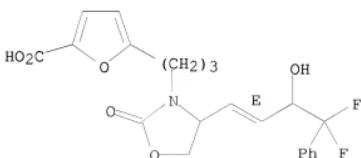
Double bond geometry as shown.



RN 670219-79-1 CAPLUS

CN 2-Furancarboxylic acid, 5-[3-{4-[(1E)-4,4-difluoro-3-hydroxy-4-phenyl-1-buten-1-yl]-2-oxo-3-oxazolidinyl}propyl]- (CA INDEX NAME)

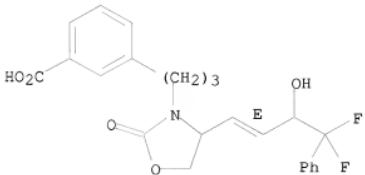
Double bond geometry as shown.



RN 670219-86-0 CAPLUS

CN Benzoic acid, 3-[3-{4-[(1E)-4,4-difluoro-3-hydroxy-4-phenyl-1-buten-1-yl]-2-oxo-3-oxazolidinyl}propyl]- (CA INDEX NAME)

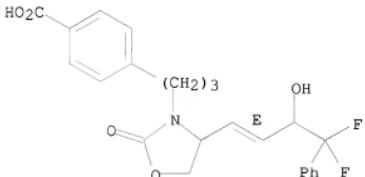
Double bond geometry as shown.



RN 670219-87-1 CAPLUS

CN Benzoic acid, 4-[3-[4-[(1E)-4,4-difluoro-3-hydroxy-4-phenyl-1-buten-1-yl]-2-oxo-3-oxazolidinyl]propyl]- (CA INDEX NAME)

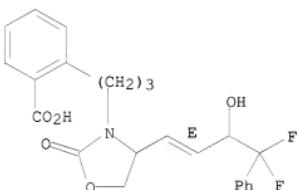
Double bond geometry as shown.



RN 670219-88-2 CAPLUS

CN Benzoic acid, 2-[3-[4-[(1E)-4,4-difluoro-3-hydroxy-4-phenyl-1-buten-1-yl]-2-oxo-3-oxazolidinyl]propyl]- (CA INDEX NAME)

Double bond geometry as shown.



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD
(2 CITINGS)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 20 OF 38 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2003:757519 CAPLUS

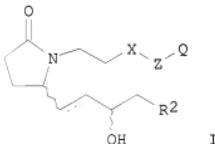
DOCUMENT NUMBER: 139:276812

TITLE: Preparation of hydroxylorgano pyrrolidinones as EP4
receptor selective agonists for the treatment of

INVENTOR(S): hypertension and other disorders
 Cameron, Kimberly O'Keefe; Lefker, Bruce Allen;
 Knight, Delvin Roscoe, Jr.
 PATENT ASSIGNEE(S): Pfizer Products Inc., USA
 SOURCE: PCT Int. Appl., 124 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|------------|
| WO 2003077910 | A1 | 20030925 | WO 2003-IB844 | 20030306 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KE, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2478653 | A1 | 20030925 | CA 2003-2478653 | 20030306 |
| AU 2003207900 | A1 | 20030929 | AU 2003-207900 | 20030306 |
| EP 1487437 | A1 | 20041222 | EP 2003-704902 | 20030306 |
| EP 1487437 | B1 | 20060816 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | |
| BR 2003008738 | A | 20050111 | BR 2003-8738 | 20030306 |
| JP 2005531516 | T | 20051020 | JP 2003-575963 | 20030306 |
| AT 336247 | T | 20060915 | AT 2003-704902 | 20030306 |
| ES 2268327 | T3 | 20070316 | ES 2003-704902 | 20030306 |
| US 20030207925 | A1 | 20031106 | US 2003-386307 | 20030311 |
| US 7414071 | B2 | 20080819 | | |
| MX 2004005555 | A | 20050516 | MX 2004-5555 | 20040608 |
| PRIORITY APPLN. INFO.: | | | US 2002-365711P | P 20020318 |
| | | | WO 2003-IB844 | W 20030306 |

OTHER SOURCE(S): MARPAT 139:276812
 GI



AB This invention is directed to hydroxyorgano pyrrolidinones (I; e.g. 4-[3-(2-(3-hydroxy-4-phenylbutyl)-5-oxopyrrolidin-1-yl]propyl)benzoic acid; R2, X, Z and Q are defined below and in more detail in the claims) that are EP4 receptor selective prostaglandin agonists. This invention is also directed to pharmaceutical compns. containing those compds. This invention is also directed to methods of treating hypertension, liver failure, loss of patency of the ductus arteriosus, glaucoma or ocular hypertension. IC50 values for binding of

5-[3-[2S-[3R-hydroxy-4-(3-trifluoromethylphenyl)butyl]-5-oxopyrrolidin-1-yl]propyl]thiophene-2-carboxylic acid (II) to various receptors are human EP1 receptor, >1000 nm; rat EP2 receptor, 463 nm; human EP3 receptor, >1000 nm; and rat EP4 receptor, 11 nm. II exhibited an EC50 value of 0.6 nm in an assay involving cAMP elevation in 293T cell lines stably overexpressing recombinant rat EP4 receptors. Results are also presented for the hypotensive effect of the Na salt of II in in vivo rabbit and primate models. In I, a prodrug thereof, a pharmaceutically acceptable salt of said compound or said prodrug or a stereoisomer or diastereomeric mixture of said compound, prodrug or salt: the dotted line is a bond or no bond; X is -CH₂- or O; Z is -(CH₂)₃-, thieryl, thiazolyl or Ph, provided that when X is O, then Z is phenyl; Q is carboxy, (C1-C4)alkoxycarbonyl or tetrazolyl; R₂ is -Ar or -Ar₁V-Ar₂; V is a bond, -O-, -OCH₂- or -CH₂O-. Ar is a partially saturated, fully saturated or fully unsatd. 5-8 membered ring optionally having 1-4 heteroatoms selected independently from O, S and N, or a bicyclic ring consisting of two fused independently partially saturated, fully saturated or fully unsatd. 5-6 membered rings, taken independently, optionally having 1-4 heteroatoms selected independently from N, S and O, said partially or fully saturated ring or bicyclic ring optionally having 1-2 oxo groups substituted on C or 1-2 oxo groups substituted on S. Ar₁ and Ar₂ are each independently a partially saturated, fully saturated or fully unsatd.

5-8 membered ring optionally having 1-4 heteroatoms selected independently from O, S and N, said partially or fully saturated ring optionally having 1-2 oxo groups substituted on C or 1-2 oxo groups substituted on S. Ar is optionally substituted on C or N, on one ring if the moiety is monocyclic, or on one or both rings if the moiety is bicyclic, with up to three substituents per ring each independently selected from hydroxy, halo, carboxy, (C1-C7) alkoxy, (C1-C4)alkoxy(C1-C4)alkyl, (C1-C7)alkyl, (C2-C7)alkenyl, (C3-C7)cycloalkyl, (C3-C7)cycloalkyl(C1-C4)alkyl, (C3-C7)cycloalkyl(C1-C4)alkanoyl, formyl, (C1-C8) alkanoyl, (C1-C6) alkanoyl(C1-C6)alkyl, (C1-C4)alkanoylamino, (C1-C4)alkoxycarbonylamino, hydroxysulfonyl, aminocarbonylamino or mono-N-, di-N-, di-N,N'- or tri-N,N,N'-(C1-C4)alkyl substituted aminocarbonylamino, sulfonamido, (C1-C4)alkylsulfonamido, amino, mono-N- or di-N,N-(C1-C4)alkylamino, carbamoyl, mono-N- or di-N,N-(C1-C4)alkylcarbamoyl, cyano, thiol, (C1-C6)alkylthio, (C1-C6)alkylsulfinyl, (C1-C4)alkylsulfonyl and mono-N- or di-N,N-(C1-C4)alkylaminosulfinyl, wherein said alkyl and alkoxy substituents in the definition of Ar are optionally substituted on C with up to three fluoro. Ar₁ and Ar₂ are independently optionally substituted on C or N with up to three substituents each independently selected from hydroxy, halo, carboxy, (C1-C7) alkoxy, (C1-C4)alkoxy(C1-C4)alkyl, (C1-C7)alkyl, (C2-C7)alkenyl, (C3-C7)cycloalkyl, (C3-C7)cycloalkyl(C1-C4)alkanoyl, (C1-C4)alkoxy(C1-C4)alkyl, (C1-C4)alkoxy(C1-C4)alkanoyl, (C1-C8) alkanoyl, (C1-C6) alkanoyl(C1-C6)alkyl, (C1-C4)alkanoylamino, (C1-C4)alkoxycarbonylamino, hydroxysulfonyl, aminocarbonylamino or mono-N-, di-N-, di-N,N'- or tri-N,N,N'-(C1-C4)alkyl substituted aminocarbonylamino, sulfonamido, (C1-C4)alkylsulfonamido, amino, mono-N- or di-N,N-(C1-C4)alkylamino, carbamoyl, mono-N- or di-N,N-(C1-C4)alkylcarbamoyl, cyano, thiol, (C1-C6)alkylthio, (C1-C6)alkylsulfinyl, (C1-C4)alkylsulfonyl and mono-N- or di-N,N-(C1-C4)alkylaminosulfinyl, wherein said alkyl and alkoxy substituents in the definition of Ar₁ and Ar₂ are optionally substituted on C with up to three fluoro. (a) when X is (CH₂)- and Z is -(CH₂)₃-, then R₂ is not thieryl, Ph or Ph monosubstituted with chloro, fluoro, Ph, methoxy, trifluoromethyl or (C1-C4) alkyl; and (b) when X is (CH₂)-, Z is -(CH₂)₃, and Q is carboxy or (C1-C4) alkoxycarbonyl, then R₂ is not (i) (C5-C7)cycloalkyl or (ii)phenyl, thieryl or furyl each of which may be optionally monosubstituted or disubstituted by one or two substituents selected, independently in the latter case, from halogen atoms, alkyl groups having 1-3 C atoms which may be substituted by one or more halogen

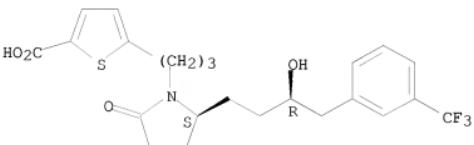
atoms, and alkoxy groups having 1-4 C atoms. Although the methods of preparation are not claimed, 41 example preps. are included.

IT 605686-01-9P, 5-[3-[2S-[3R-Hydroxy-4-(3-trifluoromethylphenyl)butyl]-5-oxopyrrolidin-1-yl]propyl]thiophene-2-carboxylic acid sodium salt
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (drug candidate; preparation of hydroxyorgano pyrrolidinones as EP4 receptor selective agonists for treatment of hypertension and other disorders)

RN 605686-01-9 CAPLUS

CN 2-Thiophenecarboxylic acid, 5-[3-[(2S)-2-[(3R)-3-hydroxy-4-[3-(trifluoromethyl)phenyl]butyl]-5-oxo-1-pyrrolidinyl]propyl-, sodium salt (1:1) (CA INDEX NAME)

Absolute stereochemistry.



● Na

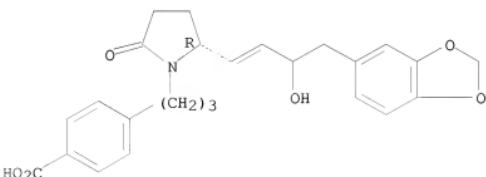
IT 431989-31-0P, 4-[3-[2R-(4-Benzo[1,3]dioxol-5-yl)-3-hydroxybut-1-enyl]-5-oxopyrrolidin-1-yl]propylbenzoic acid 431990-21-5P
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (intermediate; preparation of hydroxyorgano pyrrolidinones as EP4 receptor selective agonists for treatment of hypertension and other disorders)

RN 431989-31-0 CAPLUS

CN Benzoic acid, 4-[3-[(2R)-2-[4-(1,3-benzodioxol-5-yl)-3-hydroxy-1-buten-1-yl]-5-oxo-1-pyrrolidinyl]propyl- (CA INDEX NAME)

Absolute stereochemistry.

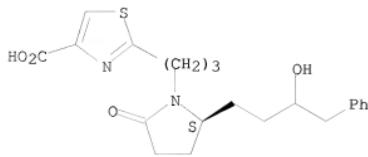
Double bond geometry unknown.



RN 431990-21-5 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[3-[(2S)-2-(3-hydroxy-4-phenylbutyl)-5-oxo-1-pyrrolidinyl]propyl- (CA INDEX NAME)

Absolute stereochemistry.

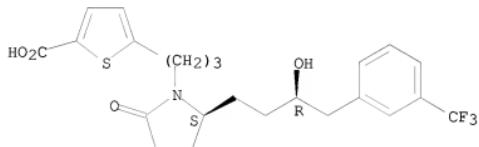


IT 431990-08-8P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of hydroxyorgano pyrrolidinones as EP4 receptor selective agonists for treatment of hypertension and other disorders)

RN 431990-08-8 CAPLUS

CN 2-Thienepanecarboxylic acid, 5-[3-[(2S)-2-[(3R)-3-hydroxy-4-[3-(trifluoromethyl)phenyl]butyl]-5-oxo-1-pyrrolidinyl]propyl]- (CA INDEX NAME)

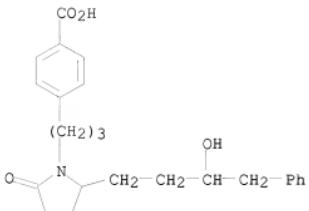
Absolute stereochemistry.



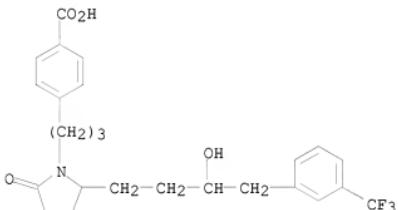
IT 431988-78-2P, 4-[3-[2-(3-Hydroxy-4-phenylbutyl)-5-oxopyrrolidin-1-yl]propyl]benzoic acid 431988-84-0P,
4-[3-[2-(3-Hydroxy-4-(3-trifluoromethylphenyl)butyl)-5-oxopyrrolidin-1-yl]propyl]benzoic acid 431988-90-8P,
4-[3-[2-(4-(3-Chlorophenyl)-3-hydroxybutyl)-5-oxopyrrolidin-1-yl]propyl]benzoic acid 431988-96-4P,
4-[3-[2-(4-(3-Fluorophenyl)-3-hydroxybutyl)-5-oxopyrrolidin-1-yl]propyl]benzoic acid 431988-00-3P,
4-[3-[2-(3-Hydroxy-4-(3-phenoxyphenyl)butyl)-5-oxopyrrolidin-1-yl]propyl]benzoic acid 431988-07-0P,
4-[3-[2-(4-(Biphenyl-3-yl)-3-hydroxybutyl)-5-oxopyrrolidin-1-yl]propyl]benzoic acid 431988-10-5P,
4-[3-[2-(4-(4-Fluorophenyl)-3-hydroxybutyl)-5-oxopyrrolidin-1-yl]propyl]benzoic acid 431988-35-4P,
4-[3-[2S-(4-Benzo[1,3]dioxol-5-yl-3-hydroxybutyl)-5-oxopyrrolidin-1-yl]propyl]benzoic acid 431988-27-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of hydroxyorgano pyrrolidinones as EP4 receptor selective agonists for treatment of hypertension and other disorders)

RN 431988-78-2 CAPLUS

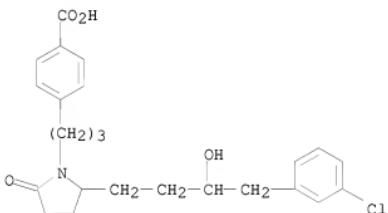
CN Benzoic acid, 4-[3-[2-(3-hydroxy-4-phenylbutyl)-5-oxo-1-pyrrolidinyl]propyl]- (CA INDEX NAME)



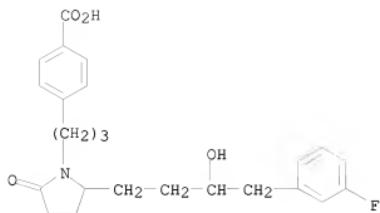
RN 431988-84-0 CAPLUS
CN Benzoic acid, 4-[3-[2-[3-hydroxy-4-[3-(trifluoromethyl)phenyl]butyl]-5-oxo-1-pyrrolidinyl]propyl]- (CA INDEX NAME)



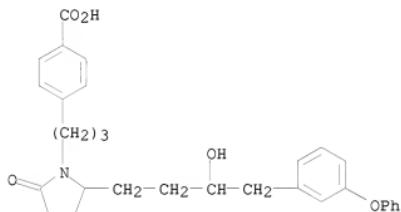
RN 431988-90-8 CAPLUS
CN Benzoic acid, 4-[3-[2-[4-(3-chlorophenyl)-3-hydroxybutyl]-5-oxo-1-pyrrolidinyl]propyl]- (CA INDEX NAME)



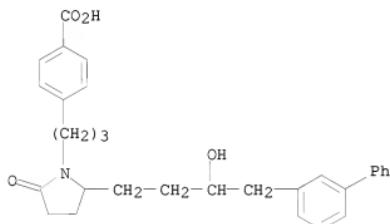
RN 431988-96-4 CAPLUS
CN Benzoic acid, 4-[3-[2-[4-(3-fluorophenyl)-3-hydroxybutyl]-5-oxo-1-pyrrolidinyl]propyl]- (CA INDEX NAME)



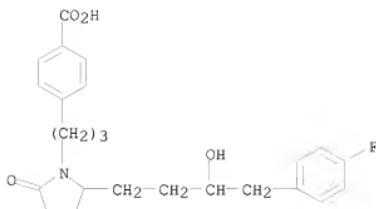
RN 431989-00-3 CAPLUS
CN Benzoic acid, 4-[3-{2-[3-hydroxy-4-(3-phenoxyphenyl)butyl]-5-oxo-1-pyrrolidinyl}propyl]- (CA INDEX NAME)



RN 431989-07-0 CAPLUS
CN Benzoic acid, 4-[3-{2-[4-[(1,1'-biphenyl)-3-yl]butyl}-3-hydroxybutyl]-5-oxo-1-pyrrolidinylpropyl]- (CA INDEX NAME)

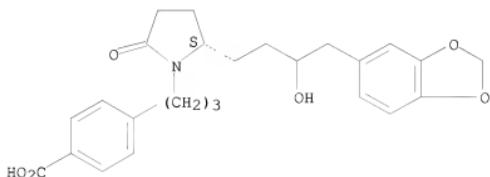


RN 431989-10-5 CAPLUS
CN Benzoic acid, 4-[3-{2-[4-(4-fluorophenyl)butyl}-3-hydroxybutyl]-5-oxo-1-pyrrolidinylpropyl]- (CA INDEX NAME)



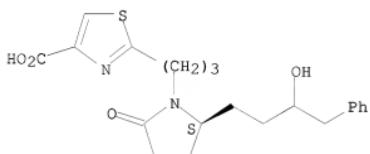
RN 431989-35-4 CAPLUS
 CN Benzoic acid, 4-[3-[(2S)-2-[4-(1,3-benzodioxol-5-yl)-3-hydroxybutyl]-5-oxo-1-pyrrolidinyl]propyl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 431990-27-1 CAPLUS
 CN 4-Thiazolecarboxylic acid, 2-[3-[(2S)-2-(3-hydroxy-4-phenylbutyl)-5-oxo-1-pyrrolidinyl]propyl]-, sodium salt (1:1) (CA INDEX NAME)

Absolute stereochemistry.



● Na

| | | |
|----------------------|---|--|
| OS.CITING REF COUNT: | 4 | THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD
(4 CITINGS) |
| REFERENCE COUNT: | 5 | THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT |

L4 ANSWER 21 OF 38 CAPLUS COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 2003:719446 CAPLUS
 DOCUMENT NUMBER: 139:245813

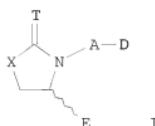
TITLE: Preparation of 8-azaprostaglandin derivatives as EP2
and EP4 receptor agonists
INVENTOR(S): Tani, Kousuke; Kobayashi, Kaoru; Maruyama, Toru;
Kambe, Tohru; Ogawa, Mikio; Shiroya, Tsutomu
PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 436 pp.
CODEN: FIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|------------------|-------------|
| WO 2003074483 | A1 | 20030912 | WO 2003-JP2478 | 20030304 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2477715 | A1 | 20030912 | CA 2003-2477715 | 20030304 |
| AU 2003211574 | A1 | 20030916 | AU 2003-211574 | 20030304 |
| EP 1481976 | A1 | 20041201 | EP 2003-743585 | 20030304 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | |
| BR 2003008166 | A | 20050118 | BR 2003-8166 | 20030304 |
| CN 1653046 | A | 20050810 | CN 2003-810251 | 20030304 |
| NZ 535024 | A | 20061027 | NZ 2003-535024 | 20030304 |
| RU 2306309 | C2 | 20070920 | RU 2004-129584 | 20030304 |
| CN 101302180 | A | 20081112 | CN 2008-10095385 | 20030304 |
| ZA 2004007034 | A | 20050309 | ZA 2004-7034 | 20040902 |
| NO 2004003702 | A | 20041203 | NO 2004-3702 | 20040903 |
| MX 2004008596 | A | 20041206 | MX 2004-8596 | 20040903 |
| US 20050124577 | A1 | 20050609 | US 2004-506536 | 20040903 |
| US 7402605 | B2 | 20080722 | | |
| US 20090042885 | A1 | 20090212 | US 2008-139260 | 20080613 |
| PRIORITY APPLN. INFO.: | | | JP 2002-58487 | A 20020305 |
| | | | JP 2002-216567 | A 20020725 |
| | | | JP 2003-13447 | A 20030122 |
| | | | CN 2003-810251 | A3 20030304 |
| | | | WO 2003-JP2478 | W 20030304 |
| | | | US 2004-506536 | A3 20040903 |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 139:245813

GT



AB The title compds. I [T = O, etc.; X = CH₂, etc.; A = alkylene, etc.; D = CO₂H, etc.; E = U₁U₂U₃, etc.; U₁ = alkylene, etc.; U₂ = CH₂, etc.; U₃ = (un)substituted alkyl, etc.] are prepared I are useful in preventing and/or treating immune diseases, allergic diseases, nerve cell death, premature birth, misbirth, baldness, retinal neuropathy such as glaucoma, erectile dysfunction, arthritis, pulmonary injury, pulmonary fibrosis, pulmonary emphysema, bronchitis, chronic obstructive pulmonary disease, hepatic injury, acute hepatitis, cirrhosis, shock, nephritis, renal insufficiency, circulatory diseases, systemic inflammatory response syndrome, sepsis, Still's disease, Kawasaki's disease, burn, systemic granuloma, ulcerative colitis, Crohn's disease, hypercytokinemia at dialysis, multiorgan failure, bone diseases, etc. In an *in vitro* test for binding to the EP2 receptor, one compound of this invention showed the Ki value of 14 nM.

Formulations are given.

| | | | |
|----|--------------|--------------|--------------|
| IT | 597570-99-5P | 597571-01-2P | 597571-05-6P |
| | 597571-06-7P | 597571-08-9P | 597571-09-0P |
| | 597571-10-3P | 597571-11-4P | 597571-13-6P |
| | 597571-14-7P | 597571-88-5P | 597571-90-9P |
| | 597571-91-0P | 597571-95-4P | 597571-96-5P |
| | 597571-97-6P | 597571-98-7P | 597571-99-8P |
| | 597572-00-4P | 597572-01-5P | 597572-04-8P |
| | 597572-05-9P | 597572-06-0P | 597572-08-2P |
| | 597572-10-6P | 597572-11-7P | 597572-17-3P |
| | 597572-19-5P | 597572-20-8P | 597572-27-5P |
| | 597572-31-1P | 597572-80-0P | 597572-81-1P |
| | 597573-15-4P | 597573-16-5P | 597573-17-6P |
| | 597573-18-7P | 597573-19-8P | 597573-37-0P |
| | 597573-49-4P | 597573-54-1P | |

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

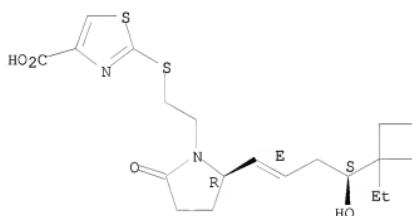
(preparation of 8-azaprostaglandin derivs. as EP2 and EP4 receptor agonists)

RN 597570-99-5 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(2R)-2-[(1E,4S)-4-(1-ethylcyclobutyl)-4-hydroxy-1-but-en-1-yl]-5-oxo-1-pyrrolidinyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

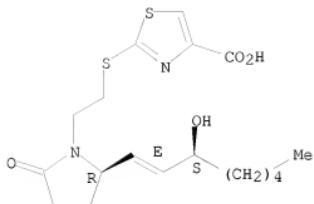


RN 597571-01-2 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(2R)-2-[(1E,3S)-3-hydroxy-1-octen-1-yl]-5-oxo-1-pyrrolidinyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

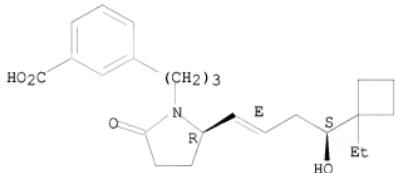


RN 597571-05-6 CAPLUS

CN Benzoic acid, 3-[3-[(2R)-2-[(1E,4S)-4-(1-ethylcyclobutyl)-4-hydroxy-1-buten-1-yl]-5-oxo-1-pyrrolidinyl]propyl]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

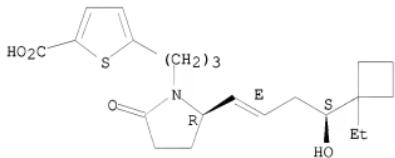


RN 597571-06-7 CAPLUS

CN 2-Thiophencarboxylic acid, 5-[3-[(2R)-2-[(1E,4S)-4-(1-ethylcyclobutyl)-4-hydroxy-1-buten-1-yl]-5-oxo-1-pyrrolidinyl]propyl]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

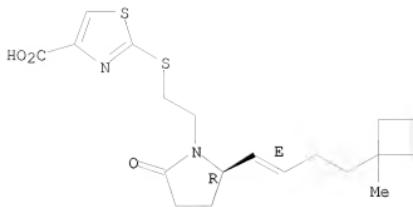


RN 597571-08-9 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(2R)-2-[(1E)-4-(1-methylcyclobutyl)-1-buten-1-yl]-5-oxo-1-pyrrolidinyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

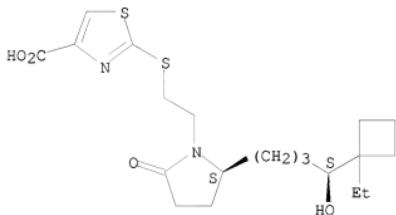
Double bond geometry as shown.



RN 597571-09-0 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2S)-2-[(4S)-4-(1-ethylcyclobutyl)-4-hydroxybutyl]-5-oxo-1-pyrrolidinyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

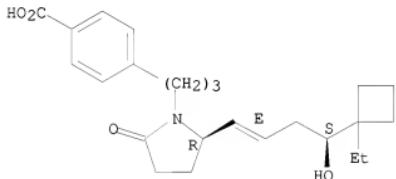


RN 597571-10-3 CAPLUS

CN Benzoic acid, 4-[3-[(2R)-2-[(1E,4S)-4-(1-ethylcyclobutyl)-4-hydroxy-1-butyl-1-yl]-5-oxo-1-pyrrolidinyl]propyl]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

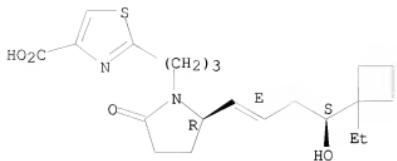


RN 597571-11-4 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(3-[(2R)-2-[(1E,4S)-4-(1-ethylcyclobutyl)-4-hydroxy-1-butyl-1-yl]-5-oxo-1-pyrrolidinyl]propyl]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

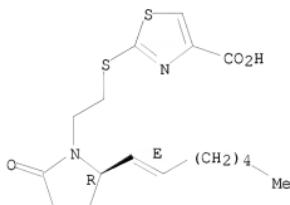


RN 597571-13-6 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(2R)-2-(1E)-1-hepten-1-yl]-5-oxo-1-pyrrolidinyl)ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

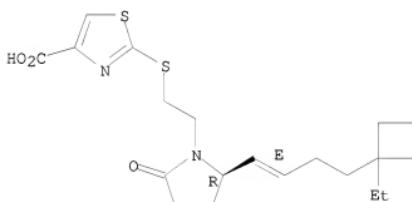


RN 597571-14-7 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(2R)-2-(1E)-4-(1-ethylcyclobutyl)-1-buten-1-yl]-5-oxo-1-pyrrolidinyl)ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

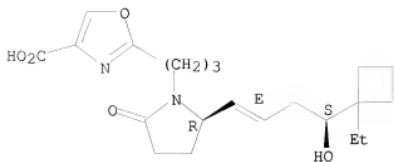


RN 597571-88-5 CAPLUS

CN 4-Oxazolecarboxylic acid, 2-[(2-[(2R)-2-(1E,4S)-4-(1-ethylcyclobutyl)-4-hydroxy-1-buten-1-yl]-5-oxo-1-pyrrolidinyl)propyl]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

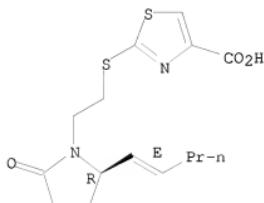


RN 597571-90-9 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(5R)-2-oxo-5-(1E)-1-pentenyl]ethyl)thio]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

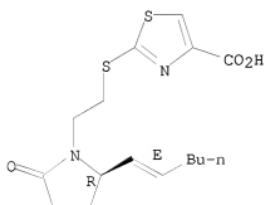


RN 597571-91-0 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(2R)-2-(1E)-1-hexenyl]ethyl)thio]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

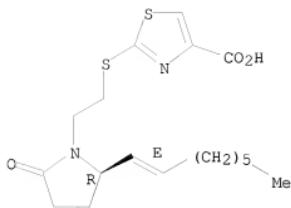


RN 597571-95-4 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(2R)-2-(1E)-1-octenyl]ethyl)thio]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

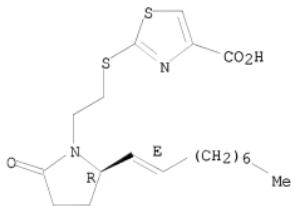


RN 597571-96-5 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2R)-2-(1E)-1-nonen-1-yl-5-oxo-1-pyrrolidinyl]ethylthio]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

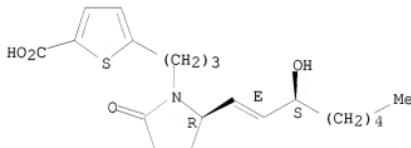


RN 597571-97-6 CAPLUS

CN 2-Thiophencarboxylic acid, 5-[(2R)-2-[(1E,3S)-3-hydroxy-1-octen-1-yl]-5-oxo-1-pyrrolidinyl]propyl]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

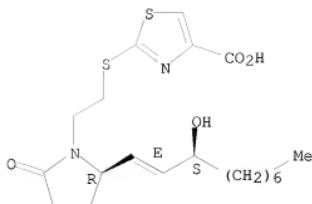


RN 597571-98-7 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2R)-2-[(1E,3S)-3-hydroxy-1-decen-1-yl]-5-oxo-1-pyrrolidinyl]ethylthio]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

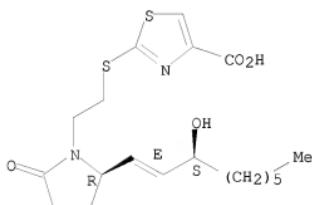


RN 597571-99-8 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-((2R)-2-((1E,3S)-3-hydroxy-1-nonen-1-yl)-5-oxo-1-pyrrolidinyl)ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

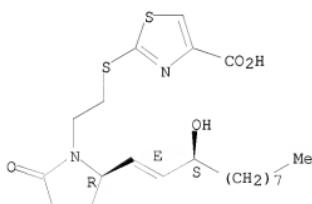


RN 597572-00-4 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-((2R)-2-((1E,3S)-3-hydroxy-1-undecen-1-yl)-5-oxo-1-pyrrolidinyl)ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

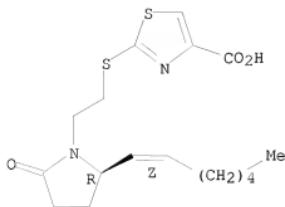


RN 597572-01-5 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-((2R)-2-((1Z)-1-hepten-1-yl)-5-oxo-1-pyrrolidinyl)ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

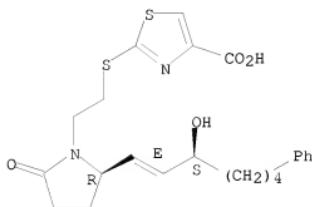


RN 597572-04-8 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(2R)-2-[(1E,3S)-3-hydroxy-7-phenyl-1-hepten-1-yl]-5-oxo-1-pyrrolidinyl]ethyl)thio]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

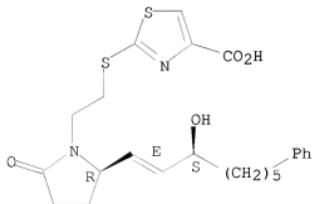


RN 597572-05-9 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(2R)-2-[(1E,3S)-3-hydroxy-8-phenyl-1-octen-1-yl]-5-oxo-1-pyrrolidinyl]ethyl)thio]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

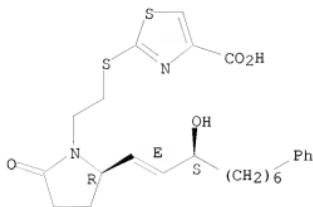


RN 597572-06-0 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(2R)-2-[(1E,3S)-3-hydroxy-9-phenyl-1-nonen-1-yl]-5-oxo-1-pyrrolidinyl]ethyl)thio]- (CA INDEX NAME)

Absolute stereochemistry.

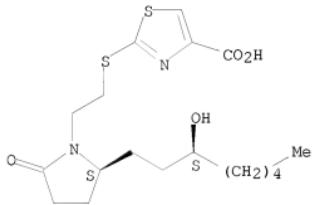
Double bond geometry as shown.



RN 597572-08-2 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[[2-[(2*S*)-2-[(3*S*)-3-hydroxyoctyl]-5-oxo-1-pyrrolidinyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

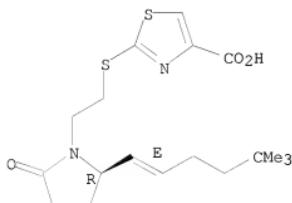


RN 597572-10-6 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[[2-[(2*R*)-2-[(1*E*)-5,5-dimethyl-1-hexen-1-yl]-5-oxo-1-pyrrolidinyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

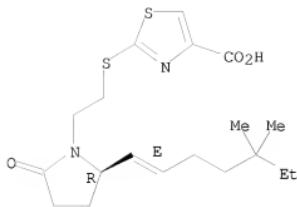


RN 597572-11-7 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[[2-[(2*R*)-2-[(1*E*)-5,5-dimethyl-1-hepten-1-yl]-5-oxo-1-pyrrolidinyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

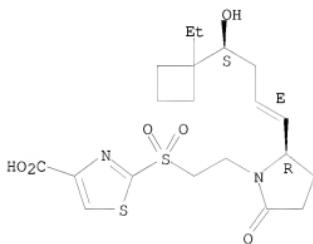


RN 597572-17-3 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(2R)-2-[(1E,4S)-4-(1-ethylcyclobutyl)-4-hydroxy-1-buten-1-yl]-5-oxo-1-pyrrolidinyl]ethyl]sulfonyl]- (CA INDEX NAME)

Absolute stereochemistry.

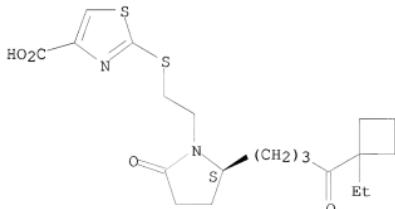
Double bond geometry as shown.



RN 597572-19-5 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(2S)-2-[4-(1-ethylcyclobutyl)-4-oxobutyl]-5-oxo-1-pyrrolidinyl]ethyl]thio]- (CA INDEX NAME)

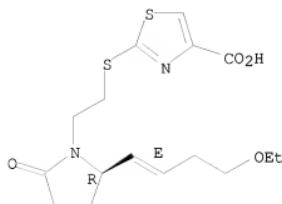
Absolute stereochemistry.



RN 597572-20-8 CAPLUS

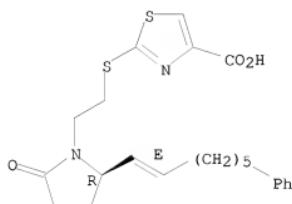
CN 4-Thiazolecarboxylic acid, 2-[(2-[(2R)-2-[(1E)-4-ethoxy-1-buten-1-yl]-5-oxo-1-pyrrolidinyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



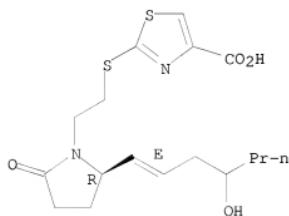
RN 597572-27-5 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[(2-[(5R)-2-oxo-5-[(1E)-7-phenyl-1-hepten-1-yl]-1-pyrrolidinyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



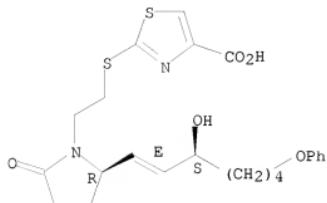
RN 597572-31-1 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[(2-[(2R)-2-[(1E)-4-hydroxy-1-hepten-1-yl]-5-oxo-1-pyrrolidinyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



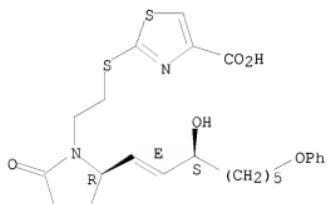
RN 597572-80-0 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[(2-[(2R)-2-[(1E,3S)-3-hydroxy-7-phenoxy-1-hepten-1-yl]-5-oxo-1-pyrrolidinyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



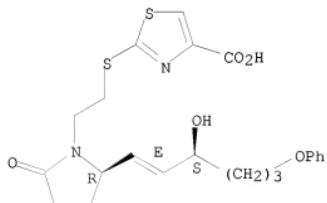
RN 597572-81-1 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[(2-((2R)-2-[(1E,3S)-3-hydroxy-8-phenoxy-1-octen-1-yl]-5-oxo-1-pyrrolidinyl)ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 597573-15-4 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[(2-((2R)-2-[(1E,3S)-3-hydroxy-6-phenoxy-1-hexen-1-yl]-5-oxo-1-pyrrolidinyl)ethyl]thio)- (CA INDEX NAME)

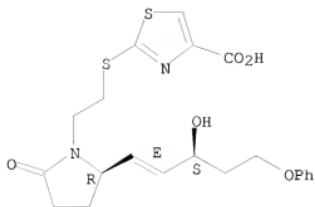
Absolute stereochemistry.
Double bond geometry as shown.



RN 597573-16-5 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[(2-((2R)-2-[(1E,3S)-3-hydroxy-5-phenoxy-1-penten-1-yl]-5-oxo-1-pyrrolidinyl)ethyl]thio)- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

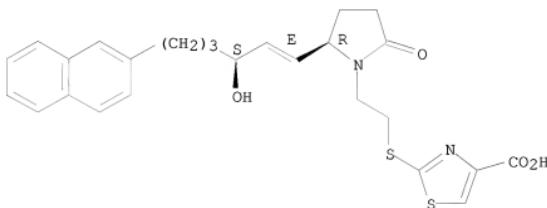


RN 597573-17-6 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[[2-[(2R)-2-[(1E,3S)-3-hydroxy-6-(2-naphthalenyl)-1-hexen-1-yl]-5-oxo-1-pyrrolidinyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

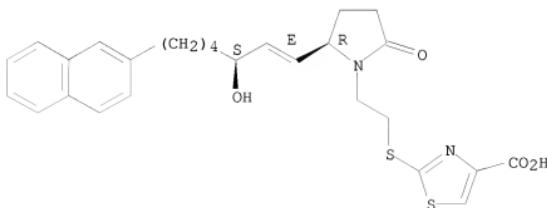


RN 597573-18-7 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[[2-[(2R)-2-[(1E,3S)-3-hydroxy-7-(2-naphthalenyl)-1-hepten-1-yl]-5-oxo-1-pyrrolidinyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

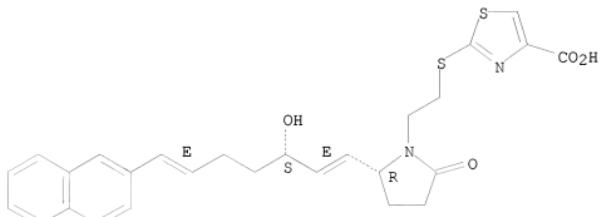


RN 597573-19-8 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[[2-[(2R)-2-[(1E,3S,6E)-3-hydroxy-7-(2-

naphthalenyl)-1,6-heptadien-1-yl]-5-oxo-1-pyrrolidinyl]ethyl]thio]- (CA INDEX NAME)

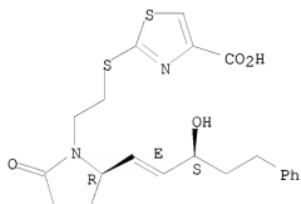
Absolute stereochemistry.
Double bond geometry as shown.



RN 597573-37-0 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(2R)-2-[(1E,3S)-3-hydroxy-5-phenyl-1-penten-1-yl]-5-oxo-1-pyrrolidinyl]ethyl]thio]- (CA INDEX NAME)

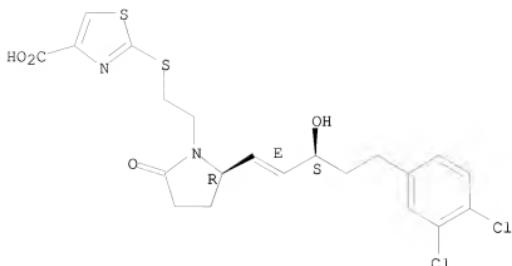
Absolute stereochemistry.
Double bond geometry as shown.



RN 597573-49-4 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(2R)-2-[(1E,3S)-5-(3,4-dichlorophenyl)-3-hydroxy-1-penten-1-yl]-5-oxo-1-pyrrolidinyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

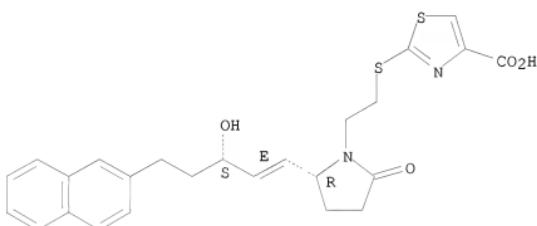


RN 597573-54-1 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-((2R)-2-((1E,3S)-3-hydroxy-5-(2-naphthalenyl)-1-pentenyl)-5-oxo-1-pyrrolidinyl)ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



OS.CITING REF COUNT: 13 THERE ARE 13 CAPLUS RECORDS THAT CITE THIS RECORD (17 CITINGS)

REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2003:97322 CAPLUS

DOCUMENT NUMBER: 138:142493

TITLE: Remedies for diseases with bone mass loss having EP4 agonist as the active ingredient

INVENTOR(S): Maruyama, Toru; Kobayashi, Kaoru; Kambe, Tohru; Maruyama, Takayuki; Yoshida, Hideyuki; Nishiura, Akio; Abe, Nobutaka

PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 474 pp.

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------------|-----------------|----------|
| WO 2003009872 | A1 | 20030206 | WO 2002-JP7385 | 20020722 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2454584 | A1 | 20030206 | CA 2002-2454584 | 20020722 |
| CA 2454584 | C | 20090922 | | |
| AU 2002318759 | A1 | 20030217 | AU 2002-318759 | 20020722 |
| AU 2002318759 | B2 | 20070913 | | |
| EP 1417975 | A1 | 20040512 | EP 2002-747707 | 20020722 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK | | | | |
| BR 2002011364 | A | 20040713 | BR 2002-11364 | 20020722 |
| CN 1893977 | A | 20070110 | CN 2002-816932 | 20020722 |
| RU 2303451 | C2 | 20070727 | RU 2004-105154 | 20020722 |
| HU 2006000140 | A2 | 20070828 | HU 2006-140 | 20020722 |
| NZ 530885 | A | 20070928 | NZ 2002-530885 | 20020722 |
| JP 4273407 | B2 | 20090603 | JP 2003-515264 | 20020722 |
| KR 826866 | B1 | 20080506 | KR 2004-700997 | 20040120 |
| ZA 2004000493 | A | 20050119 | ZA 2004-493 | 20040122 |
| US 20050020686 | A1 | 20050127 | US 2004-484500 | 20040122 |
| US 7608637 | B2 | 20091027 | | |
| NO 2004000331 | A | 20040323 | NO 2004-331 | 20040123 |
| MX 2004000757 | A | 20040708 | MX 2004-757 | 20040123 |
| KR 2007043059 | A | 20070424 | KR 2007-707791 | 20070405 |
| KR 2007080708 | A | 20070827 | KR 2007-716142 | 20070713 |
| JP 2009137977 | A | 20090625 | JP 2008-329756 | 20081225 |
| PRIORITY APPLN. INFO.: | | | | |
| | | JP 2001-222148 | A | 20010723 |
| | | JP 2001-239895 | A | 20010807 |
| | | JP 2002-56449 | A | 20020301 |
| | | JP 2003-515264 | A3 | 20020722 |
| | | WO 2002-JP7385 | W | 20020722 |
| | | KR 2004-700997 | A3 | 20040120 |
| | | KR 2007-707791 | A3 | 20070405 |

OTHER SOURCE(S):

AB Disclosed are drugs for topical administration which contain an EP4 agonist as the active ingredient for preventing and/or treating diseases in association with bone mass loss. The EP4 agonists typified by compds. with the prostaglandin skeleton have an effect of promoting osteogenesis. Thus, topical administration thereof is highly useful in preventing and/or treating diseases in association with bone mass loss, e.g., bone diseases such as primary osteoporosis, secondary osteoporosis, bone metastasis of cancer, hypercalcemia, Behcet's disease, bone loss and bone necrosis, postoperative osteogenesis, alternative therapy for bone transplantation. A compound (11a,15a,13E)-9-oxo-11,15-dihydroxy-16-(3-methoxymethylphenyl)-17,18,19,20-tetranor-5-thiaprost-13-enoic acid 2-nonanoyloxyethyl ester was prepared, and mixed with lactic acid-glycolic acid copolymer to obtain a microsphere. The obtained microsphere was administered to fracture bone part of a rat to examine the bone formation promoting effect.

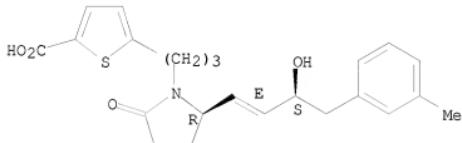
IT 494222-13-8P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(remedies for diseases with bone mass loss containing prostaglandin EP4 receptor agonists as active ingredients)

RN 494222-13-8 CAPLUS
 CN 2-Thiophenecarboxylic acid, 5-[3-[(2R)-2-[(1E,3S)-3-hydroxy-4-(3-methylphenyl)-1-butyl]-5-oxo-1-pyrrolidinyl]propyl]- (CA INDEX NAME)

Absolute stereochemistry.
 Double bond geometry as shown.

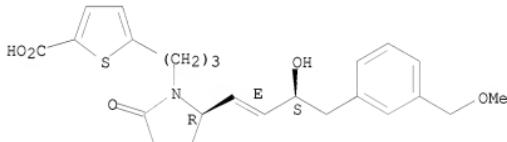


| | | | |
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| | 494222-42-3P | 494222-47-8P | 494223-67-5P |
| | 494223-68-6P | 494223-69-7P | 494223-71-1P |
| | 494223-74-4P | 494223-75-5P | 494223-78-8P |
| | 494223-80-2P | 494223-81-3P | 494223-85-7P |
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| | 494223-92-6P | 494223-93-7P | 494223-94-8P |
| | 494224-07-6P | 494224-08-7P | 494224-09-8P |
| | 494224-13-4P | 494224-14-5P | 494224-15-6P |
| | 494224-18-9P | 494224-19-0P | |

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (remedies for diseases with bone mass loss containing prostaglandin EP4 receptor agonists as active ingredients)

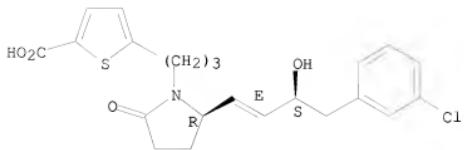
RN 494221-71-5 CAPLUS
 CN 2-Thiophenecarboxylic acid, 5-[3-[(2R)-2-[(1E,3S)-3-hydroxy-4-(3-methoxymethyl)phenyl]-1-butyl]-5-oxo-1-pyrrolidinyl]propyl]- (CA INDEX NAME)

Absolute stereochemistry.
 Double bond geometry as shown.



RN 494221-94-2 CAPLUS
 CN 2-Thiophenecarboxylic acid, 5-[3-[(2R)-2-[(1E,3S)-4-(3-chlorophenyl)-3-hydroxy-1-butyl]-5-oxo-1-pyrrolidinyl]propyl]- (CA INDEX NAME)

Absolute stereochemistry.
 Double bond geometry as shown.

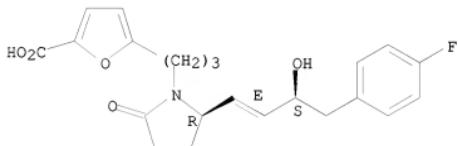


RN 494222-15-0 CAPLUS

CN 2-Furancarboxylic acid, 5-[3-[(2R)-2-[(1E,3S)-4-(4-fluorophenyl)-3-hydroxy-1-butene-1-yl]-5-oxo-1-pyrrolidinyl]propyl]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

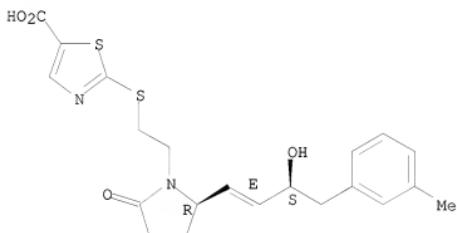


RN 494222-42-3 CAPLUS

CN 5-Thiazolecarboxylic acid, 2-[(2-[(2R)-2-[(1E,3S)-3-hydroxy-4-(3-methylphenyl)-1-butene-1-yl]-5-oxo-1-pyrrolidinyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

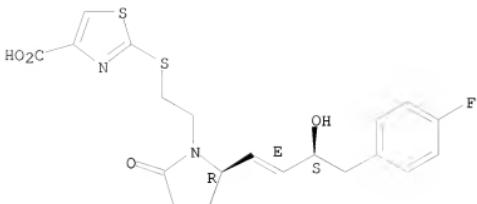


RN 494222-47-8 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(2R)-2-[(1E,3S)-4-(4-fluorophenyl)-3-hydroxy-1-butene-1-yl]-5-oxo-1-pyrrolidinyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

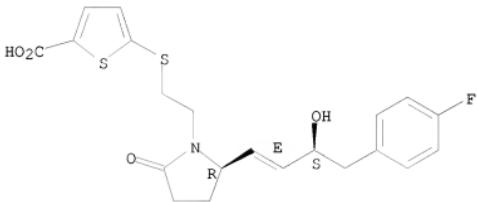


RN 494223-67-5 CAPLUS

CN 2-Thiophene carboxylic acid, 5-[(2-[(2R)-2-[(1E,3S)-4-(4-fluorophenyl)-3-hydroxy-1-buten-1-yl]5-oxo-1-pyrrolidinyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

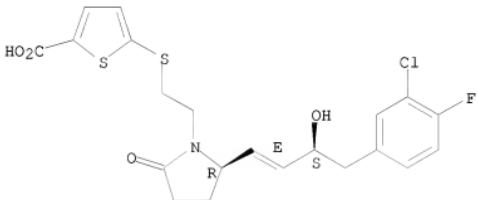


RN 494223-68-6 CAPLUS

CN 2-Thiophene carboxylic acid, 5-[(2-[(2R)-2-[(1E,3S)-4-(3-chloro-4-fluorophenyl)-3-hydroxy-1-buten-1-yl]5-oxo-1-pyrrolidinyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

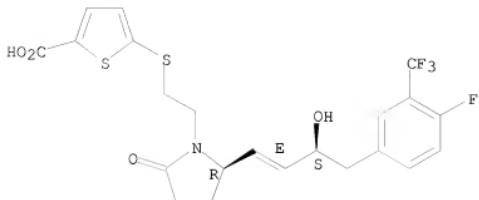


RN 494223-69-7 CAPLUS

CN 2-Thiophene carboxylic acid, 5-[(2-[(2R)-2-[(1E,3S)-4-(4-fluoro-3-(trifluoromethyl)phenyl)-3-hydroxy-1-buten-1-yl]5-oxo-1-pyrrolidinyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

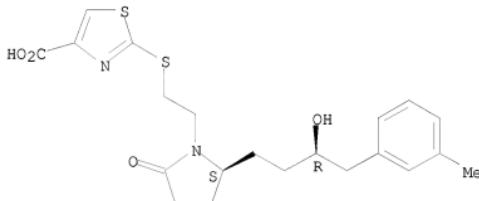
Double bond geometry as shown.



RN 494223-71-1 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(2S)-2-[(3R)-3-hydroxy-4-(3-methylphenyl)butyl]-5-oxo-1-pyrrolidinyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

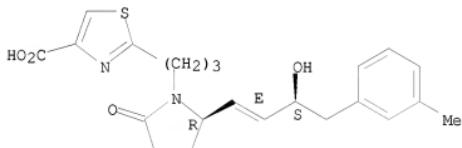


RN 494223-74-4 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(3-[(2R)-2-[(1E,3S)-3-hydroxy-4-(3-methylphenyl)-1-butene-1-yl]-5-oxo-1-pyrrolidinyl]propyl]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

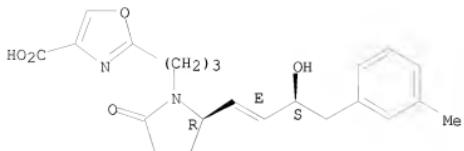


RN 494223-75-5 CAPLUS

CN 4-Oxazolecarboxylic acid, 2-[(3-[(2R)-2-[(1E,3S)-3-hydroxy-4-(3-methylphenyl)-1-butene-1-yl]-5-oxo-1-pyrrolidinyl]propyl]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

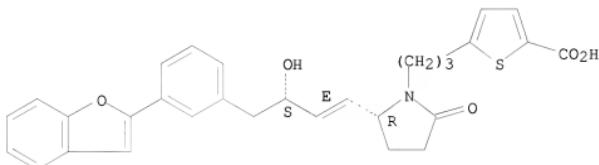


RN 494223-78-8 CAPLUS

CN 2-Thiophenecarboxylic acid, 5-[3-[(2R)-2-[(1E,3S)-4-[3-(2-benzofuranyl)phenyl]-3-hydroxy-1-butyl]-5-oxo-1-pyrrolidinyl]propyl]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

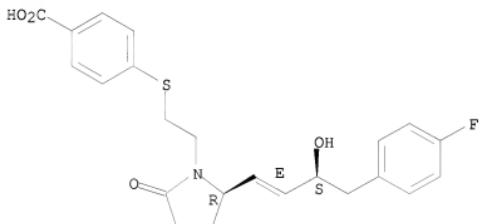


RN 494223-80-2 CAPLUS

CN Benzoic acid, 4-[[2-[(2R)-2-[(1E,3S)-4-(4-fluorophenyl)-3-hydroxy-1-butyl]-5-oxo-1-pyrrolidinyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

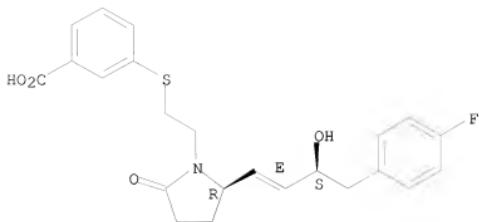


RN 494223-81-3 CAPLUS

CN Benzoic acid, 3-[[2-[(2R)-2-[(1E,3S)-4-(4-fluorophenyl)-3-hydroxy-1-butyl]-5-oxo-1-pyrrolidinyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

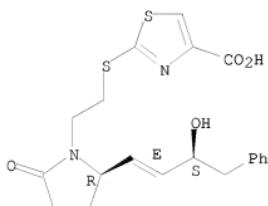


RN 494223-85-7 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(2R)-2-[(1E,3S)-3-hydroxy-4-phenyl-1-buten-1-yl]-5-oxo-1-pyrrolidinyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

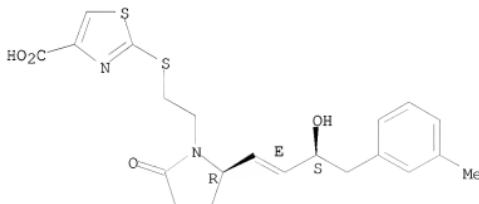


RN 494223-86-8 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(2R)-2-[(1E,3S)-3-hydroxy-4-(3-methylphenyl)-1-buten-1-yl]-5-oxo-1-pyrrolidinyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

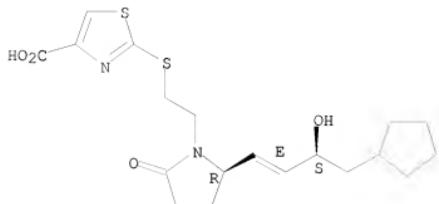
Double bond geometry as shown.



RN 494223-90-4 CAPLUS

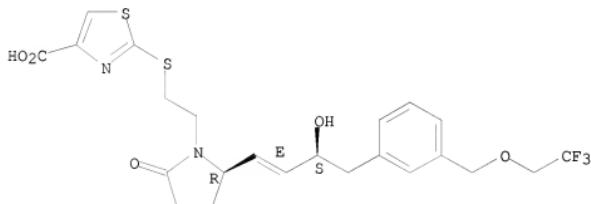
CN 4-Thiazolecarboxylic acid, 2-[(2-[(2R)-2-[(1E,3S)-4-cyclopentyl-3-hydroxy-1-buten-1-yl]-5-oxo-1-pyrrolidinyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



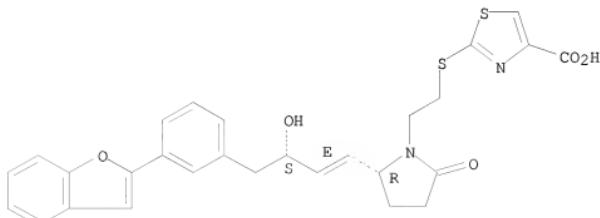
RN 494223-91-5 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[(2R)-2-[(1E,3S)-3-hydroxy-4-[3-[(2,2,2-trifluoroethoxy)methyl]phenyl]-1-buten-1-yl]-5-oxo-1-pyrrolidinyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 494223-92-6 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[(2R)-2-[(1E,3S)-4-[3-(2-benzofuranyl)phenyl]-3-hydroxy-1-buten-1-yl]-5-oxo-1-pyrrolidinyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

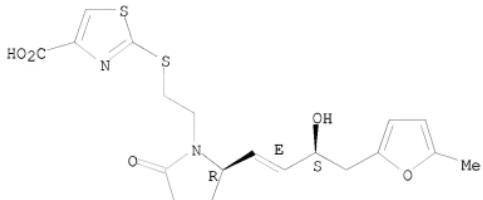


RN 494223-93-7 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-((2R)-2-[(1E,3S)-3-hydroxy-4-(5-methyl-2-furanyl)-1-buten-1-yl]-5-oxo-1-pyrrolidinyl)ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

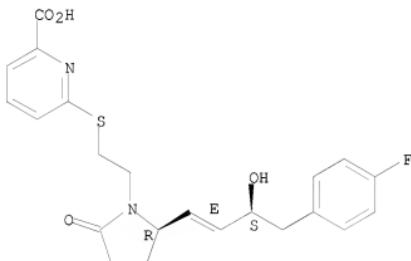


RN 494223-94-8 CAPLUS

CN 2-Pyridinecarboxylic acid, 6-[(2-((2R)-2-[(1E,3S)-4-(4-fluorophenyl)-3-hydroxy-1-buten-1-yl]-5-oxo-1-pyrrolidinyl)ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

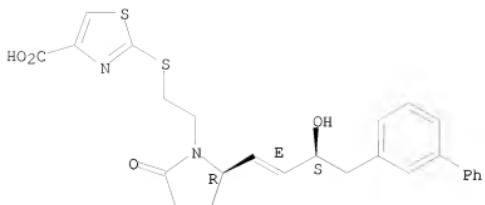


RN 494224-07-6 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-((2R)-2-[(1E,3S)-4-[1,1'-biphenyl]-3-yl-3-hydroxy-1-buten-1-yl]-5-oxo-1-pyrrolidinyl)ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

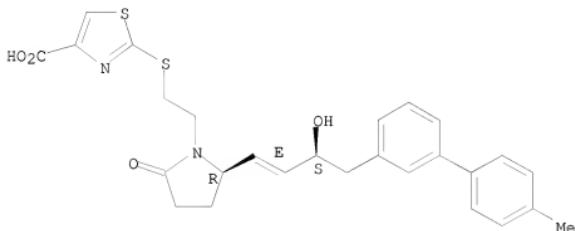


RN 494224-08-7 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(2R)-2-[(1E,3S)-3-hydroxy-4-(4'-methyl[1,1'-biphenyl]-3-yl)-1-buten-1-yl]-5-oxo-1-pyrrolidinyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

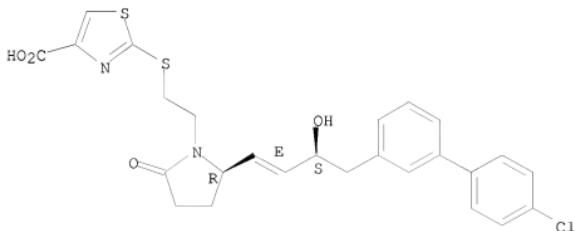


RN 494224-09-8 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(2R)-2-[(1E,3S)-3-hydroxy-4-(4'-chlorobiphenyl)-1-buten-1-yl]-5-oxo-1-pyrrolidinyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

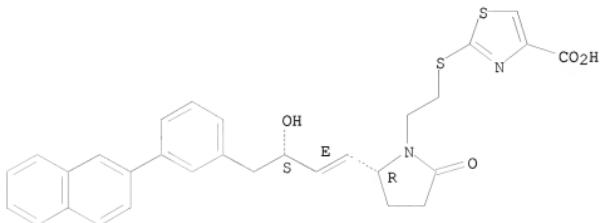
Double bond geometry as shown.



RN 494224-13-4 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(2R)-2-[(1E,3S)-3-hydroxy-4-[3-(2-naphthalenyl)phenyl]-1-buten-1-yl]-5-oxo-1-pyrrolidinyl]ethyl]thio]- (CA INDEX NAME)

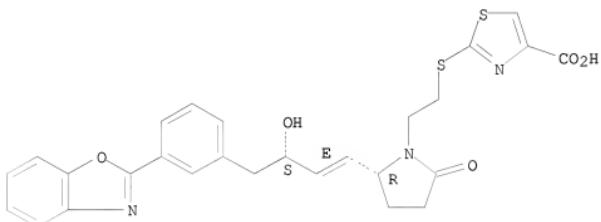
Absolute stereochemistry.
Double bond geometry as shown.



RN 494224-14-5 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(2R)-2-[(1E,3S)-4-[3-(2-benzoxazolyl)phenyl]-3-hydroxy-1-buten-1-yl]-5-oxo-1-pyrrolidinyl]ethyl]thio]- (CA INDEX NAME)

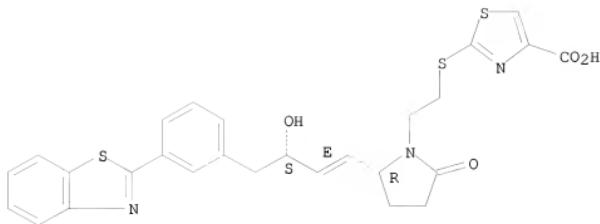
Absolute stereochemistry.
Double bond geometry as shown.



RN 494224-15-6 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(2R)-2-[(1E,3S)-4-[3-(2-benzothiazolyl)phenyl]-3-hydroxy-1-buten-1-yl]-5-oxo-1-pyrrolidinyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

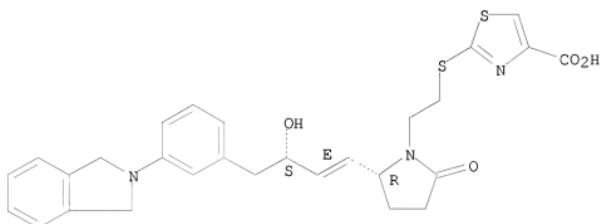


RN 494224-18-9 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(2R)-2-[(1E,3S)-4-[3-(1,3-dihydro-2H-isoindol-2-yl)phenyl]-3-hydroxy-1-buten-1-yl]-5-oxo-1-pyrrolidinyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

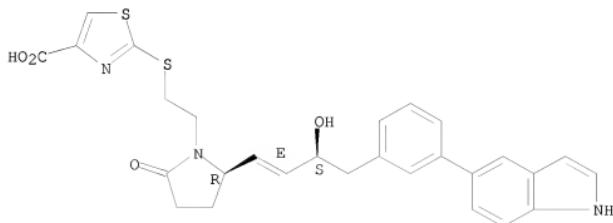


RN 494224-19-0 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(2R)-2-[(1E,3S)-3-hydroxy-4-[3-(1H-indol-5-yl)phenyl]-1-buten-1-yl]-5-oxo-1-pyrrolidinyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



OS.CITING REF COUNT: 13 THERE ARE 13 CAPLUS RECORDS THAT CITE THIS RECORD (21 CITINGS)
 REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

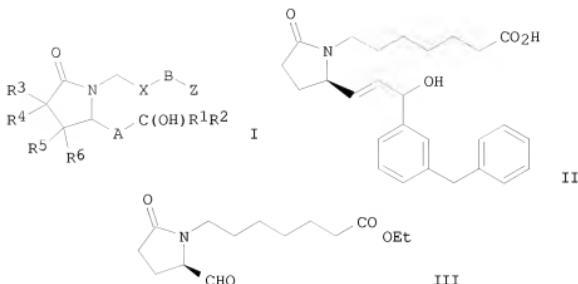
L4 ANSWER 23 OF 38 CAPLUS COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 2003:76747 CAPLUS
 DOCUMENT NUMBER: 138:137086
 TITLE: Preparation of pyrrolidine prostaglandin analogs for therapeutic use as EP4-type prostanoid receptor agonists
 INVENTOR(S): Elworthy, Todd Richard; Mirzadegan, Taraneh; Roepel, Michael Garret; Smith, David Bernard; Walker, Keith Adrian Murray
 PATENT ASSIGNEE(S): F. Hoffmann-La Roche AG, Switz.
 SOURCE: PCT Int. Appl., 82 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|------------|
| WO 2003008377 | A1 | 20030130 | WO 2002-EP7574 | 20020708 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2451392 | A1 | 20030130 | CA 2002-2451392 | 20020708 |
| AU 2002328855 | A1 | 20030303 | AU 2002-328855 | 20020708 |
| AU 2002328855 | B2 | 20051124 | | |
| EP 1409455 | A1 | 20040421 | EP 2002-764647 | 20020708 |
| EP 1409455 | B1 | 20060104 | | |
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| BR 2002011201 | A | 20040713 | BR 2002-11201 | 20020708 |
| JP 2004521954 | T | 20040722 | JP 2003-513937 | 20020708 |
| AT 315022 | T | 20060215 | AT 2002-764647 | 20020708 |
| ES 2254726 | T3 | 20060616 | ES 2002-764647 | 20020708 |
| CN 1863768 | A | 20061115 | CN 2002-814091 | 20020708 |
| RU 2288913 | C2 | 20061210 | RU 2004-104625 | 20020708 |
| US 20030120079 | A1 | 20030626 | US 2002-197353 | 20020716 |
| US 6900336 | B2 | 20050531 | | |
| MX 2004000456 | A | 20040318 | MX 2004-456 | 20040115 |
| PRIORITY APPLN. INFO.: | | | US 2001-305727P | P 20010716 |
| | | | US 2002-371348P | P 20020410 |
| | | | WO 2002-EP7574 | W 20020708 |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 138:137086

GI



AB 8-Aza prostanoid analogs, such as I [R1 = alkyl, haloalkyl, cycloalkyl, heterocyclyl, aryl, heteroaryl; R2-6 = H, alkyl, alkenyl, alkynyl; A = CH2CH2, CH:CH, CH:CHCH2; B = bond, aryl, heteroaryl; X = (CH2)1-6; Z = CH2OH, CO2H, tetrazol-5-yl, carboxy, carboxamido, phosphonate, etc.], were prepared as selective EP4-type prostanoid receptor agonists for pharmaceutical use in the treatment of bone disorders. Thus, azaprostanoid II was via a series of synthetic steps which included an olefination reaction of ester III with (MeO)2P(O)CH2COOC6H4-3-CH2Ph. The prepared azaprostanoids were assayed for competitive binding of [3H]PGE2 to prostanoid types EP1, EP2, EP3, and EP4 receptors. Also, pharmaceutical formulations of the azaprostanoids were presented.

IT 493036-29-6P 493036-30-9P 493036-31-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

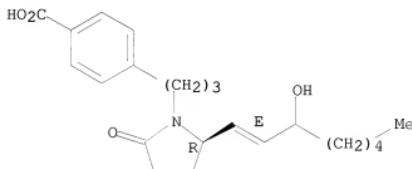
(preparation of pyrrolidine prostaglandin analogs for therapeutic use as EP4 prostanoid receptor agonists for treatment of bone disorders)

RN 493036-29-6 CAPLUS

CN Benzoic acid, 4-[3-[(2R)-2-[(1E)-3-hydroxy-1-octen-1-yl]-5-oxo-1-pyrrolidinyl]propyl]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

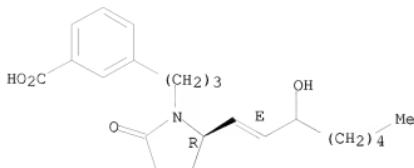


RN 493036-30-9 CAPLUS

CN Benzoic acid, 3-[3-[(2R)-2-[(1E)-3-hydroxy-1-octen-1-yl]-5-oxo-1-pyrrolidinyl]propyl]- (CA INDEX NAME)

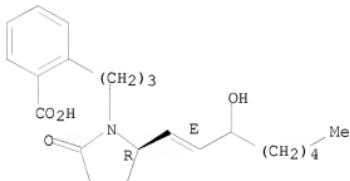
Absolute stereochemistry.

Double bond geometry as shown.



RN 493036-31-0 CAPLUS
 CN Benzoic acid, 2-[3-[(2R)-2-[(1E)-3-hydroxy-1-octen-1-yl]-5-oxo-1-pyrrolidinyl]propyl]- (CA INDEX NAME)

Absolute stereochemistry.
 Double bond geometry as shown.



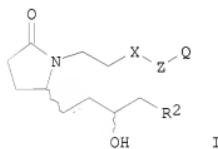
OS.CITING REF COUNT: 9 THERE ARE 9 CAPLUS RECORDS THAT CITE THIS RECORD (9 CITINGS)
 REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 24 OF 38 CAPLUS COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 2002:408643 CAPLUS
 DOCUMENT NUMBER: 137:6083
 TITLE: Preparation of EP4 receptor selective agonists for the treatment of osteoporosis
 INVENTOR(S): Cameron, Kimberly O'Keefe; Lefker, Bruce Allen
 PATENT ASSIGNEE(S): Pfizer Products Inc., USA
 SOURCE: PCT Int. Appl., 122 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|--|----------|-----------------|----------|
| WO 2002042268 | A2 | 20020530 | WO 2001-IB2073 | 20011105 |
| WO 2002042268 | A3 | 20020725 | | |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW | | | |
| RW: | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, | | | |

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| CA 2429850 | A1 20020530 | CA 2001-2429850 | 20011105 |
| CA 2429850 | C 20081230 | | |
| AU 2002010848 | A 20020603 | AU 2002-10848 | 20011105 |
| EP 1339678 | A2 20030903 | EP 2001-978757 | 20011105 |
| EP 1339678 | B1 20070926 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
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| BR 2001015687 | A 20030909 | BR 2001-15687 | 20011105 |
| EE 200300246 | A 20031015 | EE 2003-246 | 20011105 |
| JP 2004521869 | T 20040722 | JP 2002-544404 | 20011105 |
| JP 3984164 | B2 20071003 | | |
| HU 2004000807 | A2 20040728 | HU 2004-807 | 20011105 |
| NZ 525164 | A 20050429 | NZ 2001-525164 | 20011105 |
| AT 374182 | T 20071015 | AT 2001-978757 | 20011105 |
| ES 2291361 | T3 20080301 | ES 2001-978757 | 20011105 |
| US 20020065308 | A1 20020530 | US 2001-990556 | 20011121 |
| US 6552067 | B2 20030422 | | |
| US 20030149086 | A1 20030807 | US 2002-326366 | 20021220 |
| US 6747054 | B2 20040608 | | |
| BG 107697 | A 20040130 | BG 2003-107697 | 20030403 |
| IN 2003MN00390 | A 20050211 | IN 2003-MN390 | 20030409 |
| ZA 2003002803 | A 20040413 | ZA 2003-2803 | 20030410 |
| NO 2003002360 | A 20030723 | NO 2003-2360 | 20030526 |
| MX 2003004623 | A 20030905 | MX 2003-4623 | 20030526 |
| US 20040259921 | A1 20041223 | US 2003-668633 | 20030923 |
| US 7192979 | B2 20070320 | | |
| JP 2007197467 | A 20070809 | JP 2007-127062 | 20070511 |
| PRIORITY APPLN. INFO.: | | US 2000-253275P | P 20001127 |
| | | JP 2002-544404 | A3 20011105 |
| | | WO 2001-IB2073 | W 20011105 |
| | | US 2001-990556 | A3 20011121 |
| | | US 2002-326366 | A3 20021220 |

OTHER SOURCE(S): MARPAT 137:6083
GI



AB This invention is directed to EP4 receptor selective prostaglandin agonists I (e.g. 4-[3-[2-(3-hydroxy-4-phenylbutyl)-5-oxopyrrolidin-1-yl]propyl]benzoic acid), wherein R2, X, Z and Q are defined below and in more detail in the claims. This invention is also directed to pharmaceutical compns. containing those compds. This invention is also directed to methods of treating conditions which present with low bone mass, particularly osteoporosis, frailty, an osteoporotic fracture, a bone defect, childhood idiopathic bone loss, alveolar bone loss, mandibular bone loss, bone fracture, osteotomy, bone loss associated with periodontitis, or prosthetic ingrowth in a mammal comprising administering those compds. Although biol. testing protocols are included, no test results are given.

In I, a prodrug thereof, a pharmaceutically acceptable salt of said compound or said prodrug or a stereoisomer or diastereomeric mixture of said compound, prodrug or salt: the dotted line is a bond or no bond; X is -CH₂- or O; Z is -(CH₂)₃-, thiienyl, thiazolyl or Ph, provided that when X is O, then Z is phenyl; Q is carboxy, (C1-C4)alkoxycarbonyl or tetrazolyl; R2 is -Ar or -Ar1-V-Ar2; V is a bond, -O-, -OCH₂- or -CH₂O-. Ar is a partially saturated, fully saturated or fully unsatd. 5-8 membered ring optionally having 1-4 heteroatoms selected independently from O, S and N, or a bicyclic ring consisting of two fused independently partially saturated, fully saturated or fully unsatd. 5-6 membered rings, taken independently, optionally having 1-4 heteroatoms selected independently from N, S and O, said partially or fully saturated ring or bicyclic ring optionally having 1-2 oxo groups substituted on C or 1-2 oxo groups substituted on S. Ar1 and Ar2 are each independently a partially saturated, fully saturated or fully unsatd. 5-8 membered

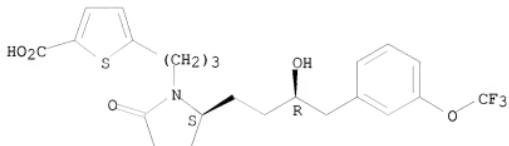
ring optionally having 1-4 heteroatoms selected independently from O, S and N, said partially or fully saturated ring optionally having 1-2 oxo groups substituted on C or 1-2 oxo groups substituted on S. Ar is optionally substituted on C or N, on one ring if the moiety is monocyclic, or on one or both rings if the moiety is bicyclic, with up to three substituents per ring each independently selected from hydroxy, halo, carboxy, (C1-C7) alkoxy, (C1-C4)alkoxy(C1-C4)alkyl, (C1-C7)alkyl, (C2-C7)alkenyl, (C3-C7)cycloalkyl, (C3-C7)cycloalkyl(C1-C4)alkyl, (C3-C7)cycloalkyl(C1-C4)alkanoyl, formyl, (C1-C8) alkanoyl, (C1-C6) alkanoyl(C1-C6)alkyl, (C1-C4) alkanoylamino, (C1-C4) alkoxy carbonylamino, hydroxysulfonyl, aminocarbonylamino or mono-N-, di-N,N-, di-N,N'- or tri-N,N,N'-(C1-C4)alkyl substituted aminocarbonylamino, sulfonamido, (C1-C4)alkylsulfonamido, amino, mono-N- or di-N,N-(C1-C4)alkylamino, carbamoyl, mono-N- or di-N,N-(C1-C4)alkylcarbamoyl, cyano, thiol, (C1-C6)alkylthio, (C1-C6)alkylsulfinyl, (C1-C4)alkylsulfonyl and mono-N- or di-N,N-(C1-C4)alkylaminosulfinyl, wherein said alkyl and alkoxy substituents in the definition of Ar are optionally substituted on C with up to three fluoro. Ar1 and Ar2 are independently optionally substituted on C or N with up to three substituents each independently selected from hydroxy, halo, carboxy, (C1-C7)alkoxy, (C1-C4)alkoxy(C1-C4)alkyl, (C1-C7)alkyl, (C2-C7)alkenyl, (C3-C7)cycloalkyl(C1-C4)alkyl, (C3-C7)cycloalkyl(C1-C4)alkanoyl, formyl, (C1-C8) alkanoyl, (C1-C6) alkanoyl(C1-C6)alkyl, (C1-C4) alkanoylamino, (C1-C4) alkoxy carbonylamino, hydroxysulfonyl, aminocarbonylamino or mono-N-, di-N,N-, di-N,N'- or tri-N,N,N'-(C1-C4)alkyl substituted aminocarbonylamino, sulfonamido, (C1-C4)alkylsulfonamido, amino, mono-N- or di-N,N-(C1-C4)alkylamino, carbamoyl, mono-N- or di-N,N-(C1-C4)alkylcarbamoyl, cyano, thiol, (C1-C6)alkylthio, (C1-C6)alkylsulfinyl, (C1-C4)alkylsulfonyl and mono-N- or di-N,N-(C1-C4)alkylaminosulfinyl, wherein said alkyl and alkoxy substituents in the definition of Ar1 and Ar2 are optionally substituted on C with up to three fluoro. (a) when X is (CH₂)- and Z is -(CH₂)₃-, then R2 is not thiienyl, Ph or Ph monosubstituted with chloro, fluoro, Ph, methoxy, trifluoromethyl or (C1-C4) alkyl; and (b) when X is (CH₂)-, Z is -(CH₂)₃-, and Q is carboxy or (C1-C4) alkoxycarbonyl, then R2 is not (i) (C5-C7)cycloalkyl or (ii)phenyl, thiienyl or furyl each of which may be optionally monosubstituted or disubstituted by one or two substituents selected, independently in the latter case, from halogen atoms, alkyl groups having 1-3 C atoms which may be substituted by one or more halogen atoms, and alkoxy groups having 1-4 C atoms. Although the methods of preparation are not claimed, 41 example preps. are included.

IT 431991-28-5, 5-[3-[(2S)-[(3R)-Hydroxy-4-(3-trifluoromethoxyphenyl)butyl]-5-oxopyrrolidin-1-yl]propyl]thiophene-2-carboxylic acid

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

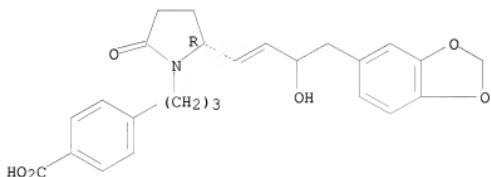
(EP4 receptor selective agonist for treatment of osteoporosis)
RN 431991-28-5 CAPLUS
CN 2-Thiophenecarboxylic acid, 5-[3-[(2S)-2-[(3R)-3-hydroxy-4-[3-(trifluoromethoxy)phenyl]butyl]-5-oxo-1-pyrrolidinyl]propyl]- (CA INDEX NAME)

Absolute stereochemistry.



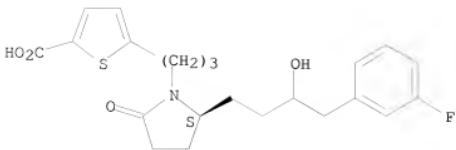
IT 431989-31-0P, 4-[3-[(2R)-4-Benzo[1,3]dioxol-5-yl-3-hydroxybut-1-enyl]-5-oxopyrrolidin-1-yl]propylbenzoic acid 431989-84-3P,
5-[3-[(2S)-4-(3-Fluorophenyl)-3-hydroxybutyl]-5-oxopyrrolidin-1-yl]propylthiophene-2-carboxylic acid 431990-21-5P,
2-[3-[(2S)-3-Hydroxy-4-phenylbutyl]-5-oxopyrrolidin-1-yl]propylthiazole-4-carboxylic acid
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(intermediate; preparation of EP4 receptor selective agonists for treatment of osteoporosis)
RN 431989-31-0 CAPLUS
CN Benzoic acid, 4-[3-[(2R)-2-[4-(1,3-benzodioxol-5-yl)-3-hydroxy-1-buten-1-yl]-5-oxo-1-pyrrolidinyl]propyl]- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



RN 431989-84-3 CAPLUS
CN 2-Thiophenecarboxylic acid, 5-[3-[(2S)-2-[4-(3-fluorophenyl)-3-hydroxybutyl]-5-oxo-1-pyrrolidinyl]propyl]- (CA INDEX NAME)

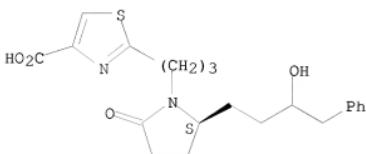
Absolute stereochemistry.



RN 431990-21-5 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[3-[(2S)-2-(3-hydroxy-4-phenylbutyl)-5-oxo-1-pyrrolidinyl]propyl]- (CA INDEX NAME)

Absolute stereochemistry.

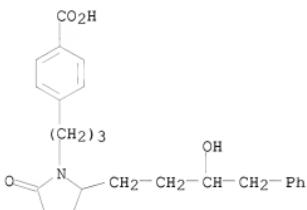


IT 431988-78-2P, 4-[3-[2-(3-Hydroxy-4-phenylbutyl)-5-oxopyrrolidin-1-yl]propyl]benzoic acid 431988-84-0P,
 4-[3-[2-(3-Hydroxy-4-(3-trifluoromethylphenyl)butyl)-5-oxopyrrolidin-1-yl]propyl]benzoic acid 431988-90-8P,
 4-[3-[2-(4-(3-Chlorophenyl)-3-hydroxybutyl)-5-oxopyrrolidin-1-yl]propyl]benzoic acid 431988-96-4P,
 4-[3-[2-(4-(3-Fluorophenyl)-3-hydroxybutyl)-5-oxopyrrolidin-1-yl]propyl]benzoic acid 431988-00-3P,
 4-[3-[2-(3-Hydroxy-4-(3-phenoxyphenyl)butyl)-5-oxopyrrolidin-1-yl]propyl]benzoic acid 431988-07-0P,
 4-[3-[2-(4-(Biphenyl-3-yl)-3-hydroxybutyl)-5-oxopyrrolidin-1-yl]propyl]benzoic acid 431988-10-5P,
 4-[3-[2-(4-(4-Fluorophenyl)-3-hydroxybutyl)-5-oxopyrrolidin-1-yl]propyl]benzoic acid 431988-62-7P,
 4-[3-[2S-(4-Benzol[1,3]dioxol-5-yl-3-hydroxybutyl)-5-oxopyrrolidin-1-yl]propyl]benzoic acid 431988-52-5P,
 5-[3-[2S-(3-Hydroxy-4-thiophen-2-ylbutyl)-5-oxopyrrolidin-1-yl]propyl]thiophene-2-carboxylic acid 431989-58-1P,
 5-[3-[2S-(4-(4-Chlorophenyl)-3-hydroxybutyl)-5-oxopyrrolidin-1-yl]propyl]thiophene-2-carboxylic acid 431989-62-7P,
 5-[3-[2S-(3-Hydroxy-4-(2-trifluoromethylphenyl)butyl)-5-oxopyrrolidin-1-yl]propyl]thiophene-2-carboxylic acid 431989-67-2P,
 5-[3-[2S-(4-(4-Fluorophenyl)-3-hydroxybutyl)-5-oxopyrrolidin-1-yl]propyl]thiophene-2-carboxylic acid 431989-71-8P,
 5-[3-[2S-(4-(4-Fluorophenyl)-3R-hydroxybutyl)-5-oxopyrrolidin-1-yl]propyl]thiophene-2-carboxylic acid 431989-74-1P,
 5-[3-((2S)-3-Hydroxy-4-naphthalen-2-ylbutyl)-5-oxopyrrolidin-1-yl]propyl]thiophene-2-carboxylic acid 431989-79-6P,
 5-[3-((2S)-4-(Biphenyl-3-yl)-3-hydroxybutyl)-5-oxopyrrolidin-1-yl]propyl]thiophene-2-carboxylic acid 431989-88-8P, Sodium
 salt of 5-[3-((2S)-4-(3-Fluorophenyl)-3-hydroxybutyl)-5-oxopyrrolidin-1-yl]propyl]thiophene-2-carboxylic acid 431989-90-1P,
 5-[3-((2S)-4-(4-Ethylphenyl)-3-hydroxybutyl)-5-oxopyrrolidin-1-yl]propyl]thiophene-2-carboxylic acid 431989-95-6P,

5-[3-[(2S)-{4-(4-Fluoro-3-methylphenyl)-3-hydroxybutyl}-5-oxopyrrolidin-1-yl]propyl]thiophene-2-carboxylic acid 431990-00-0P,
 5-[3-[(2S)-(3-Hydroxy-4-phenylbutyl)-5-oxopyrrolidin-1-yl]propyl]thiophene-2-carboxylic acid 431990-04-4P,
 5-[3-[(2S)-{4-(3-Chlorophenyl)-(3R)-hydroxybutyl}-5-oxopyrrolidin-1-yl]propyl]thiophene-2-carboxylic acid 431990-08-8P,
 5-[3-[(2S)-{(3R)-Hydroxy-4-(3-trifluoromethylphenyl)butyl}-5-oxopyrrolidin-1-yl]propyl]thiophene-2-carboxylic acid 431990-27-1P, Sodium salt of 2-[3-[(2S)-(3-hydroxy-4-phenylbutyl)-5-oxopyrrolidin-1-yl]propyl]thiazole-4-carboxylic acid
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of EP4 receptor selective agonists for treatment of osteoporosis)

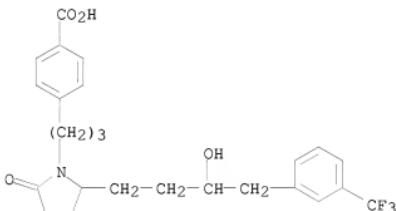
RN 431988-78-2 CAPLUS

CN Benzoic acid, 4-[3-[2-(3-hydroxy-4-phenylbutyl)-5-oxo-1-pyrrolidinyl]propyl]- (CA INDEX NAME)



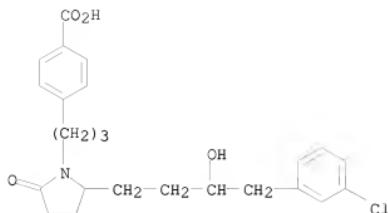
RN 431988-84-0 CAPLUS

CN Benzoic acid, 4-[3-[2-[3-hydroxy-4-[3-(trifluoromethyl)phenyl]butyl]-5-oxo-1-pyrrolidinyl]propyl]- (CA INDEX NAME)



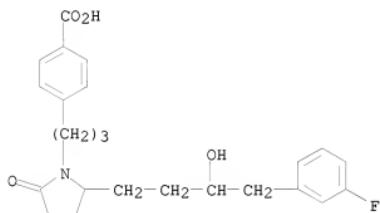
RN 431988-90-8 CAPLUS

CN Benzoic acid, 4-[3-[2-[4-(3-chlorophenyl)-3-hydroxybutyl]-5-oxo-1-pyrrolidinyl]propyl]- (CA INDEX NAME)



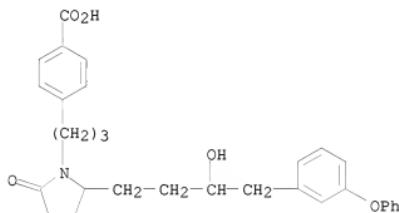
RN 431988-96-4 CAPLUS

CN Benzoic acid, 4-[3-[2-[4-(3-fluorophenyl)-3-hydroxybutyl]-5-oxo-1-pyrrolidinyl]propyl]- (CA INDEX NAME)



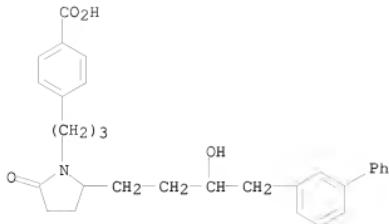
RN 431989-00-3 CAPLUS

CN Benzoic acid, 4-[3-[2-[3-hydroxy-4-(3-phenoxyphenyl)butyl]-5-oxo-1-pyrrolidinyl]propyl]- (CA INDEX NAME)

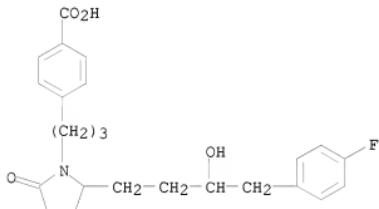


RN 431989-07-0 CAPLUS

CN Benzoic acid, 4-[3-[2-[4-(1,1'-biphenyl)-3-yl-3-hydroxybutyl]-5-oxo-1-pyrrolidinyl]propyl]- (CA INDEX NAME)

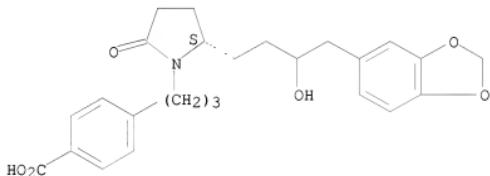


RN 431989-10-5 CAPLUS
 CN Benzoic acid, 4-[3-[2-[4-(4-fluorophenyl)-3-hydroxybutyl]-5-oxo-1-pyrrolidinyl]propyl]- (CA INDEX NAME)



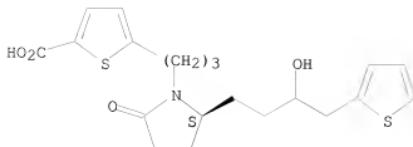
RN 431989-35-4 CAPLUS
 CN Benzoic acid, 4-[3-[2-[4-(1,3-benzodioxol-5-yl)-3-hydroxybutyl]-5-oxo-1-pyrrolidinyl]propyl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 431989-52-5 CAPLUS
 CN 2-Thiophencarboxylic acid, 5-[3-[(2S)-2-[3-hydroxy-4-(2-thienyl)butyl]-5-oxo-1-pyrrolidinyl]propyl]- (CA INDEX NAME)

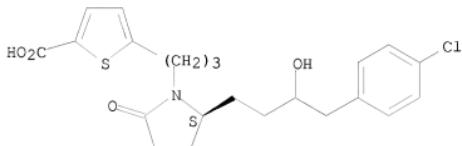
Absolute stereochemistry.



RN 431989-58-1 CAPLUS

CN 2-Thiophenecarboxylic acid, 5-[3-[(2S)-2-[4-(4-chlorophenyl)-3-hydroxybutyl]-5-oxo-1-pyrrolidinyl]propyl]- (CA INDEX NAME)

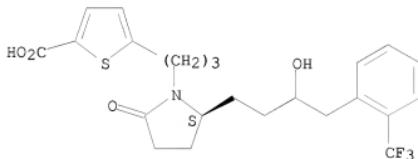
Absolute stereochemistry.



RN 431989-62-7 CAPLUS

CN 2-Thiophenecarboxylic acid, 5-[3-[(2S)-2-[3-hydroxy-4-[2-(trifluoromethyl)phenyl]butyl]-5-oxo-1-pyrrolidinyl]propyl]- (CA INDEX NAME)

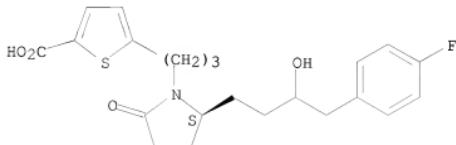
Absolute stereochemistry.



RN 431989-67-2 CAPLUS

CN 2-Thiophenecarboxylic acid, 5-[3-[(2S)-2-[4-(4-fluorophenyl)-3-hydroxybutyl]-5-oxo-1-pyrrolidinyl]propyl]- (CA INDEX NAME)

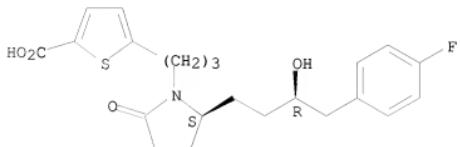
Absolute stereochemistry.



RN 431989-71-8 CAPLUS

CN 2-Thiophenecarboxylic acid, 5-[3-[(2S)-2-[(3R)-4-(4-fluorophenyl)-3-hydroxybutyl]-5-oxo-1-pyrrolidinyl]propyl]- (CA INDEX NAME)

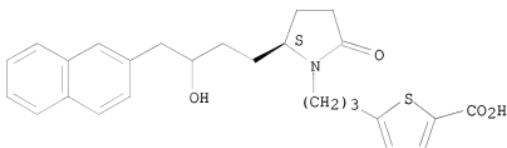
Absolute stereochemistry.



RN 431989-74-1 CAPLUS

CN 2-Thiophenecarboxylic acid, 5-[3-[(2S)-2-[(3R)-4-(2-naphthalenyl)-3-hydroxy-4-(2-naphthalenyl)butyl]-5-oxo-1-pyrrolidinyl]propyl]- (CA INDEX NAME)

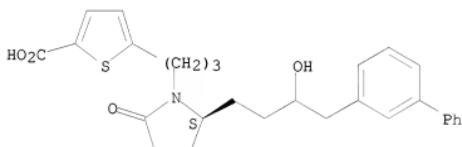
Absolute stereochemistry.



RN 431989-79-6 CAPLUS

CN 2-Thiophenecarboxylic acid, 5-[3-[(2S)-2-(4-[1,1'-biphenyl]-3-yl)-3-hydroxybutyl]-5-oxo-1-pyrrolidinyl]propyl]- (CA INDEX NAME)

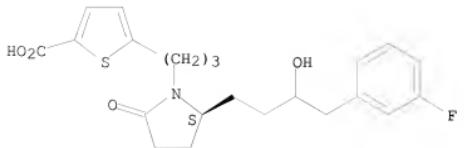
Absolute stereochemistry.



RN 431989-89-8 CAPLUS

CN 2-Thiophenecarboxylic acid, 5-[3-[(2S)-2-[4-(3-fluorophenyl)-3-hydroxybutyl]-5-oxo-1-pyrrolidinyl]propyl]-, sodium salt (1:1) (CA INDEX NAME)

Absolute stereochemistry.

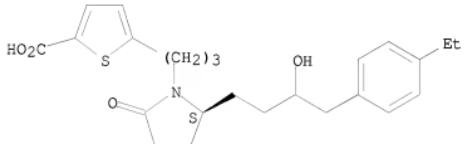


● Na

RN 431989-90-1 CAPLUS

CN 2-Thiophenecarboxylic acid, 5-[3-[(2S)-2-[4-(4-ethylphenyl)-3-hydroxybutyl]-5-oxo-1-pyrrolidinyl]propyl]- (CA INDEX NAME)

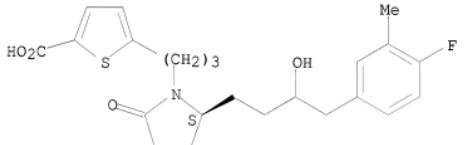
Absolute stereochemistry.



RN 431989-95-6 CAPLUS

CN 2-Thiophenecarboxylic acid, 5-[3-[(2S)-2-[4-(4-fluoro-3-methylphenyl)-3-hydroxybutyl]-5-oxo-1-pyrrolidinyl]propyl]- (CA INDEX NAME)

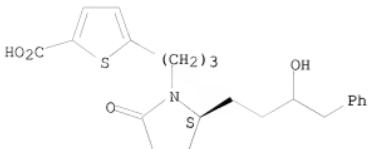
Absolute stereochemistry.



RN 431990-00-0 CAPLUS

CN 2-Thiophenecarboxylic acid, 5-[3-[(2S)-2-[4-(3-hydroxy-4-phenylbutyl)-5-oxo-1-pyrrolidinyl]propyl]- (CA INDEX NAME)

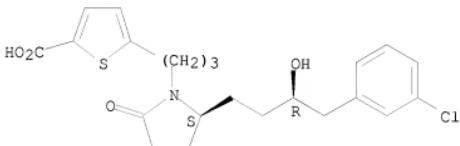
Absolute stereochemistry.



RN 431990-04-4 CAPLUS

CN 2-Thiophenecarboxylic acid, 5-[3-[(2S)-2-[(3R)-4-(3-chlorophenyl)-3-hydroxybutyl]-5-oxo-1-pyrrolidinyl]propyl]- (CA INDEX NAME)

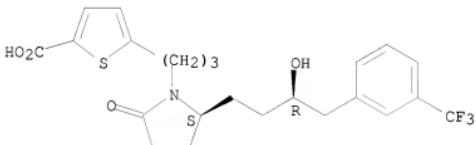
Absolute stereochemistry.



RN 431990-08-8 CAPLUS

CN 2-Thiophenecarboxylic acid, 5-[3-[(2S)-2-[(3R)-3-hydroxy-4-[3-(trifluoromethyl)phenyl]butyl]-5-oxo-1-pyrrolidinyl]propyl]- (CA INDEX NAME)

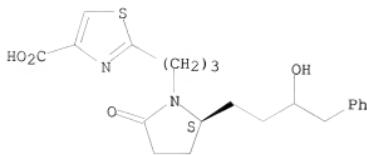
Absolute stereochemistry.



RN 431990-27-1 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[3-[(2S)-2-(3-hydroxy-4-phenylbutyl)-5-oxo-1-pyrrolidinyl]propyl]-, sodium salt (1:1) (CA INDEX NAME)

Absolute stereochemistry.



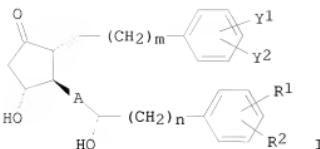
● Na

OS.CITING REF COUNT: 18 THERE ARE 18 CAPLUS RECORDS THAT CITE THIS RECORD (23 CITINGS)
 REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 25 OF 38 CAPLUS COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 2001:185716 CAPLUS
 DOCUMENT NUMBER: 1341:222562
 TITLE: Preparation of prostaglandin E analogues inhibiting proliferation of vascular smooth muscle
 INVENTOR(S): Sato, Fumie; Tanami, Tohru; Tanaka, Hideo; Ono, Naoya;
 Yagi, Makoto
 PATENT ASSIGNEE(S): Taisho Pharmaceutical Co., Ltd., Japan
 SOURCE: PCT Int. Appl., 40 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|------------|
| WO 2001017957 | A1 | 20010315 | WO 2000-JP6021 | 20000905 |
| W: AU, CA, CN, JP, KR, US | | | | |
| RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
PT, SE | | | | |
| CA 2384148 | A1 | 20010315 | CA 2000-2384148 | 20000905 |
| EP 1211241 | A1 | 20020605 | EP 2000-956933 | 20000905 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, FI, CY | | | | |
| AU 770343 | B2 | 20040219 | AU 2000-68712 | 20000905 |
| CN 1170817 | C | 20041013 | CN 2000-814946 | 20000905 |
| US 6482990 | B1 | 20021119 | US 2002-70154 | 20020304 |
| HK 1051179 | A1 | 20050506 | HK 2003-103446 | 20030515 |
| PRIORITY APPLN. INFO.: | | | JP 1999-252247 | A 19990906 |
| | | | WO 2000-JP6021 | W 20000905 |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
 OTHER SOURCE(S): MARPAT 134:222562
 GI



AB Prostaglandin analogs represented by general formula (I), pharmaceutically acceptable salts thereof, or hydrates of both (wherein A is ethylene, vinylene or ethynylene; Y1 and Y2 are each independently hydrogen, halogeno, cyano, CONR3R4 (wherein R3 and R4 are each independently hydrogen or C1-6 alkyl, or R3 and R4 together with the nitrogen atom adjacent thereto may form C4-8 cyclic amine), C1-3 aminoalkyl, C1-6 hydroxyalkyl, NR5R6 (wherein R3 and R4 are each independently hydrogen or C1-6 alkyl), hydroxyl, C1-6 alkoxy, C1-9 alkyl, C1-6 haloalkyl, C1-5 acyl, or CO2R7 (wherein R7 is hydrogen, C1-6 alkyl, or phenyl); R1 and R2 are each independently hydrogen, halogeno, C1-9 alkyl, or C1-6 haloalkyl; m is an integer of 0 to 6; and n is an integer of 0 to 3) are prepared. These compds. are useful for the prevention or treatment of restenosis after percutaneous transluminal coronary angioplasty (PTCA). Thus, a THF solution of CuCN·2LiCl (1 M, 53.1 mL) was added to a THF solution of 2-(4-methoxycarbonylphenyl)ethylzinc(II) iodide (0.95 M, 64.5 mL) at -70° and stirred at the same temperature for 20 min, followed by adding a Et2O solution of (3R,4R)-2-methylene-3-[(1E,3S)-3-(tert-butyldimethylsilyloxy)-5-phenylpent-1-enyl]-4-(tert-butyldimethylsilyloxy)cyclopentan-1-one (preparation given) (0.25 M, 163 mL) and 11.0 mL Me3SiCl at -70°, and the resulting mixture was warmed to 0° over a period of .apprx.1 h to give, after workup and hydrolysis in the presence of pyridinium p-toluenesulfonate in Et2O-iso-Pr alc., 16.75 g 2,3,4,18,19,20-hexanor-1,5-inter-p-phenylene-17-phenylprostaglandin E1 Me ester 11,15-bis(tert-butyldimethylsilyl ether). The latter compound (16.75 g) was dissolved in MeCN, treated with 189 mL 46% aqueous HF solution, and stirred for 1 h to give 8.91 g 2,3,4,18,19,20-hexanor-1,5-inter-p-phenylene-17-phenylprostaglandin E1 Me ester (II). In a DNA synthesis inhibition assay, II showed IC50 of 0.66 μM for inhibiting the 3H-thymidine uptake in human vascular smooth muscle cells. A capsule formulation containing II was prepared

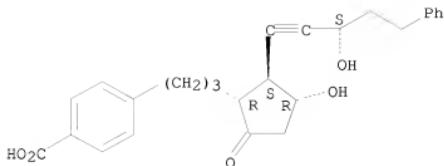
IT 329313-96-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of prostaglandin E analogs inhibiting proliferation of vascular smooth muscle for prevention or treatment of restenosis after percutaneous transluminal coronary angioplasty)

RN 329313-96-4 CAPLUS

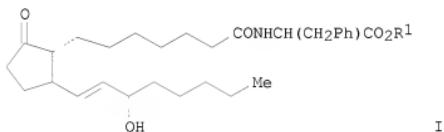
CN Benzoic acid, 4-[3-[(1R,2S,3R)-3-hydroxy-2-[(3S)-3-hydroxy-5-phenyl-1-pentenyl-1-yl]-5-oxocyclopentyl]propyl]- (CA INDEX NAME)

Absolute stereochemistry.



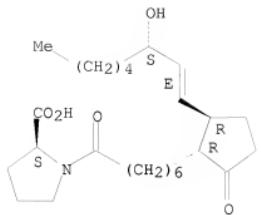
OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD
 (3 CITINGS)
 REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 26 OF 38 CAPLUS COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 1995:725888 CAPLUS
 DOCUMENT NUMBER: 123:313586
 ORIGINAL REFERENCE NO.: 123:56207a,56210a
 TITLE: Total synthesis and properties of prostaglandins. Part
 XXXVIII. Synthesis of 11-deoxyprostaglandin E1 amino
 acid and amine derivatives
 AUTHOR(S): Sokolov, G. P.; Freimanis, Ya. F.; Turovskii, I. V.;
 Myshlyakova, N. V.
 CORPORATE SOURCE: Inst. Org. Synth. Latvia, Riga, Latvia
 SOURCE: Bioorganicheskaya Khimiya (1995), 21(5), 386-90
 PUBLISHER: Nauka
 DOCUMENT TYPE: Journal
 LANGUAGE: Russian
 GI



AB 11-Deoxyprostaglandin E1- α amides with amino acids and amines, e.g.,
 I ($R_1 = H, Me$), were prepared by the mixed anhydride technique. The
 myotrophic properties of the products were determined
 IT 170235-70-8P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological
 study, unclassified); SPN (Synthetic preparation); BIOL (Biological
 study); PREP (Preparation)
 (preparation and myotrophic activity of deoxyprostaglandin E1- α
 amides)
 RN 170235-70-8 CAPLUS
 CN L-Proline, 1-[13E,15S]-15-hydroxy-1,9-dioxoprost-13-en-1-yl]- (9CI) (CA
 INDEX NAME)

Absolute stereochemistry. Rotation (-).
 Double bond geometry as shown.



L4 ANSWER 27 OF 38 CAPLUS COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 1981:586713 CAPLUS
 DOCUMENT NUMBER: 95:186713
 ORIGINAL REFERENCE NO.: 95:31153a, 31156a
 TITLE: Prostaglandins having a cyclopropane ring in the 2,3 position
 INVENTOR(S): Bollinger, Pietro
 PATENT ASSIGNEE(S): Sandoz A.-G., Switz.
 SOURCE: U.S., 22 pp. Cont. of U.S. Ser. No. 848,814,
 abandoned.
 DOCUMENT TYPE: CODEN: USXXAM
 LANGUAGE: Patent
 FAMILY ACC. NUM. COUNT: English
 4
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|----------------|-----------------|----------|
| US 4273784 | A | 19810616 | US 1979-24558 | 19790328 |
| CH 599147 | A5 | 19780512 | CH 1973-9959 | 19730709 |
| BE 817383 | A1 | 19750108 | BE 1974-146337 | 19740708 |
| ZA 7404410 | A | 19760331 | ZA 1974-4410 | 19740709 |
| DK 7504385 | A | 19750929 | DK 1975-4385 | 19750929 |
| BE 845870 | A1 | 19770303 | BE 1976-170371 | 19760903 |
| ZA 7605299 | A | 19780426 | ZA 1976-5299 | 19760903 |
| BE 849302 | A4 | 19770610 | BE 1976-173172 | 19761210 |
| ZA 7607365 | A | 19780726 | ZA 1976-7365 | 19761210 |
| PRIORITY APPLN. INFO.: | | | | |
| | | CH 1973-9959 | A | 19730709 |
| | | CH 1974-6049 | A | 19740504 |
| | | US 1974-485310 | A2 | 19740702 |
| | | CH 1975-1651 | A | 19750211 |
| | | CH 1975-11593 | A | 19750905 |
| | | CH 1975-12727 | A | 19751001 |
| | | CH 1975-16143 | A | 19751212 |
| | | CH 1975-16281 | A | 19751216 |
| | | US 1975-642189 | A2 | 19751218 |
| | | US 1975-645546 | A2 | 19751231 |
| | | CH 1976-102 | A | 19760107 |
| | | CH 1976-103 | A | 19760107 |
| | | US 1976-720315 | A2 | 19760903 |
| | | US 1976-749497 | A1 | 19761210 |
| | | US 1977-848814 | A1 | 19771107 |
| | | DK 1974-3529 | A | 19740701 |

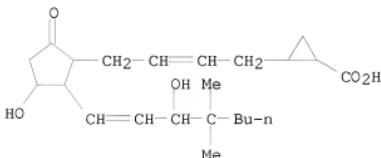
OTHER SOURCE(S): MARPAT 95:186713
 AB Approx. 120 known 2,3-methano prostaglandin analogs was prepared
 conventionally.

IT 57911-34-9P 58688-66-7P 63050-32-8P
 63050-37-3P 63050-40-8P 63050-44-1P
 63050-45-3P 63050-50-0P 63050-51-1P
 63050-52-2P 63050-56-6P 63050-58-8P
 63050-66-8P 63050-69-1P 63050-72-6P
 63050-76-0P 63088-39-1P 63088-41-5P
 63088-42-6P 63088-48-2P 63088-52-8P
 63088-59-5P 63088-62-0P 63088-63-1P
 63121-45-9P 64244-51-5P 79541-93-8P
 79617-21-3P 79617-24-6P 79617-25-7P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)

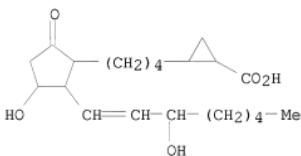
RN 57911-34-9 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[4-[3-hydroxy-2-(3-hydroxy-4,4-dimethyl-1-octen-1-yl)-5-oxocyclopentyl]-2-buten-1-yl]- (CA INDEX NAME)



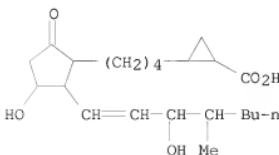
RN 58688-66-7 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[4-[3-hydroxy-2-(3-hydroxy-1-octen-1-yl)-5-oxocyclopentyl]butyl]- (CA INDEX NAME)



RN 63050-32-8 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[4-[3-hydroxy-2-(3-hydroxy-4-methyl-1-octen-1-yl)-5-oxocyclopentyl]butyl]- (CA INDEX NAME)

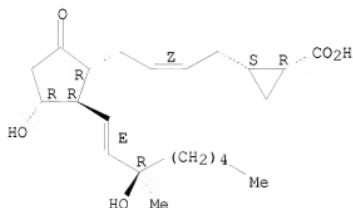


RN 63050-37-3 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[4-[3-hydroxy-2-(3-hydroxy-3-methyl-1-

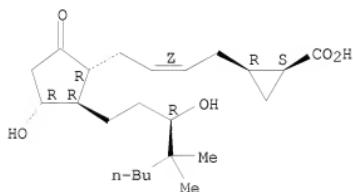
octenyl)-5-oxocyclopentyl]-2-but enyl]-,
[1R-[1 α [Z(1R*,2S*)],2 β (1E,3R*),3 α]- (9CI) (CA INDEX
NAME)

Absolute stereochemistry.
Double bond geometry as shown.



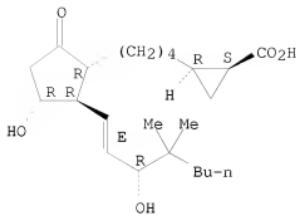
RN 63050-40-8 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[4-[3-hydroxy-2-(3-hydroxy-4,4-dimethyloctyl)-5-oxocyclopentyl]-2-but enyl]-,
[1R-[1 α [Z(1S*,2R*)],2 β (R*),3 α]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 63050-44-2 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[4-[3-hydroxy-2-(3-hydroxy-4,4-dimethyl-1-octenyl)-5-oxocyclopentyl]butyl]-,
[1R-[1 α (1S*,2R*),2 β (1E,3R*),3 α]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

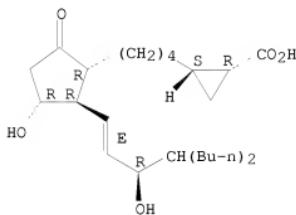


RN 63050-45-3 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[4-[2-(4-butyl-3-hydroxy-1-octenyl)-3-hydroxy-5-oxocyclopentyl]butyl]-, [1R-[1a(1R*,2S*),2B(1E,3R*),3a]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

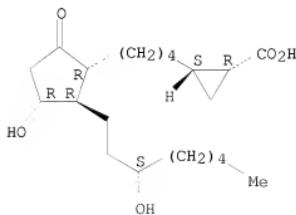
Double bond geometry as shown.



RN 63050-50-0 CAPLUS

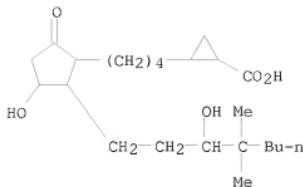
CN Cyclopropanecarboxylic acid, 2-[4-[3-hydroxy-2-(3-hydroxyoctyl)-5-oxocyclopentyl]butyl]-, [1R-[1a(1R*,2S*),2B(S*),3a]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 63050-51-1 CAPLUS

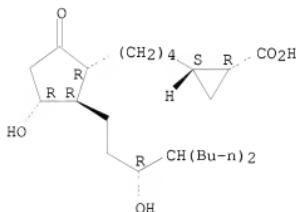
CN Cyclopropanecarboxylic acid, 2-[4-[3-hydroxy-2-(3-hydroxy-4,4-dimethyloctyl)-5-oxocyclopentyl]butyl]- (CA INDEX NAME)



RN 63050-52-2 CAPLUS

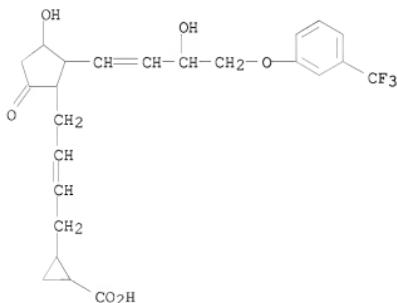
CN Cyclopropanecarboxylic acid, 2-[4-[2-(4-butyl-3-hydroxyoctyl)-3-hydroxy-5-oxocyclopentyl]butyl]-, [1R-[1a(1R*,2S*),2B(R*)],3a]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



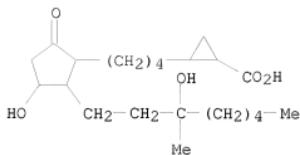
RN 63050-56-6 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[4-[3-hydroxy-2-[3-hydroxy-4-[3-(trifluoromethyl)phenoxy]-1-buten-1-yl]-5-oxocyclopentyl]-2-buten-1-yl]- (CA INDEX NAME)

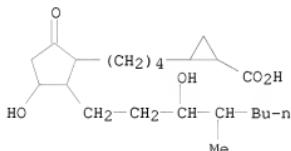


RN 63050-58-8 CAPLUS

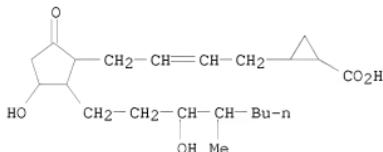
CN Cyclopropanecarboxylic acid, 2-[4-[3-hydroxy-2-(3-hydroxy-3-methyloctyl)-5-oxocyclopentyl]butyl]- (CA INDEX NAME)



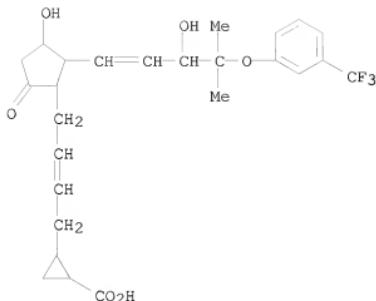
RN 63050-66-8 CAPLUS
 CN Cyclopropanecarboxylic acid, 2-[4-[3-hydroxy-2-(3-hydroxy-4-methyloctyl)-5-oxocyclopentyl]butyl]- (CA INDEX NAME)



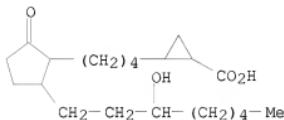
RN 63050-69-1 CAPLUS
 CN Cyclopropanecarboxylic acid, 2-[4-[3-hydroxy-2-(3-hydroxy-4-methyloctyl)-5-oxocyclopentyl]-2-buten-1-yl]- (CA INDEX NAME)



RN 63050-72-6 CAPLUS
 CN Cyclopropanecarboxylic acid, 2-[4-[3-hydroxy-2-[3-hydroxy-4-methyl-4-(trifluoromethyl)phenoxy]-1-penten-1-yl]-5-oxocyclopentyl]-2-buten-1-yl]- (CA INDEX NAME)

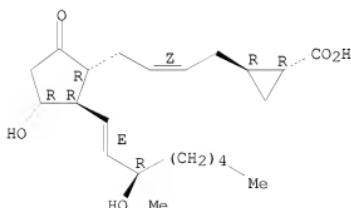


RN 63050-76-0 CAPLUS
 CN Cyclopropanecarboxylic acid, 2-[4-[2-(3-hydroxyoctyl)-5-oxocyclopentyl]butyl]- (CA INDEX NAME)



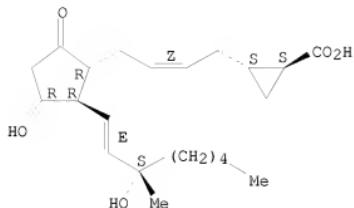
RN 63088-39-1 CAPLUS
 CN Cyclopropanecarboxylic acid, 2-[4-[3-hydroxy-2-(3-hydroxy-3-methyl-1-octenyl)-5-oxocyclopentyl]-2-buteneyl]-,
 [1R-[1a[Z(1R*,2R*)],2B(1E,3R*),3a]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
 Double bond geometry as shown.



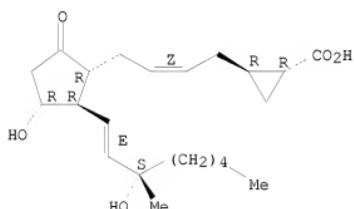
RN 63088-41-5 CAPLUS
 CN Cyclopropanecarboxylic acid, 2-[4-[3-hydroxy-2-(3-hydroxy-3-methyl-1-octenyl)-5-oxocyclopentyl]-2-buteneyl]-,
 [1R-[1a[Z(1S*,2S*)],2B(1E,3S*),3a]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



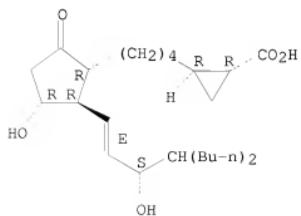
RN 63088-42-6 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[4-[3-hydroxy-2-(3-hydroxy-3-methyl-1-octenyl)-5-oxocyclopentyl]-2-buteneyl]-, [1R-[1a(Z(1R*,2R*)),2B(1E,3S*),3a]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 63088-48-2 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[4-[2-(4-butyl-3-hydroxy-1-octenyl)-3-hydroxy-5-oxocyclopentyl]butyl]-, [1R-[1a(1R*,2R*),2B(1E,3S*),3a]]- (9CI) (CA INDEX NAME)

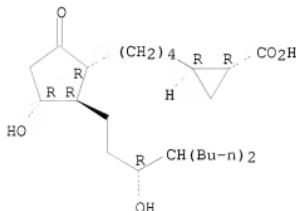
Absolute stereochemistry.
Double bond geometry as shown.



RN 63088-52-8 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[4-[2-(4-butyl-3-hydroxyoctyl)-3-hydroxy-5-oxocyclopentyl]butyl]-, [1R-[1 α (1R*,2R*),2 β (R*),3 α]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

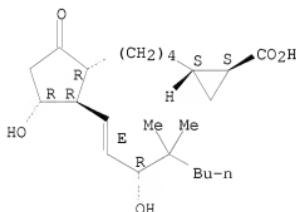


RN 63088-59-5 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[4-[3-hydroxy-2-(3-hydroxy-4,4-dimethyl-1-octenyl)-5-oxocyclopentyl]butyl]-, [1R-[1 α (1S*,2S*),2 β (1E,3R*),3 α]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

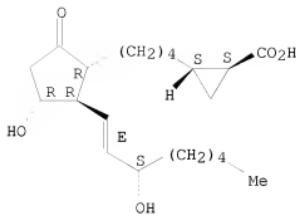


RN 63088-62-0 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[4-[3-hydroxy-2-(3-hydroxy-1-octenyl)-5-oxocyclopentyl]butyl]-, [1R-[1 α (1S*,2S*),2 β (1E,3S*),3 α]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

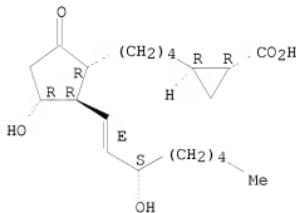


RN 63088-63-1 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[4-[3-hydroxy-2-(3-hydroxy-1-octenyl)-5-oxocyclopentyl]butyl]-, [1R-[1a(1R*,2R*),2β(1E,3S*),3α]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

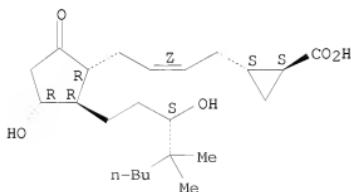


RN 63121-45-9 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[4-[3-hydroxy-2-(3-hydroxy-4,4-dimethyloctyl)-5-oxocyclopentyl]-2-butenyl]-, [1R-[1a(Z(1S*,2S*)),2β(S*),3α]]- (9CI) (CA INDEX NAME)

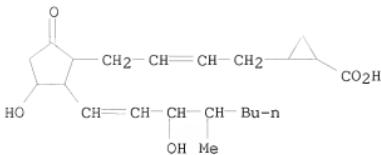
Absolute stereochemistry.

Double bond geometry as shown.

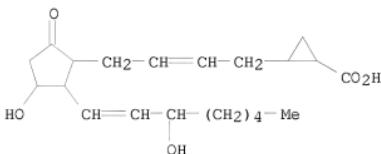


RN 64244-51-5 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[4-[3-hydroxy-2-(3-hydroxy-4-methyl-1-octenyl)-5-oxocyclopentyl]-2-buten-1-yl]- (CA INDEX NAME)

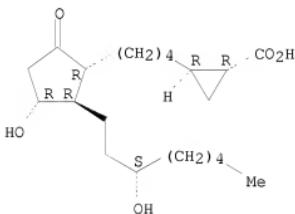


RN 79541-93-8 CAPLUS
 CN Cyclopropanecarboxylic acid, 2-[4-[3-hydroxy-2-(3-hydroxy-1-octen-1-yl)-5-oxocyclopentyl]-2-buten-1-yl]- (CA INDEX NAME)



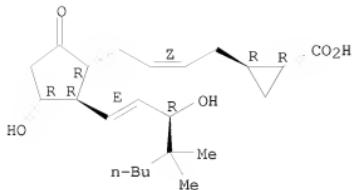
RN 79617-21-3 CAPLUS
 CN Cyclopropanecarboxylic acid, 2-[4-[3-hydroxy-2-(3-hydroxyoctyl)-5-oxocyclopentyl]butyl]-, [1R-[1a(1R*,2R*),2β(S*),3a]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



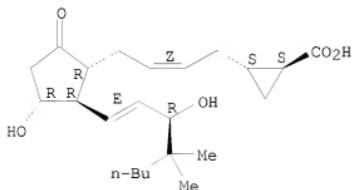
RN 79617-24-6 CAPLUS
 CN Cyclopropanecarboxylic acid, 2-[4-[3-hydroxy-2-(3-hydroxy-4,4-dimethyl-1-octenyl)-5-oxocyclopentyl]-2-butene-1-yl]-, [1R-[1a(Z(1R*,2R*)),2β(1E,3R*),3a]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
 Double bond geometry as shown.



RN 79617-25-7 CAPLUS
 CN Cyclopropanecarboxylic acid, 2-[4-[3-hydroxy-2-(3-hydroxy-4,4-dimethyl-1-octenyl)-5-oxocyclopentyl]-2-butenoate], [1R-[1a[Z(1S*,2S*)],2B[1E,3R*],3a]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
 Double bond geometry as shown.



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD
 (2 CITINGS)

L4 ANSWER 28 OF 38 CAPLUS COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 1981:461608 CAPLUS
 DOCUMENT NUMBER: 95:61608
 ORIGINAL REFERENCE NO.: 95:10399a,10402a
 TITLE: Monodeuterated prostaglandins
 INVENTOR(S): Bollinger, Pietro; Krieger, Manfred
 PATENT ASSIGNEE(S): Sandoz A.-G., Switz.
 SOURCE: U.S., 9 pp. Cont. of U.S. Ser. No. 914,401, abandoned.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|-------|----------|-----------------|-------------|
| ----- | ----- | ----- | ----- | ----- |
| US 4259523 | A | 19810331 | US 1979-37719 | 19790511 |
| PRIORITY APPLN. INFO.: | | | US 1976-697403 | A2 19760618 |
| | | | US 1976-740182 | A1 19761109 |
| | | | US 1978-914401 | A1 19780612 |

OTHER SOURCE(S): MARPAT 95:61608
 AB A series of known 15-deutero prostaglandins was prepared conventionally.
 IT 62514-97-0P 62515-02-0P 62515-05-3P

62515-08-6P 62515-10-0P 62515-11-1P

62515-15-5P 62561-00-6P

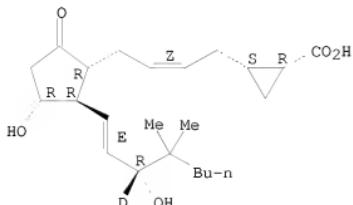
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 62514-97-0 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[4-[3-hydroxy-2-(3-hydroxy-4,4-dimethyl-1-octenyl-3-d)-5-oxocyclopentyl]-2-butenyl]-,
[1R-[1a[Z(1R*,2S*)],2B(1E,3R*),3a]]- (9CI) (CA INDEX
NAME)

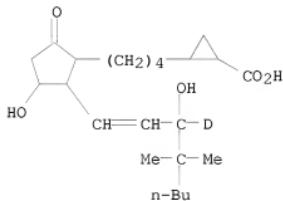
Absolute stereochemistry.

Double bond geometry as shown.



RN 62515-02-0 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[4-[3-hydroxy-2-(3-hydroxy-4,4-dimethyl-1-octenyl-3-d)-5-oxocyclopentyl]butyl]- (9CI) (CA INDEX NAME)

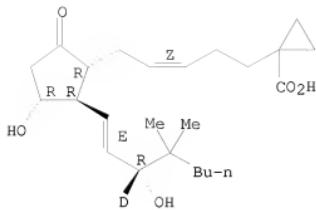


RN 62515-05-3 CAPLUS

CN Cyclopropanecarboxylic acid, 1-[5-[3-hydroxy-2-(3-hydroxy-4,4-dimethyl-1-octenyl-3-d)-5-oxocyclopentyl]-3-pentenyl]-,
[1R-[1a(Z),2B(1E,3R*),3a]]- (9CI) (CA INDEX NAME)

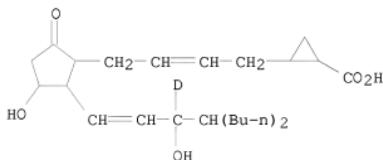
Absolute stereochemistry.

Double bond geometry as shown.



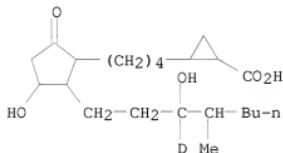
RN 62515-08-6 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[4-[2-(4-butyl-3-hydroxy-1-octenyl)-3-d]-3-hydroxy-5-oxocyclopentyl]-2-butene- (9CI) (CA INDEX NAME)



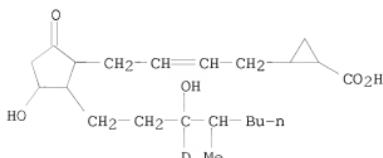
RN 62515-10-0 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[4-[3-hydroxy-2-(3-hydroxy-4-methyloctyl-3-d)-5-oxocyclopentyl]butyl]- (9CI) (CA INDEX NAME)



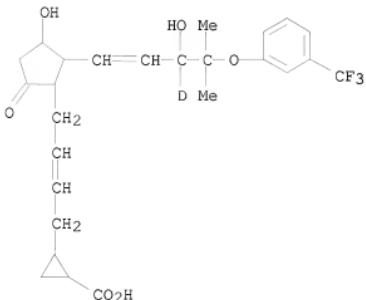
RN 62515-11-1 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[4-[3-hydroxy-2-(3-hydroxy-4-methyloctyl-3-d)-5-oxocyclopentyl]-2-butene- (9CI) (CA INDEX NAME)



RN 62515-15-5 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[4-[3-hydroxy-2-[3-hydroxy-4-methyl-4-[3-(trifluoromethyl)phenoxy]-1-pentenyl-3-d]-5-oxocyclopentyl]-2-butene]-
(9CI) (CA INDEX NAME)

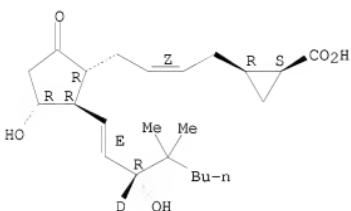


RN 62561-00-6 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[4-[3-hydroxy-2-(3-hydroxy-4,4-dimethyl-1-octenyl-3-d)-5-oxocyclopentyl]-2-butene]-,
[1R-[1a[Z(1S*,2R*)],2B(1E,3R*),3a]]- (9CI) (CA INDEX
NAME)

Absolute stereochemistry.

Double bond geometry as shown.



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD
(1 CITINGS)

L4 ANSWER 29 OF 38 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1981:442470 CAPLUS

DOCUMENT NUMBER: 95:42470

ORIGINAL REFERENCE NO.: 95:7265a, 7268a

TITLE: Prostanic ergolin-8-yl esters, thioesters, and amides
INVENTOR(S): Wenger, Roland

PATENT ASSIGNEE(S): Sandoz A.-G., Switz.

SOURCE: U.S., 9 pp. Cont. of U.S. Ser. No. 773,663, abandoned.

CODEN: USXAM

DOCUMENT TYPE: Patent

LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|----------|-----------------|-------------|
| US 4249001 | A | 19810203 | US 1979-55802 | 19790709 |
| SE 7701916 | A | 19771028 | SE 1977-1916 | 19770222 |
| AU 7722819 | A | 19780907 | AU 1977-22819 | 19770301 |
| PRIORITY APPLN. INFO.: | | | CH 1976-5268 | A 19760427 |
| | | | CH 1977-2059 | A 19770218 |
| | | | US 1977-773663 | A1 19770302 |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 95:42470

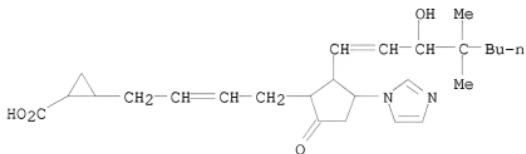
AB A series of known title compds. was prepared conventionally.

IT 65428-29-7P 65428-30-0P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

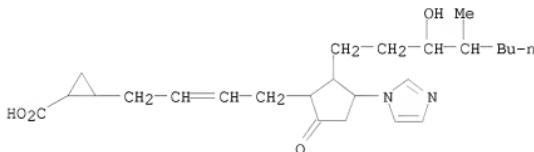
RN 65428-29-7 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[4-[2-(3-hydroxy-4,4-dimethyl-1-octen-1-yl)-3-(1H-imidazol-1-yl)-5-oxocyclopentyl]-2-buten-1-yl]- (CA INDEX NAME)



RN 65428-30-0 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[4-[2-(3-hydroxy-4-methyloctyl)-3-(1H-imidazol-1-yl)-5-oxocyclopentyl]-2-buten-1-yl]- (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD
(2 CITINGS)

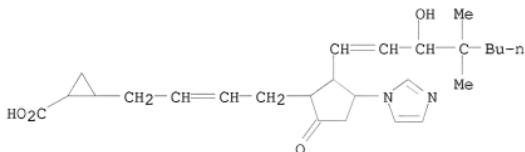
L4 ANSWER 30 OF 38 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1979:610969 CAPLUS
DOCUMENT NUMBER: 91:210969
ORIGINAL REFERENCE NO.: 91:33981a,33984a
TITLE: Ergolin-8-ylalkylesters, -thioesters and -amides of prostanic acids
INVENTOR(S): Wagner, Roland
PATENT ASSIGNEE(S): Sandoz-Patent-G.m.b.H., Switz.
SOURCE: Ger. Offen., 39 pp.

CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

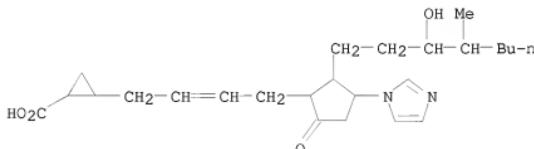
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|----------|-----------------|----------|
| DE 2803058 | A1 | 19790726 | DE 1978-2803058 | 19780125 |
| PRIORITY APPLN. INFO.: | | | DE 1978-2803058 | 19780125 |
| GI | | | | |

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB A number of title compds. (e.g., I) were prepared by coupling the prostaglandin and ergoline components. Addition of the appropriate heterocycle to PGA analogs gave the $\text{1}\alpha$ -heterocyclylprostaglandins, in turn converted into title compound analogs, such as II. In all, .apprx.60 compds. and intermediates were prepared
IT 65428-29-7P 65428-30-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 65428-29-7 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[4-[2-(3-hydroxy-4,4-dimethyl-1-octen-1-yl)-3-(1H-imidazol-1-yl)-5-oxocyclopentyl]-2-buten-1-yl]- (CA INDEX NAME)



RN 65428-30-0 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[4-[2-(3-hydroxy-4-methyloctyl)-3-(1H-imidazol-1-yl)-5-oxocyclopentyl]-2-buten-1-yl]- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD
(1 CITINGS)

L4 ANSWER 31 OF 38 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1979:598940 CAPLUS

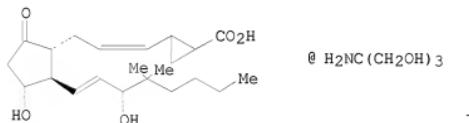
DOCUMENT NUMBER: 91:198940
 ORIGINAL REFERENCE NO.: 91:31957a, 31960a
 TITLE: Prostaglandin-containing therapeutic composition
 INVENTOR(S): Cavanak, Thomas
 PATENT ASSIGNEE(S): Sandoz-Patent-G.m.b.H., Switz.
 SOURCE: Ger. Offen., 13 pp.
 CODEN: GWXXBX
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|-------------|------|----------|-----------------|------------|
| DE 2900428 | A1 | 19790719 | DE 1979-2900428 | 19790108 |
| DK 7900076 | A | 19790718 | DK 1979-7679 | 19790108 |
| FI 7900043 | A | 19790718 | FI 1979-43 | 19790108 |
| SE 7900124 | A | 19790718 | SE 1979-124 | 19790108 |
| NO 7900059 | A | 19790718 | NO 1979-59 | 19790109 |
| NL 7900255 | A | 19790719 | NL 1979-255 | 19790112 |
| BE 873481 | A1 | 19790716 | BE 1979-192900 | 19790115 |
| AU 7943379 | A | 19790726 | AU 1979-43379 | 19790115 |
| DD 141260 | A5 | 19800423 | DD 1979-210477 | 19790115 |
| FR 2414336 | A1 | 19790810 | FR 1979-1110 | 19790117 |
| FR 2414336 | B1 | 19810731 | | |
| JP 54110313 | A | 19790829 | JP 1979-4430 | 19790117 |
| ZA 7900199 | A | 19800827 | ZA 1979-199 | 19790117 |
| | | | CH 1978-463 | A 19780117 |

PRIORITY APPLN. INFO.:

OTHER SOURCE(S): MARPAT 91:198940

GI



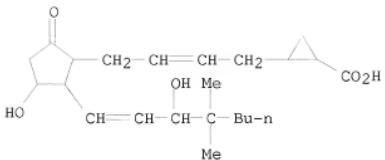
AB Compns. containing a prostaglandin and a starch hydrolyzate and/or an ester obtained from a triglyceride and a polyethylene glycol were prepared. Thus, 100 mg Lycasine powder in 0.5 mL H₂O was mixed with 1.305 mg I [71937-39-8] in 0.9 mL H₂O and the mixture adjusted to pH 6. The mixture was freeze dried and used in tablets or capsules.

IT 57911-34-9 71937-39-8

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (pharmaceuticals containing)

RN 57911-34-9 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[4-(3-hydroxy-2-(3-hydroxy-4,4-dimethyl-1-octen-1-yl)-5-oxocyclopentyl]-2-buten-1-yl]-(CA INDEX NAME)



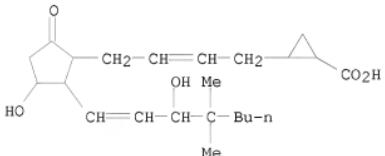
RN 71937-39-8 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[4-[3-hydroxy-2-(3-hydroxy-4,4-dimethyl-1-octen-1-yl)-5-oxocyclopentyl]-2-buten-1-yl]-, compd. with 2-amino-2-(hydroxymethyl)-1,3-propanediol (1:1) (CA INDEX NAME)

CM 1

CRN 57911-34-9

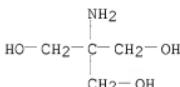
CMF C23 H36 O5



CM 2

CRN 77-86-1

CMF C4 H11 N O3



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD
(1 CITINGS)

L4 ANSWER 32 OF 38 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1978:51072 CAPLUS

DOCUMENT NUMBER: 88:51072

ORIGINAL REFERENCE NO.: 88:8073a,8076a

TITLE: Ergolin-8-yl alkyl esters, thioesters, and amides of prostanoic acids

INVENTOR(S): Wenger, Roland

PATENT ASSIGNEE(S): Sandoz-Patent-G.m.b.H., Switz.

SOURCE: Ger. Offen., 39 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|-------------|------|----------|-----------------|----------|
| DE 2707915 | A1 | 19771117 | DE 1977-2707915 | 19770224 |
| DK 7700751 | A | 19771028 | DK 1977-751 | 19770221 |
| FI 7700572 | A | 19771028 | FI 1977-572 | 19770222 |
| GB 1577647 | A | 19801029 | GB 1977-7981 | 19770225 |
| ZA 7701215 | A | 19781025 | ZA 1977-1215 | 19770301 |
| NL 7702221 | A | 19771031 | NL 1977-2221 | 19770302 |
| BE 852055 | A1 | 19770905 | BE 1977-175449 | 19770303 |
| JP 52131600 | A | 19771104 | JP 1977-22259 | 19770303 |
| FR 2353549 | A1 | 19771230 | FR 1977-6181 | 19770303 |
| SU 741794 | A3 | 19800615 | SU 1977-2457126 | 19770303 |
| FR 2355837 | A1 | 19780120 | FR 1977-26295 | 19770830 |

PRIORITY APPLN. INFO.:

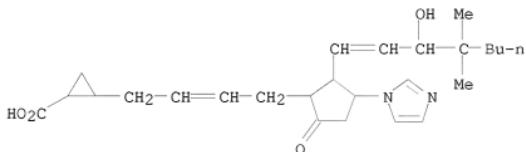
AB Treatment of prostaglandin E1 with dihydroisolysergylamine gave 11a,15S-dihydroxy-9-oxo-13-trans-prostenoic acid dihydroisolysergylamide. Similarly prepared were 59 alkyl esters, thio esters, and other ergolinyl amides of prostenoic acids.

IT 65428-29-7P 65428-30-OP

RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)

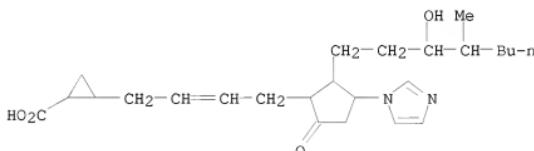
RN 65428-29-7 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[4-[2-(3-hydroxy-4,4-dimethyl-1-octen-1-yl)-3-(1H-imidazol-1-yl)-5-oxocyclopentyl]-2-buten-1-yl]- (CA INDEX NAME)



RN 65428-30-0 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[4-[2-(3-hydroxy-4-methyloctyl)-3-(1H-imidazol-1-yl)-5-oxocyclopentyl]-2-buten-1-yl]- (CA INDEX NAME)



L4 ANSWER 33 OF 38 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1977:551761 CAPLUS

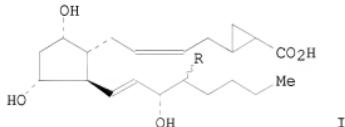
DOCUMENT NUMBER: 87:151761

ORIGINAL REFERENCE NO.: 87:23999a,24002a

TITLE: Prostaglandin derivatives
 INVENTOR(S): Bollinger, Pietro
 PATENT ASSIGNEE(S): Sandoz-Patent-G.m.b.H, Fed. Rep. Ger.
 SOURCE: Ger. Offen., 10 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 4
 PATENT INFORMATION:

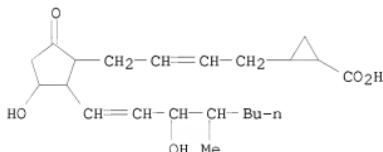
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|----------|-----------------|------------|
| DE 2654583 | A1 | 19770616 | DE 1976-2654583 | 19761202 |
| GB 1565852 | A | 19800423 | GB 1976-50954 | 19761207 |
| NL 7613628 | A | 19770614 | NL 1976-13628 | 19761208 |
| FR 2334346 | A2 | 19770708 | FR 1976-37055 | 19761209 |
| AU 7620438 | A | 19780615 | AU 1976-20438 | 19761209 |
| BE 849302 | A4 | 19770610 | BE 1976-173172 | 19761210 |
| ZA 7607365 | A | 19780726 | ZA 1976-7365 | 19761210 |
| JP 52087148 | A | 19770720 | JP 1976-148323 | 19761211 |
| PRIORITY APPLN. INFO.: | | | CH 1975-16143 | A 19751212 |
| | | | US 1975-645546 | A 19751231 |

GI



AB I [R = (R)- and (S)-Me throughout], their 9-oxo analogs, and their 9-oxo-11-deoxy-10,11-didehydro analogs were prepared by the methods of Ger. Offen. 2,431,930.
 IT 64244-51-5P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)
 RN 64244-51-5 CAPLUS
 CN Cyclopropanecarboxylic acid, 2-[4-[3-hydroxy-2-(3-hydroxy-4-methyl-1-octen-1-yl)-5-oxocyclopentyl]-2-buten-1-yl]-

(CA INDEX NAME)

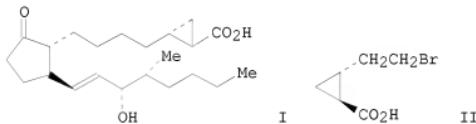


L4 ANSWER 34 OF 38 CAPLUS COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 1977:422548 CAPLUS
 DOCUMENT NUMBER: 87:22548
 ORIGINAL REFERENCE NO.: 87:3553a, 3556a

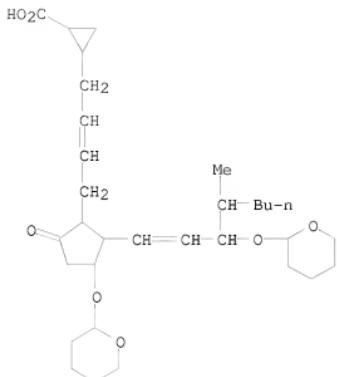
TITLE: Prostaglandins
 INVENTOR(S): Bollinger, Pietro
 PATENT ASSIGNEE(S): Sandoz-Patent G.m.b.H., Fed. Rep. Ger.
 SOURCE: Ger. Offen., 44 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 4
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|----------|-----------------|------------|
| DE 2638401 | A1 | 19770317 | DE 1976-2638401 | 19760826 |
| DK 7603910 | A | 19770306 | DK 1976-3910 | 19760827 |
| FI 7602467 | A | 19770306 | FI 1976-2467 | 19760827 |
| SE 7609505 | A | 19770306 | SE 1976-9505 | 19760827 |
| NO 7602960 | A | 19770308 | NO 1976-2960 | 19760827 |
| NL 7609710 | A | 19770308 | NL 1976-9710 | 19760901 |
| GB 1554925 | A | 19791031 | GB 1976-36369 | 19760902 |
| BE 845870 | A1 | 19770317 | BE 1976-170371 | 19760903 |
| ZA 7605299 | A | 19780426 | ZA 1976-5299 | 19760903 |
| JP 52033656 | A | 19770314 | JP 1976-105447 | 19760904 |
| FR 2322594 | A1 | 19770401 | FR 1976-26743 | 19760906 |
| FR 2322594 | B1 | 19790907 | | |
| PRIORITY APPLN. INFO.: | | | CH 1975-11593 | A 19750905 |
| | | | CH 1975-16281 | A 19751216 |

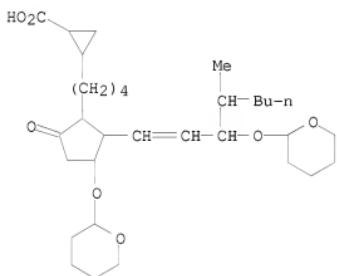
GI



AB A series of 95 2,3-methyleneprostaglandins (e.g., I) was prepared conventionally from building blocks such as (-)-II.
 IT RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation and hydrogenation of)
 RN 63050-78-2 CAPLUS
 CN Cyclopropanecarboxylic acid, 2-[4-[2-[4-methyl-3-[(tetrahydro-2H-pyran-2-yl)oxy]-1-octen-1-yl]-5-oxo-3-[(tetrahydro-2H-pyran-2-yl)oxy]cyclopentyl]-2-but-en-1-yl]-(CA INDEX NAME)

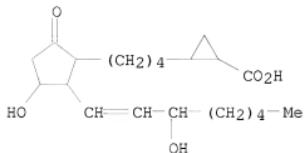


IT 63050-79-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation and hydrolysis of)
RN 63050-79-3 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[4-[2-[4-methyl-3-[(tetrahydro-2H-pyran-2-yl)oxy]-1-oxo-1-yl]-5-oxo-3-[(tetrahydro-2H-pyran-2-yl)oxy]cyclopentenyl]bntyl-(CA INDEX NAME)



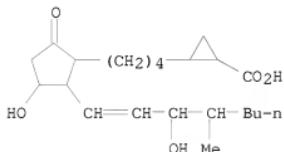
| | | | |
|----|---|-------------|-------------|
| IT | 58688-66-7P | 63050-32-8P | 63050-37-3P |
| | 63050-40-8P | 63050-44-2P | 63050-45-3P |
| | 63050-50-0P | 63050-51-1P | 63050-52-2P |
| | 63050-56-6P | 63050-58-8P | 63050-66-8P |
| | 63050-69-1P | 63050-72-6P | 63050-76-0P |
| | 63088-39-1P | 63088-41-5P | 63088-42-6P |
| | 63088-48-2P | 63088-52-8P | 63088-59-5P |
| | 63088-62-0P | 63088-63-1P | 63121-45-9P |
| | RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of) | | |
| RN | 58688-66-7 CAPLUS | | |

CN Cyclopropanecarboxylic acid, 2-[4-[3-hydroxy-2-(3-hydroxy-1-octen-1-yl)-5-oxocyclopentyl]butyl]- (CA INDEX NAME)



RN 63050-32-8 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[4-[3-hydroxy-2-(3-hydroxy-4-methyl-1-octen-1-yl)-5-oxocyclopentyl]butyl]- (CA INDEX NAME)

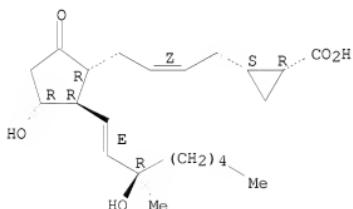


RN 63050-37-3 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[4-[3-hydroxy-2-(3-hydroxy-3-methyl-1-octenyl)-5-oxocyclopentyl]-2-butenyl]-, [1R-[1a[Z(1R*,2S*)],2B(1E,3R*),3a]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

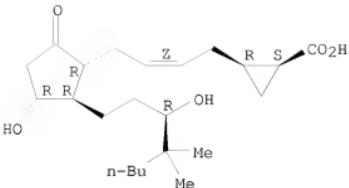


RN 63050-40-8 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[4-[3-hydroxy-2-(3-hydroxy-4,4-dimethyloctyl)-5-oxocyclopentyl]-2-butene]-, [1R-[1a[Z(1S*,2R*)],2B(R*),3a]]- (9CI) (CA INDEX NAME)

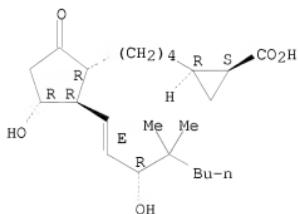
Absolute stereochemistry.

Double bond geometry as shown.



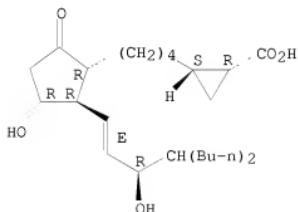
RN 63050-44-2 CAPLUS
 CN Cyclopropanecarboxylic acid, 2-[4-[3-hydroxy-2-(3-hydroxy-4,4-dimethyl-1-octenyl)-5-oxocyclopentyl]butyl]-,
 [1R-[1a(1S*,2R*),2β(1E,3R*),3a]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
 Double bond geometry as shown.



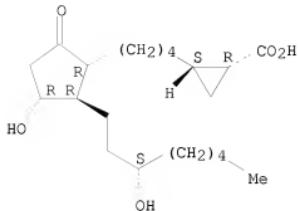
RN 63050-45-3 CAPLUS
 CN Cyclopropanecarboxylic acid, 2-[4-[2-(4-butyl-3-hydroxy-1-octenyl)-3-hydroxy-5-oxocyclopentyl]butyl]-, [1R-[1a(1R*,2S*),2β(1E,3R*),3a]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
 Double bond geometry as shown.



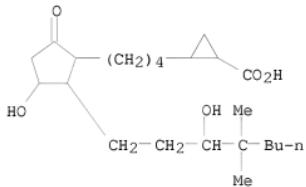
RN 63050-50-0 CAPLUS
 CN Cyclopropanecarboxylic acid, 2-[4-[3-hydroxy-2-(3-hydroxyoctyl)-5-oxocyclopentyl]butyl]-, [1R-[1a(1R*,2S*),2β(S*),3a]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 63050-51-1 CAPLUS

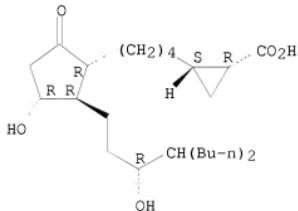
CN Cyclopropanecarboxylic acid, 2-[4-[3-hydroxy-2-(3-hydroxy-4,4-dimethyloctyl)-5-oxocyclopentyl]butyl]- (CA INDEX NAME)



RN 63050-52-2 CAPLUS

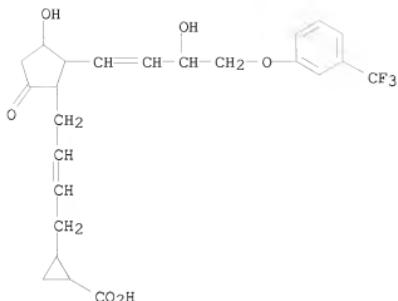
CN Cyclopropanecarboxylic acid, 2-[4-[2-(4-butyl-3-hydroxyoctyl)-3-hydroxy-5-oxocyclopentyl]butyl]-, [1R-[1a(1R*,2S*),2β(R*),3α]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



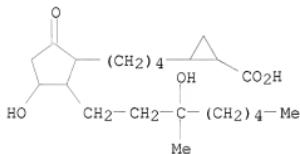
RN 63050-56-6 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[4-[3-hydroxy-2-[3-hydroxy-4-[3-(trifluoromethyl)phenoxy]-1-buten-1-yl]-5-oxocyclopentyl]-2-buten-1-yl]- (CA INDEX NAME)



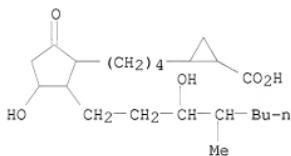
RN 63050-58-8 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[4-(3-hydroxy-2-(3-hydroxy-3-methyoctyl)-5-oxocyclopentyl]butyl]- (CA INDEX NAME)



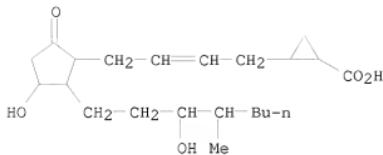
RN 63050-66-8 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[4-(3-hydroxy-2-(3-hydroxy-4-methyoctyl)-5-oxocyclopentyl]butyl]- (CA INDEX NAME)

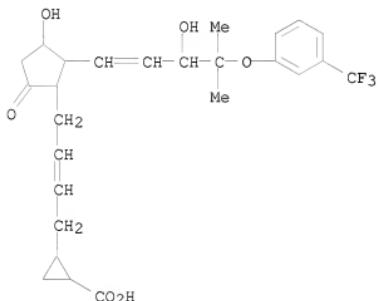


RN 63050-69-1 CAPLUS

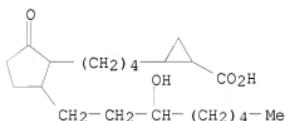
CN Cyclopropanecarboxylic acid, 2-[4-(3-hydroxy-2-(3-hydroxy-4-methyoctyl)-5-oxocyclopentyl]-2-buten-1-yl]- (CA INDEX NAME)



RN 63050-72-6 CAPLUS
 CN Cyclopropanecarboxylic acid, 2-[4-[3-hydroxy-2-[3-hydroxy-4-methyl-4-[3-(trifluoromethyl)phenoxy]-1-penten-1-yl]-5-oxocyclopentyl]-2-buten-1-yl]-
 (CA INDEX NAME)

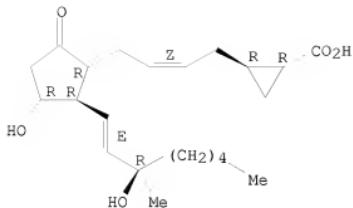


RN 63050-76-0 CAPLUS
 CN Cyclopropanecarboxylic acid, 2-[4-[2-(3-hydroxyoctyl)-5-oxocyclopentyl]butyl]- (CA INDEX NAME)



RN 63088-39-1 CAPLUS
 CN Cyclopropanecarboxylic acid, 2-[4-[3-hydroxy-2-(3-hydroxy-3-methyl-1-octenyl)-5-oxocyclopentyl]-2-butenyl]-,
 [1R-[1a(Z(1R*,2R*)),2β(1E,3R*),3α]]- (9CI) (CA INDEX
 NAME)

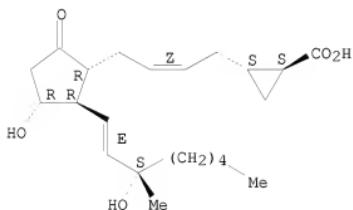
Absolute stereochemistry.
 Double bond geometry as shown.



RN 63088-41-5 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[4-[3-hydroxy-2-(3-hydroxy-3-methyl-1-octenyl)-5-oxocyclopentyl]-2-but enyl]-, [1R-[1 α (Z1S*,2S*)],2 β (1E,3S*),3 α]- (9CI) (CA INDEX NAME)

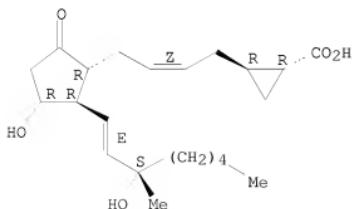
Absolute stereochemistry.
Double bond geometry as shown.



RN 63088-42-6 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[4-[3-hydroxy-2-(3-hydroxy-3-methyl-1-octenyl)-5-oxocyclopentyl]-2-but enyl]-, [1R-[1 α (Z1R*,2R*)],2 β (1E,3S*),3 α]- (9CI) (CA INDEX NAME)

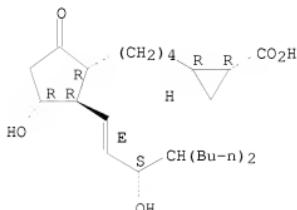
Absolute stereochemistry.
Double bond geometry as shown.



RN 63088-48-2 CAPLUS

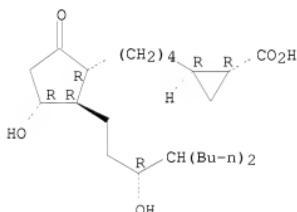
CN Cyclopropanecarboxylic acid, 2-[4-[2-(4-butyl-3-hydroxy-1-octenyl)-3-hydroxy-5-oxocyclopentyl]butyl]-, [1R-[1 α (1R*,2R*),2 β (1E,3S*),3 α]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



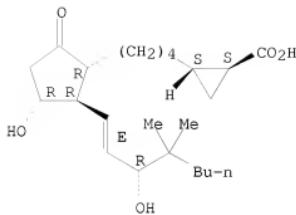
RN 63088-52-8 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[4-[2-(4-butyl-3-hydroxyoctyl)-3-hydroxy-5-oxocyclopentyl]butyl]-, [1R-[1 α (1R*,2R*),2 β (R*),3 α]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 63088-59-5 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[4-[3-hydroxy-2-(3-hydroxy-4,4-dimethyl-1-octenyl)-5-oxocyclopentyl]butyl]-, [1R-[1 α (1S*,2S*),2 β (1E,3R*),3 α]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

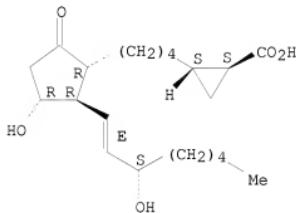


RN 63088-62-0 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[4-[3-hydroxy-2-(3-hydroxy-1-octenyl)-5-oxocyclopentyl]butyl]-, [1R-[1a(1S*,2S*),2β(1E,3S*),3α]]-
(9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

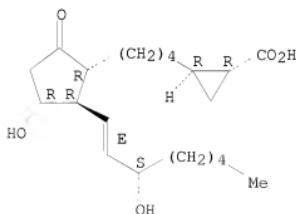


RN 63088-63-1 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[4-[3-hydroxy-2-(3-hydroxy-1-octenyl)-5-oxocyclopentyl]butyl]-, [1R-[1a(1R*,2R*),2β(1E,3S*),3α]]-
(9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

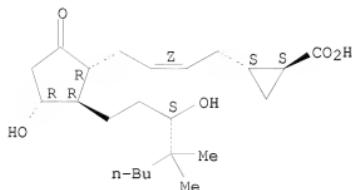


RN 63121-45-9 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[4-[3-hydroxy-2-(3-hydroxy-4,4-dimethyloctyl)-5-oxocyclopentyl]-2-but enyl]-,

[1R-[1 α (Z(1S*,2S*)),2 β (S*)],3 α]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



L4 ANSWER 35 OF 38 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1977:170946 CAPLUS

DOCUMENT NUMBER: 86:170946

ORIGINAL REFERENCE NO.: 86:26837a, 26840a

TITLE: Prostaglandins containing a hydroxy group and a deuterium atom on the carbon atom in position 15

INVENTOR(S): Bollinger, Pietro; Krieger, Manfred

PATENT ASSIGNEE(S): Sandoz-Patent-G.m.b.H., Fed. Rep. Ger.

SOURCE: Ger. Offen., 37 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

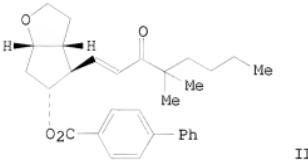
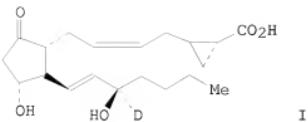
LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|-------------|------|----------|-----------------|----------|
| DE 2626582 | A1 | 19770303 | DE 1976-2626582 | 19760614 |
| DK 7602701 | A | 19761226 | DK 1976-2701 | 19760616 |
| FI 7601741 | A | 19761226 | FI 1976-1741 | 19760616 |
| SE 7606972 | A | 19761226 | SE 1976-6972 | 19760617 |
| NO 7602102 | A | 19761228 | NO 1976-2102 | 19760617 |
| NL 7606709 | A | 19761228 | NL 1976-6709 | 19760621 |
| GB 1560902 | A | 19800213 | GB 1976-25886 | 19760622 |
| BE 843318 | A1 | 19761223 | BE 1976-168237 | 19760623 |
| FR 2316930 | A1 | 19770204 | FR 1976-19091 | 19760623 |
| FR 2316930 | B1 | 19781117 | | |
| DD 124727 | A5 | 19770309 | DD 1976-193532 | 19760623 |
| IL 49889 | A | 19791130 | IL 1976-49889 | 19760623 |
| CA 1095032 | A1 | 19810203 | CA 1976-255572 | 19760623 |
| JP 52003039 | A | 19770111 | JP 1976-73923 | 19760624 |
| AT 7604604 | A | 19820115 | AT 1976-4604 | 19760624 |
| ZA 7603810 | A | 19780222 | ZA 1976-3810 | 19760625 |
| AU 511527 | B2 | 19800821 | AU 1976-15326 | 19760625 |
| FR 2351974 | A1 | 19771216 | FR 1977-1972 | 19770125 |
| FR 2351974 | B1 | 19800814 | | |

PRIORITY APPLN. INFO.: CH 1975-8250 A 19750625
GI



AB A series of deuterated prostaglandins, e.g., I, was prepared conventionally; the D was introduced by reduction of conventional intermediates, such as II, with Zn borodeuteride.

IT 62514-97-0P 62515-02-0P 62515-05-3P
 62515-08-6P 62515-10-0P 62515-11-1P
 62515-15-5P 62561-00-6P

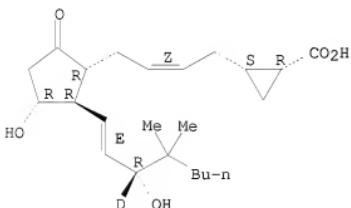
RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)

RN 62514-97-0 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[4-[3-hydroxy-2-(3-hydroxy-4,4-dimethyl-1-octenyl-3-d)-5-oxocyclopentyl]-2-butenyl]-, [1R-[1a[Z(1R*,2S*)],2β(1E,3R*),3α]]- (9CI) (CA INDEX NAME)

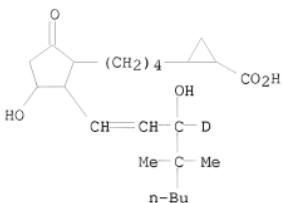
Absolute stereochemistry.

Double bond geometry as shown.



RN 62515-02-0 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[4-[3-hydroxy-2-(3-hydroxy-4,4-dimethyl-1-octenyl-3-d)-5-oxocyclopentyl]butyl]- (9CI) (CA INDEX NAME)

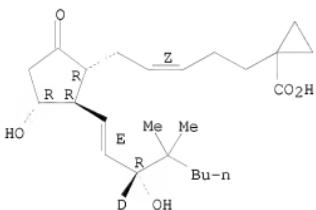


RN 62515-05-3 CAPLUS

CN Cyclopropanecarboxylic acid, 1-[5-[3-hydroxy-2-(3-hydroxy-4,4-dimethyl-1-octenyl-3-d)-5-oxocyclopentyl]-3-pentenyl]-, [1R-[1 α (Z),2 β (1E,3R*),3 α]]- (9CI) (CA INDEX NAME)

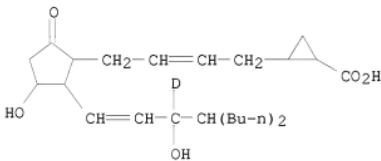
Absolute stereochemistry.

Double bond geometry as shown.



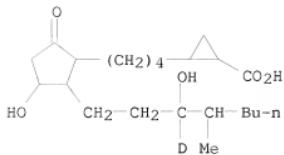
RN 62515-08-6 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[4-[2-(4-butyl-3-hydroxy-1-octenyl-3-d)-3-hydroxy-5-oxocyclopentyl]-2-butenyl]- (9CI) (CA INDEX NAME)

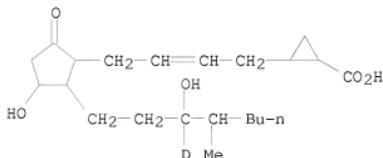


RN 62515-10-0 CAPLUS

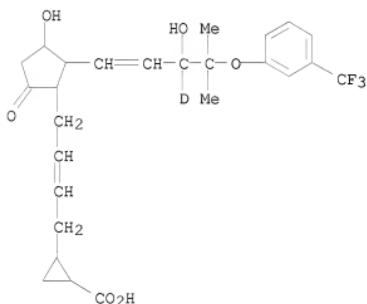
CN Cyclopropanecarboxylic acid, 2-[4-[3-hydroxy-2-(3-hydroxy-4-methyoctyl-3-d)-5-oxocyclopentyl]butyl]- (9CI) (CA INDEX NAME)



RN 62515-11-1 CAPLUS
 CN Cyclopropanecarboxylic acid, 2-[4-[3-hydroxy-2-(3-hydroxy-4-methyoctyl-3-d)-5-oxocyclopentyl]-2-butenoil]- (9CI) (CA INDEX NAME)

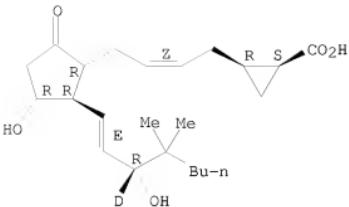


RN 62515-15-5 CAPLUS
 CN Cyclopropanecarboxylic acid, 2-[4-[3-hydroxy-2-[3-hydroxy-4-methyl-4-[3-(trifluoromethyl)phenoxy]-1-pentenyl-3-d]-5-oxocyclopentyl]-2-butenoil]- (9CI) (CA INDEX NAME)



RN 62561-00-6 CAPLUS
 CN Cyclopropanecarboxylic acid, 2-[4-[3-hydroxy-2-(3-hydroxy-4,4-dimethyl-1-octenyl-3-d)-5-oxocyclopentyl]-2-butenoil]-, [1R-[1a[Z(1S*,2R*)],2P(1E,3R*),3a]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
 Double bond geometry as shown.



L4 ANSWER 36 OF 38 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1976:117028 CAPLUS

DOCUMENT NUMBER: 84:117028

ORIGINAL REFERENCE NO.: 84:18973a, 18976a

TITLE: Specificity in the enzymic conversion of substituted cis-8,cis-11,cis-14-eicosatrienoic acids into prostaglandins

AUTHOR(S): Van Dorp, D. A.; Christ, E. J.

CORPORATE SOURCE: Unilever Res., Vlaardingen, Neth.

SOURCE: Recueil des Travaux Chimiques des Pays-Bas (1975), 94(12), 247-53

CODEN: RTCPA3; ISSN: 0165-0513

DOCUMENT TYPE: Journal

LANGUAGE: English

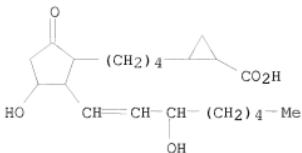
AB The enzyme specificity in the biosynthesis of prostaglandins was investigated by incubation of a particulate fraction of sheep vesicular glands with substituted cis-8,cis-11,cis-14-eicosatrienoic acids. Conversions were always lower than with the unsubstituted acid (20:3). In the 2-substituted series, a conversion of up to 50% was found for 2-methoxycarbonyl-, 2-methyl-, 2-hydroxymethyl-, 2-butyl-, 2-methoxy-, 2-methoxymethylene-, 2-fluoro-, 2-bromo-, 2-cyano-, and 2-phenyl-20:3. No conversion was found for 2-hydroxycarbonyl-, 2-heptoxy carbonyl-, 2-amino-, and 2-acetamido-20:3. In some cases, slight preference of the enzymes for the R configuration (2-phenyl-, 2-methyl-20:3) was noted. For di-substituted acids, yields of up to 70% were found for 2-cyano-2-butyl, 2,3-cis- and 2,3-trans-methylene-, and 3,3-dimethyl-20:3, but 4,4-dimethyl-20:3 was not converted. However, 5-yne-20:3 was again a substrate (25% conversion). Substitution at the methyl end of the precursor acid resulted in 34% conversion with 19-methyl-20:3, but 18-methyl-20:3 was not converted. Biol. activities of substituted prostaglandins were, in general, much less than those of PGE1, but for 19-methyl-PGE, smooth muscle-stimulating activity was 1.6 times that of PGE1. For some substituted prostaglandins (2-fluoro-, 2-cyano-PGE1), an appreciable activity in one biol. test system was found but very little in others.

IT 58688-66-7P

RL: BSU (Biological study, unclassified); MFM (Metabolic formation); BIOL (Biological study); FORM (Formation, nonpreparative); PREP (Preparation) (formation of, by vesicular gland)

RN 58688-66-7 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[4-[3-hydroxy-2-(3-hydroxy-1-octen-1-yl)-5-oxocyclopentyl]butyl]- (CA INDEX NAME)



L4 ANSWER 37 OF 38 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1975:458253 CAPLUS

DOCUMENT NUMBER: 83:58253

ORIGINAL REFERENCE NO.: 83:9179a,9182a

TITLE: Analogs of prostanoic acids

INVENTOR(S): Babej, Milo; Bartmann, Wilhelm; Lerch, Ulrich

PATENT ASSIGNEE(S): Farbwerke Hoechst A.-G., Fed. Rep. Ger.

SOURCE: Ger. Offen., 38 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|-------------|------|----------|-----------------|------------|
| DE 2346706 | A1 | 19750403 | DE 1973-2346706 | 19730917 |
| ZA 7405912 | A | 19751029 | ZA 1974-5912 | 19740907 |
| NL 7412117 | A | 19750319 | NL 1974-12117 | 19740912 |
| CH 609970 | A5 | 19790330 | CH 1974-12437 | 19740912 |
| DK 7404874 | A | 19750520 | DK 1974-4874 | 19740916 |
| AU 7473333 | A | 19760318 | AU 1974-73333 | 19740916 |
| AU 502576 | B2 | 19790802 | | |
| AT 7407466 | A | 19790715 | AT 1974-7466 | 19740916 |
| AT 355234 | B | 19800225 | | |
| HU 173876 | B | 19790928 | HU 1974-H01720 | 19740916 |
| BE 820008 | A1 | 19750317 | BE 1974-148609 | 19740917 |
| SE 7411664 | A | 19750318 | SE 1974-11664 | 19740917 |
| FR 2243689 | A1 | 19750411 | FR 1974-31396 | 19740917 |
| FR 2243689 | B1 | 19780630 | | |
| JP 50053352 | A | 19750512 | JP 1974-107057 | 19740917 |
| JP 58005195 | B | 19830129 | | |
| GB 1487842 | A | 19771005 | GB 1974-40536 | 19740917 |
| | | | DE 1973-2346706 | A 19730917 |

PRIORITY APPLN. INFO.:

MARPAT 83:58253

OTHER SOURCE(S): GI For diagram(s), see printed CA Issue.

AB Prostaglandin analogs I [R = R3 = H (II); R1R2 = O; n = 0-5; Q = (CH2)m (m = 0-5) or cis or trans CR4:CR5 (R4 ≠ R5 = H, C1-5 alkyl); X = O or direct bond; Y = 2,5-furandyl, phenylene, phenyleneoxy, or CR6R7 (R6, R7 = H, alkyl)] were prepared by conventional methods, e.g., protective-group procedures, isomer sepsns., etc., based on retro-Dieckmann condensation of the corresponding I (R = CO2Et; R3 = CO2Et), which were hydrolyzed, then decarboxylated to II. II were optionally reduced by metal hydrides to the corresponding I (R1 = OH; R2 = H) (III). II and III showed a variety of physiol. activities characteristic of prostaglandins.

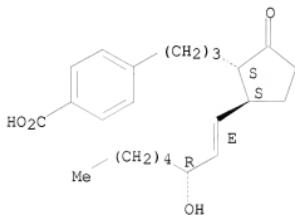
IT 56372-92-0

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 56372-92-0 CAPLUS

CN Benzoic acid, 4-[3-[(1R,2R)-2-[(1E,3S)-3-hydroxy-1-octen-1-yl]-5-oxocyclopentyl]propyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.



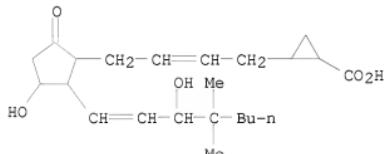
OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD
(2 CITINGS)

L4 ANSWER 38 OF 38 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1975:458248 CAPLUS
DOCUMENT NUMBER: 83:58248
ORIGINAL REFERENCE NO.: 83:9179a,9182a
TITLE: Methanoprostaglandins
INVENTOR(S): Bollinger, Pietro
PATENT ASSIGNEE(S): Sandoz Ltd.
SOURCE: Ger. Offen., 38 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

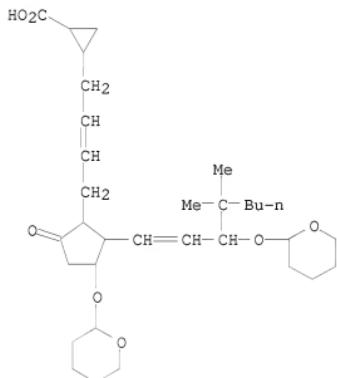
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|-------------|------|----------|-----------------|----------|
| DE 2431930 | A1 | 19750130 | DE 1974-2431930 | 19740703 |
| CH 599147 | A5 | 19780512 | CH 1973-9959 | 19730709 |
| FI 7402011 | A | 19750110 | FI 1974-2011 | 19740701 |
| FI 58117 | B | 19800829 | | |
| FI 58117 | C | 19801210 | | |
| NO 7402378 | A | 19750110 | NO 1974-2378 | 19740701 |
| SE 7408689 | A | 19750110 | SE 1974-8689 | 19740701 |
| DK 7403529 | A | 19750303 | DK 1974-3529 | 19740701 |
| DK 142143 | B | 19800908 | | |
| DK 142143 | C | 19810209 | | |
| NL 7409119 | A | 19750113 | NL 1974-9119 | 19740705 |
| FR 2236490 | A1 | 19750207 | FR 1974-23427 | 19740705 |
| DD 113348 | A5 | 19750612 | DD 1974-179745 | 19740705 |
| BE 817383 | A1 | 19750108 | BE 1974-146337 | 19740708 |
| JP 50040549 | A | 19750414 | JP 1974-77462 | 19740708 |
| AU 7470977 | A | 19760108 | AU 1974-70977 | 19740708 |
| GB 1479965 | A | 19770713 | GB 1974-1901 | 19740708 |
| GB 1479964 | A | 19770713 | GB 1974-30268 | 19740708 |
| CA 1050976 | A1 | 19790320 | CA 1974-204340 | 19740708 |
| AT 7405598 | A | 19790715 | AT 1974-5598 | 19740708 |
| AT 355233 | B | 19800225 | | |
| ZA 7404410 | A | 19760331 | ZA 1974-4410 | 19740709 |
| DK 7504385 | A | 19750929 | DK 1975-4385 | 19750929 |

| | | | |
|------------------------|-------------|---------------|------------|
| SE 7512194 | A 19751030 | SE 1975-12194 | 19751030 |
| FR 2318169 | AI 19770211 | FR 1976-29555 | 19761001 |
| FR 2318169 | BL 19781103 | | |
| PRIORITY APPLN. INFO.: | | CH 1973-9959 | A 19730709 |
| | | CH 1974-6049 | A 19740504 |
| | | DK 1974-3529 | A 19740701 |

GI For diagram(s), see printed CA Issue.
 AB Prostaglandin methano derivs. I (R = H, C1-8 alkyl, C3-10 cycloalkyl, aralkyl; R1, R2 = H, C1-4 alkyl) with unsatn., hydroxy, and oxo groups in the cyclopentane ring as shown, were prepared by several procedures based on Wittig reactions of II with cyclic hemiacetals III.
 IT 57911-34-9P 57911-38-3P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)
 RN 57911-34-9 CAPLUS
 CN Cyclopropanecarboxylic acid, 2-[4-[3-hydroxy-2-(3-hydroxy-4,4-dimethyl-1-octen-1-yl)-5-oxocyclopentyl]-2-buten-1-yl]-(CA INDEX NAME)



RN 57911-38-3 CAPLUS
 CN Cyclopropanecarboxylic acid, 2-[4-[2-[4,4-dimethyl-3-[(tetrahydro-2H-pyran-2-yl)oxy]-1-octen-1-yl]-5-oxo-3-[(tetrahydro-2H-pyran-2-yl)oxy]cyclopentyl]-2-buten-1-yl]-(CA INDEX NAME)



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| NEWS | 4 | AUG 24 ENCOMPPLIT/ENCOMPPLIT2 reloaded and enhanced |
| NEWS | 5 | AUG 24 CA/CAPLus enhanced with legal status information for U.S. patents |
| NEWS | 6 | SEP 09 50 Millionth Unique Chemical Substance Recorded in CAS REGISTRY |
| NEWS | 7 | SEP 11 WPIDS, WPINDEX, and WPIX now include Japanese FTERM thesaurus |
| NEWS | 8 | OCT 21 Derwent World Patents Index Coverage of Indian and Taiwanese Content Expanded |
| NEWS | 9 | OCT 21 Derwent World Patents Index enhanced with human translated claims for Chinese Applications and Utility Models |
| NEWS | 10 | NOV 23 Addition of SCAN format to selected STN databases |
| NEWS | 11 | NOV 23 Annual Reload of IFI Databases |
| NEWS | 12 | DEC 01 FRFULL Content and Search Enhancements |
| NEWS | 13 | DEC 01 DGENE, USGENE, and PCTGEN: new percent identity feature for sorting BLAST answer sets |
| NEWS | 14 | DEC 02 Derwent World Patent Index: Japanese FI-TERM thesaurus added |
| NEWS | 15 | DEC 02 PCTGEN enhanced with patent family and legal status display data from INPADOCDB |
| NEWS | 16 | DEC 02 USGENE: Enhanced coverage of bibliographic and sequence information |

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AND CURRENT DISCOVER FILE IS DATED 06 APRIL 2009

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FILE 'HOME' ENTERED AT 11:45:07 ON 14 DEC 2009

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COST IN U.S. DOLLARS          SINCE FILE      TOTAL
                                ENTRY        SESSION
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STRUCTURE FILE UPDATES: 13 DEC 2009 HIGHEST RN 1197170-99-2
DICTIONARY FILE UPDATES: 13 DEC 2009 HIGHEST RN 1197170-99-2

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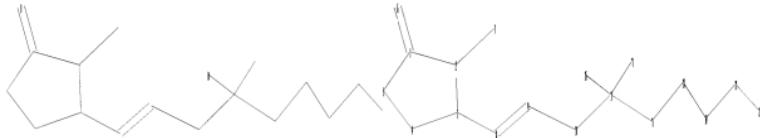
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<http://www.cas.org/support/stnqen/stndoc/properties.html>

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Uploading C:\Program Files\STNEXP\Queries\10581619s3.str



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chain nodes :
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ring nodes :
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chain bonds :
1-6 2-7 3-8 8-9 9-10 10-11 11-15 11-16 11-17 15-18 18-19 19-20 20-21
ring bonds :
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exact/norm bonds :
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G1:C,S

G2:C,N

G3:C,O

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:CLASS 9:CLASS
10:CLASS 11:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS 20:CLASS
21:CLASS

L1 STRUCTURE UPLOADED

=> d 11

L1 HAS NO ANSWERS

L1 STR



G1 C,S

G2 C,N

G3 C,O

Structure attributes must be viewed using STN Express query preparation.

=> s 11 sss sam

SAMPLE SEARCH INITIATED 11:45:29 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 422 TO ITERATE

100.0% PROCESSED 422 ITERATIONS
SEARCH TIME: 00.00.01

5 ANSWERS

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 7208 TO 9672
PROJECTED ANSWERS: 5 TO 234

L2 5 SEA SSS SAM L1

=> s 11 sss full

THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 185.40 U.S. DOLLARS

DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y

FULL SEARCH INITIATED 11:45:38 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 8114 TO ITERATE

100.0% PROCESSED 8114 ITERATIONS
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67 ANSWERS

L3 67 SEA SSS FUL L1

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FILE 'CAPLUS' ENTERED AT 11:45:42 ON 14 DEC 2009
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FILE COVERS 1907 - 14 Dec 2009 VOL 151 ISS 25
FILE LAST UPDATED: 13 Dec 2009 (20091213/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Oct 2009
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Aug 2009

Cplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2009.

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 13
L4 14 L3

=> s 13 and ep2
14 L3
1800 EP2
L5 2 L3 AND EP2

=> d ibib abs hitstr 1-2

L5 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2006:1283410 CAPLUS
DOCUMENT NUMBER: 146:39069
TITLE: Agents for regeneration and/or protection of nerves containing prostaglandin EP2 receptor agonists
INVENTOR(S): Ohmoto, Kazuyuki; Kinoshita, Akihiro; Matsuya, Hidekazu
PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 103pp.
CODEN: PIXDZ

DOCUMENT TYPE:

Patent

LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|------------------|------------|
| WO 2006129788 | A1 | 20061207 | WO 2006-JP311084 | 20060602 |
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| CA 2610692 | A1 | 20061207 | CA 2006-2610692 | 20060602 |
| EP 1886693 | A1 | 20080213 | EP 2006-756919 | 20060602 |
| R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR | | | | |
| ZA 2007010414 | A | 20081126 | ZA 2007-10414 | 20071130 |
| MX 2007015230 | A | 20080221 | MX 2007-15230 | 20071203 |
| NO 2007006232 | A | 20080228 | NO 2007-6232 | 20071203 |
| IN 2007CN05554 | A | 20080328 | IN 2007-CN5554 | 20071203 |
| US 20090227644 | A1 | 20090910 | US 2007-916374 | 20071203 |
| KR 2008016926 | A | 20080222 | KR 2008-700009 | 20080102 |
| CN 101237885 | A | 20080806 | CN 2006-80028685 | 20080203 |
| PRIORITY APPLN. INFO.: | | | JP 2005-164458 | A 20050603 |
| | | | WO 2006-JP11084 | W 20060602 |
| | | | WO 2006-JP311084 | W 20060602 |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 146:39069

AB An EP2 agonist which may have an EP3 agonistic effect has an effect of regenerating and/or protecting nerves, and is therefore useful as a therapeutic agent for a disease of the peripheral nervous system, such as a lower or upper motor neuron disease, a nerve root disease, plexopathy, thoracic outlet compression syndrome, peripheral neuropathy, neurofibromatosis and neuromuscular transmission disease. An EP2 agonist which has an EP3 agonistic effect is a safe and effective agent for the regeneration and/or protection of nerves which has little influence on the circulatory system. For example 2-[[2-[(1R,2R)-2-[(1E,4S)-5-cyclohexyl-4-hydroxy-4-methyl-1-penten-1-yl]-5-oxocyclopentyl]ethyl]thio]-1,3-thiazole-4-carboxylic acid (I) was prepared, and examined for its effect on cauda equina repair-promoting effect in rats. Also, a tablet containing I 0.5 mg/tablet was formulated.

IT 853999-74-3P 916317-64-1P 916317-68-5P

916317-76-5P 916317-77-6P 916317-81-2P

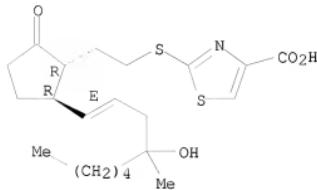
916317-91-4P 916318-01-9P 916318-02-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(agents for regeneration and/or protection of nerves containing prostaglandin EP2 receptor agonists)

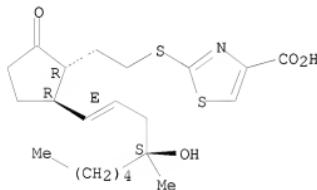
RN 853999-74-3 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[[2-[(1R,2R)-2-[(1E)-4-hydroxy-4-methyl-1-nonen-1-yl]-5-oxocyclopentyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



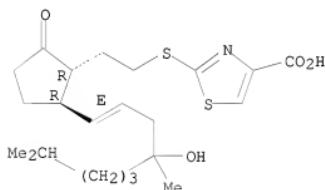
RN 916317-64-1 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[(2-[(1R,2R)-2-[(1E,4S)-4-hydroxy-4-methyl-1-nonen-1-yl]-5-oxocyclopentyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



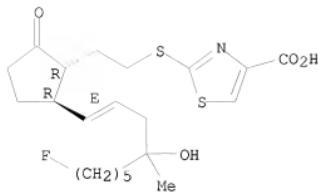
RN 916317-68-5 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[(2-[(1R,2R)-2-[(1E)-4-hydroxy-4,8-dimethyl-1-nonen-1-yl]-5-oxocyclopentyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 916317-76-5 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[(2-[(1R,2R)-2-[(1E)-9-fluoro-4-hydroxy-4-methyl-1-nonen-1-yl]-5-oxocyclopentyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

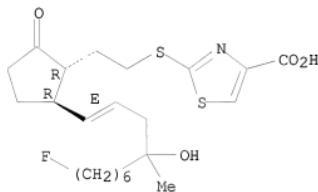


RN 916317-77-6 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(1R,2R)-2-[(1E)-10-fluoro-4-hydroxy-4-methyl-1-decen-1-yl]-5-oxocyclopentyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

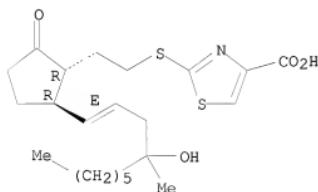


RN 916317-81-2 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(1R,2R)-2-[(1E)-4-hydroxy-4-methyl-1-decen-1-yl]-5-oxocyclopentyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

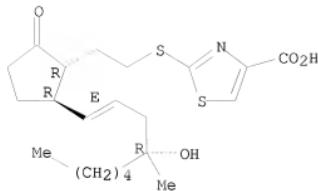


RN 916317-91-4 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(1R,2R)-2-[(1E,4R)-4-hydroxy-4-methyl-1-nonen-1-yl]-5-oxocyclopentyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

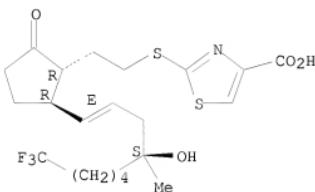


RN 916318-01-9 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[[2-[(1R,5R)-2-oxo-5-[(1E,4S)-9,9,9-trifluoro-4-hydroxy-4-methyl-1-nonen-1-yl]cyclopentyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

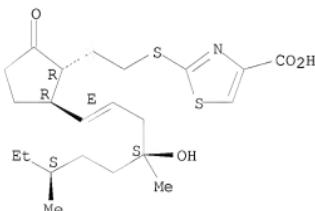


RN 916318-02-0 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[[2-[(1R,2R)-2-[(1E,4S,7S)-4-hydroxy-4,7-dimethyl-1-nonen-1-yl]-5-oxocyclopentyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:523298 CAPLUS

DOCUMENT NUMBER: 143:53530

TITLE: Blood flow promoters for cauda equina tissues

INVENTOR(S): containing prostaglandin-like compounds
 Ohmoto, Kazuyuki; Kinoshita, Akihiro; Kamanaka,
 Yoshihisa; Matsuya, Hidekazu
 PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan
 SOURCE: PCT Int. Appl., 132 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|------------|
| WO 2005053707 | A1 | 20050616 | WO 2004-JP17961 | 20041202 |
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GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
LK, LR, LS, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,
RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
MR, NE, SN, TD, TG | | | | |
| EP 1707208 | A1 | 20061004 | EP 2004-819909 | 20041202 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
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| US 20070129327 | A1 | 20070607 | US 2007-581619 | 20070126 |
| PRIORITY APPLN. INFO.: | | | JP 2003-407675 | A 20031205 |
| | | | WO 2004-JP17961 | W 20041202 |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 143:53530

AB It is intended to provide highly safe and efficacious blood flow promoters for cauda equina tissues. Among prostaglandin-like compds. having a weak hypotensive effect, compds. having an effect of promoting the blood flow in cauda equina tissues (excluding limaprost) are useful as highly safe blood flow promoters for cauda equina tissues and, therefore, are efficacious in preventing and/or treating lumbar pain, lower limb pain, lower limb palsy, intermittent claudication, vesicorectal failure, hypogonadism, etc. caused by cauda equina injuries. Thus, 2-[2-[(4S)-4-[(1E,3R)-3-[1-(4-fluorobutyl)cyclobutyl]-3-hydroxy-1-propenyl]-2-oxo-1,3-oxazolidin-3-yl]ethyl]sulfanyl]-1,3-thiazole-4-carboxylic acid (I) was prepared. The compound I improved blood flow of cauda equina in rats without significantly affecting blood pressure. Also, a tablet containing I 0.5 mg/tablet was formulated.

IT 853999-74-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

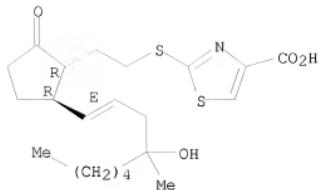
(blood flow promoters for cauda equina tissues containing prostaglandin-like compds.)

RN 853999-74-3 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(1R,2R)-2-[(1E)-4-hydroxy-4-methyl-1-nonen-1-yl]-5-oxocyclopentyl]ethyl]thio)- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD
 (2 CITINGS)
 REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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 L6 14 L3

=> d ibib abs hitstr 1-14

L6 ANSWER 1 OF 14 CAPLUS COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 2006:1283410 CAPLUS
 DOCUMENT NUMBER: 146:39069
 TITLE: Agents for regeneration and/or protection of nerves containing prostaglandin EP2 receptor agonists
 OHmoto, Kazuyuki; Kinoshita, Akihiro; Matsuya, Hidekazu
 INVENTOR(S):
 PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan
 SOURCE: PCT Int. Appl., 103pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|------------------|----------|
| WO 2006129788 | A1 | 20061207 | WO 2006-JP311084 | 20060602 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
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| AU 2006253356 | A1 | 20061207 | AU 2006-253356 | 20060602 |
| CA 2610692 | A1 | 20061207 | CA 2006-2610692 | 20060602 |
| EP 1886693 | A1 | 20080213 | EP 2006-756919 | 20060602 |
| R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR | | | | |
| ZA 2007010414 | A | 20081126 | ZA 2007-10414 | 20071130 |
| MX 2007015230 | A | 20080221 | MX 2007-15230 | 20071203 |

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| NO 2007006232 | A 20080228 | NO 2007-6232 | 20071203 |
| IN 2007CN05554 | A 20080328 | IN 2007-CN5554 | 20071203 |
| US 20090227644 | A1 20090910 | US 2007-916374 | 20071203 |
| KR 2008016926 | A 20080222 | KR 2008-700009 | 20080102 |
| CN 101237885 | A 20080806 | CN 2006-80028685 | 20080203 |
| PRIORITY APPLN. INFO.: | | JP 2005-164458 | A 20050603 |
| | | WO 2006-JP11084 | W 20060602 |
| | | WO 2006-JP311084 | W 20060602 |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 146:39069

AB An EP2 agonist which may have an EP3 agonistic effect has an effect of regenerating and/or protecting nerves, and is therefore useful as a therapeutic agent for a disease of the peripheral nervous system, such as a lower or upper motor neuron disease, a nerve root disease, plexopathy, thoracic outlet compression syndrome, peripheral neuropathy, neurofibromatosis and neuromuscular transmission disease. An EP2 agonist which has an EP3 agonistic effect is a safe and effective agent for the regeneration and/or protection of nerves which has little influence on the circulatory system. For example 2-[2-[(1R,2R)-2-[(1E,4S)-5-cyclohexyl-4-hydroxy-4-methyl-1-penten-1-yl]-5-oxocyclopentyl]ethyl]thio]-1,3-thiazole-4-carboxylic acid (I) was prepared, and examined for its effect on cauda equina repair-promoting effect in rats. Also, a tablet containing I 0.5 mg/tablet was formulated.

IT 853999-74-3P 916317-64-1P 916317-68-5P
 916317-76-5P 916317-77-6P 916317-81-2P
 916317-91-4P 916318-01-9P 916318-02-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

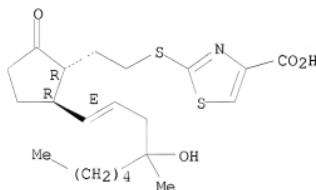
(agents for regeneration and/or protection of nerves containing prostaglandin EP2 receptor agonists)

RN 853999-74-3 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(1R,2R)-2-[(1E)-4-hydroxy-4-methyl-1-nonen-1-yl]-5-oxocyclopentyl]ethyl]thio)- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

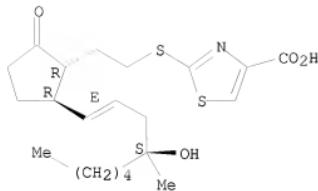


RN 916317-64-1 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(1R,2R)-2-[(1E,4S)-4-hydroxy-4-methyl-1-nonen-1-yl]-5-oxocyclopentyl]ethyl]thio)- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

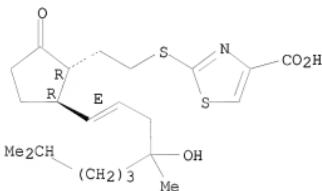


RN 916317-68-5 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(1R,2R)-2-[(1E)-4-hydroxy-4,8-dimethyl-1-nonen-1-yl]-5-oxocyclopentyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

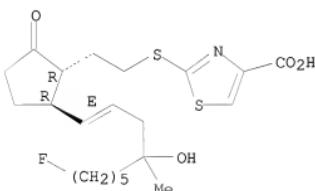


RN 916317-76-5 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(1R,2R)-2-[(1E)-9-fluoro-4-hydroxy-4-methyl-1-nonen-1-yl]-5-oxocyclopentyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

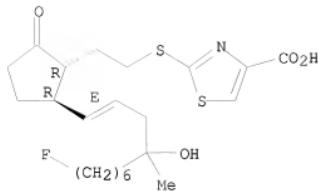


RN 916317-77-6 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(1R,2R)-2-[(1E)-10-fluoro-4-hydroxy-4-methyl-1-decen-1-yl]-5-oxocyclopentyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

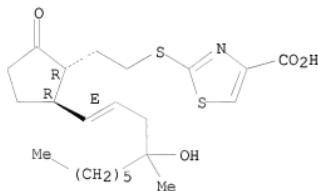


RN 916317-81-2 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(1R,2R)-2-[(1E)-4-hydroxy-4-methyl-1-decen-1-yl]-5-oxocyclopentyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

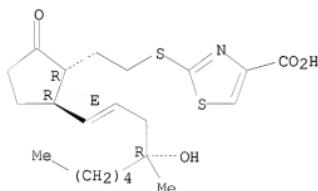


RN 916317-91-4 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(1R,2R)-2-[(1E,4R)-4-hydroxy-4-methyl-1-nonen-1-yl]-5-oxocyclopentyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

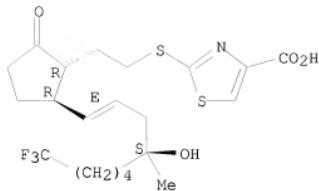


RN 916318-01-9 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(1R,5R)-2-oxo-5-[(1E,4S)-9,9,9-trifluoro-4-hydroxy-4-methyl-1-nonen-1-yl]cyclopentyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

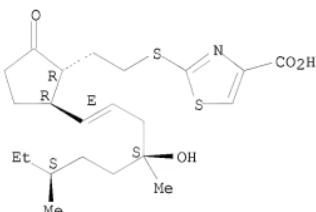


RN 916318-02-0 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2-[(1R,2R)-2-[(1E,4S,7S)-4-hydroxy-4,7-dimethyl-1-nonen-1-yl]-5-oxocyclopentyl]ethyl]thio)- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 14 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:523298 CAPLUS

DOCUMENT NUMBER: 143:53530

TITLE: Blood flow promoters for cauda equina tissues containing prostaglandin-like compounds

INVENTOR(S): Ohmoto, Kazuyuki; Kinoshita, Akihiro; Kamanaka, Yoshihisa; Matsuya, Hidekazu

PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 132 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| WO 2005053707 | A1 | 20050616 | WO 2004-JP17961 | 20041202 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |

RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
 AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
 EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,
 RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
 MR, NE, SN, TD, TG
 EP 1707208 A1 20061004 EP 2004-819909 20041202
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS
 US 20070129327 A1 20070607 US 2007-581619 20070126
 PRIORITY APPLN. INFO.: JP 2003-407675 A 20031205
 WO 2004-JP17961 W 20041202

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSSU DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 143:53530

AB It is intended to provide highly safe and efficacious blood flow promoters for cauda equina tissues. Among prostaglandin-like compds. having a weak hypotensive effect, compds. having an effect of promoting the blood flow in cauda equina tissues (excluding limaprost) are useful as highly safe blood flow promoters for cauda equina tissues and, therefore, are efficacious in preventing and/or treating lumbar pain, lower limb pain, lower limb palsy, intermittent claudication, vesicorectal failure, hypogonadism, etc. caused by cauda equina injuries. Thus, 2-[2-[(4S)-4-[(1E,3R)-3-[1-(4-fluorobutyl)cyclobutyl]-3-hydroxy-1-propenyl]-2-oxo-1,3-oxazolidin-3-yl]ethyl]sulfanyl]-1,3-thiazole-4-carboxylic acid (I) was prepared. The compound I improved blood flow of cauda equina in rats without significantly affecting blood pressure. Also, a tablet containing I 0.5 mg/tablet was formulated.
IT 853999-74-3P

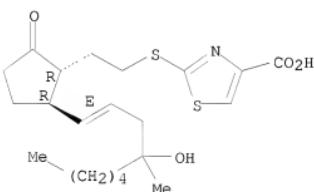
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(blood flow promoters for cauda equina tissues containing prostaglandin-like compds.)

RN 853999-74-3 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[2-[(1R,2R)-2-[(1E)-4-hydroxy-4-methyl-1-nonen-1-yl]-5-oxocyclopentyl]ethyl]thio- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 3 OF 14 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1992:627085 CAPLUS

DOCUMENT NUMBER: 117:227085

ORIGINAL REFERENCE NO.: 117:39081a,39082a

TITLE: Inhibition of IgE production with prostaglandins

INVENTOR(S):
PATENT ASSIGNEE(S):
SOURCE:

DOCUMENT TYPE:
LANGUAGE:
FAMILY ACC. NUM. CO
PATENT INFORMATION:

Levine, Alan David; Collins, Paul Waddell
Monsanto Co., USA; G.D. Searle and Co.
Eur. Pat. Appl., 30 pp.
COPPER ENZYME

CODEN: EPXXDW

Patent
English

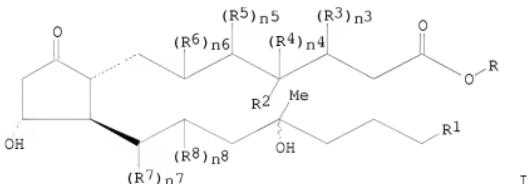
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|---|--|---|--|
| EP 494063 | A2 | 19920708 | EP 1991-870214 | 19911220 |
| EP 494063 | A3 | 19920916 | | |
| R: AT, BE, CH,
US 5157052
CA 2058457
AU 9190035
AU 643104
JP 05221865
ZA 9110170
US 5218139 | DE, DK, FR, GB, IT, LI, LU, NL, SE
A
A1
A
B2
A
A
A
A
A | 19921020
19920628
19920702
19931104
19930831
19930506
19930608 | US 1990-635000
CA 1991-2058457
AU 1991-90035
JP 1991-345103
ZA 1991-10170
US 1992-892870
US 1990-635000 | 19901227
19911224
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19911227
19920603
A 19901227 |
| PRIORITY APPLN. INFO.: | | | | |

PRIORITY APPLN. INFO.: US 1990-635000 A

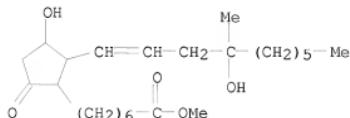
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE

OTHER SOURCE(S): MARPAT 117:227085
GI



AB IgE formation is inhibited in humans by administration of prostaglandins I [$R = H$, Cl-5 alkyl, C3-8 cycloalkyl, (un)substituted Ph; R1, R2 = H, Cl-5 alkyl; n3-n8 = 0, 1; when n's = 0, R3R4, R4R5, R5R6, or R7R8 = double bond; when n's = 1, R3, R5-R8 = H and R4 = H, Me, or R3R4, R4R5, or R5R6 = CH2]. I are useful for treatment of allergies and asthma. Thus, mice were preinjected with antibody FF1-4D5 (a mouse IgG2a monoclonal antibody that binds the Fd fragment of the δ chain of IgD a allotype) and antibody H8A1 (a mouse IgG2b monoclonal antibody that binds the Fc fragment of the δ chain of IgD a allotype) to induce a transient IgE response and then treated i.p. with (+)-Me 11a,16-dihydroxy-16-methyl-9-oxoprosta-5Z,13E-dien-1-oate (II). II dose-dependently decreased the serum IgE levels of the treated mice, e.g. by 62% at 2 μ g; a dose of 20-40 μ g was sufficient to keep IgE production at normal levels. (+)-Me 2-[2-[1-(3R)-3a-hydroxy-2 β -(4-hydroxy-4-methyl-1-octenyl)-5-oxo-1a-cyclopentenyl]ethyl]cyclopropanepropanoate was prepared from cis-5-(3-cis-heptenyl)-3-hydroxycyclopent-4-en-1-one by tert-butylidemethylsilylation, reaction with Et2Zn and CH2I2 to convert the heptenyl double bond to a cyclopropene group, etc.

RL: BIOL (Biological study)
 (IgE formation inhibition by)
 RN 144286-58-8 CAPLUS
 CN Cyclopentaneheptanoic acid, 3-hydroxy-2-(4-hydroxy-4-methyl-1-deceny)-5-oxo-, methyl ester (9CI) (CA INDEX NAME)

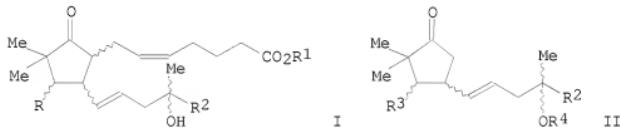


OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)

L6 ANSWER 4 OF 14 CAPLUS COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 1985:453855 CAPLUS
 DOCUMENT NUMBER: 103:53855
 ORIGINAL REFERENCE NO.: 103:8669a, 8672a
 TITLE: 16-Hydroxyprostanoic acid derivatives
 PATENT ASSIGNEE(S): Nihon Iyakuhin Kogyo Co., Ltd., Japan
 SOURCE: Jpn. Kokai Tokkyo Koho, 16 pp.
 CODEN: JKXXAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|-------------|-------|----------|-----------------|----------|
| ----- | ----- | ----- | ----- | ----- |
| JP 59170064 | A | 19840926 | JP 1983-44318 | 19830318 |

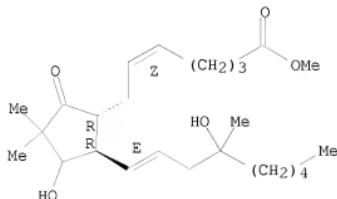
PRIORITY APPLN. INFO.: JP 1983-44318 19830318
GI



AB Nine 16-hydroxyprostanoic acid derivs. (I, R = H, OH; R1 = H, alkyl; R2 = Bu pentyl) were prepared by reaction of the cyclopentanones II (R3 = H, protected OH; R4 = OH-protecting groups) with cis-ICH2CH:CH(CH2)3CO2R1 (III) followed by deprotection. I had anti-ulcer activity with LD50's >700 mg/kg p.o. in mice. Thus, reaction of 906 mg III (R1 = Me) with 740 mg II (R2 = Bu, R3 = tetrahydropyranyloxy, R4 = tetrahydropyranyl) in THF containing (Me2CH)2NH and BuLi/hexane at -10° to -30° for 4 h gave 460 mg a bis[(tetrahydropyranyl)oxy]prostanoate, which (450 mg) was treated with AcOH-THF-H2O at room temperature for 24 h and at 41-46° for 4 h to give 195 mg I (R = OH, R1 = Me, R2 = Bu).
 IT 96925-41-6P 96925-42-7P 96925-45-0P
 96925-46-1P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological

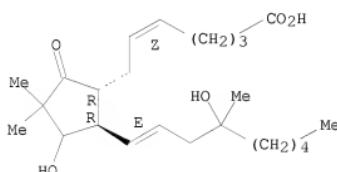
study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (preparation and antiulcer activity of)
 RN 96925-41-6 CAPLUS
 CN 5-Heptenoic acid, 7-[(1R,5R)-4-hydroxy-5-[(1E)-4-hydroxy-4-methyl-1-nonenyl]-3,3-dimethyl-2-oxocyclopentyl]-, methyl ester, (5Z)-rel- (9CI)
 (CA INDEX NAME)

Relative stereochemistry.
 Double bond geometry as shown.



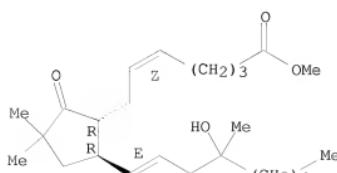
RN 96925-42-7 CAPLUS
 CN 5-Heptenoic acid, 7-[(1R,5R)-4-hydroxy-5-[(1E)-4-hydroxy-4-methyl-1-nonenyl]-3,3-dimethyl-2-oxocyclopentyl]-, (5Z)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.
 Double bond geometry as shown.



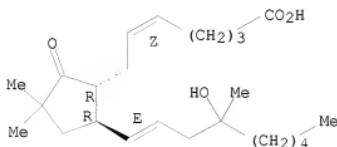
RN 96925-45-0 CAPLUS
 CN 5-Heptenoic acid, 7-[(1R,5R)-5-[(1E)-4-hydroxy-4-methyl-1-nonenyl]-3,3-dimethyl-2-oxocyclopentyl]-, methyl ester, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.
 Double bond geometry as shown.



RN 96925-46-1 CAPLUS
CN 5-Heptenoic acid, 7-[(1R,5R)-5-[(1E)-4-hydroxy-4-methyl-1-nonenyl]-3,3-dimethyl-2-oxocyclopentyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.



L6 ANSWER 5 OF 14 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1981:442475 CAPLUS
DOCUMENT NUMBER: 95:42475
ORIGINAL REFERENCE NO.: 95:7265a, 7268a
TITLE: 1-Hydroxymethyl-1-1-oxoprostane derivatives of the E and F series
INVENTOR(S): Wissner, Allan
PATENT ASSIGNEE(S): American Cyanamid Co., USA
SOURCE: U.S., 110 pp. Cont.-in-part of U.S. Ser. No. 961,032.
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|---|--|
| US 4254285 | A | 19810303 | US 1979-3953 | 19790116 |
| US 4170597 | A | 19791009 | US 1977-858588 | 19771208 |
| US 4172839 | A | 19791030 | US 1977-858504 | 19771208 |
| US 4197245 | A | 19800408 | US 1977-858580 | 19771208 |
| US 4202822 | A | 19800513 | US 1977-858589 | 19771208 |
| US 4212969 | A | 19800715 | US 1977-858579 | 19771208 |
| ZA 7806599 | A | 19790926 | ZA 1978-6599 | 19781123 |
| SU 1001854 | A3 | 19830228 | SU 1978-2696152 | 19781208 |
| US 4235797 | A | 19801125 | US 1979-30863 | 19790417 |
| US 4254036 | A | 19810303 | US 1979-46722 | 19790607 |
| US 4297516 | A | 19811027 | US 1979-46721 | 19790607 |
| JP 55113759 | A | 19800902 | JP 1980-2234 | 19800114 |
| EP 15056 | A1 | 19800903 | EP 1980-300146 | 19800116 |
| R: BE, CH, DE, FR, GB, IT, NL, SE
CA 1158658 | A2 | 19831213 | CA 1983-420882
US 1977-858487
US 1977-858504
US 1977-858579
US 1977-858580
US 1977-858588
US 1977-858589
US 1978-961032
CA 1978-316200
US 1979-3953
US 1979-46722 | 19830203
A2 19771208
A2 19771208
A2 19771208
A2 19771208
A2 19771208
A2 19771208
A2 19771208
A2 19771208
A3 19781114
A2 19790116
A 19790607 |

PRIORITY APPLN. INFO.: OTHER SOURCE(S): CASREACT 95:42475
AB A series of known title compds. was prepared conventionally; apprx.25 new

compds. were claimed.

IT 78169-27-4P 78169-30-9P 78169-31-0P

78169-34-3P 78215-37-9P

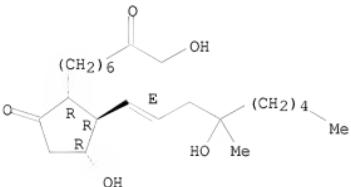
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 78169-27-4 CAPLUS

CN Cyclopentanone, 4-hydroxy-3-[(1E)-4-hydroxy-4-methyl-1-nonenyl]-2-(8-hydroxy-7-oxooctyl)-, (2R,3R,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

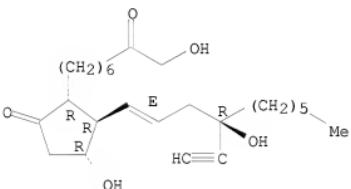


RN 78169-30-9 CAPLUS

CN Cyclopentanone, 3-(4-ethynyl-4-hydroxy-1-decenyl)-4-hydroxy-2-(8-hydroxy-7-oxooctyl)-, [2R-[2 α ,3 β (1E,4R*),4 α]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

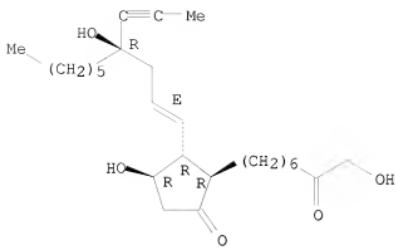


RN 78169-31-0 CAPLUS

CN Cyclopentanone, 4-hydroxy-2-(8-hydroxy-7-oxooctyl)-3-[4-hydroxy-4-(1-propynyl)-1-decenyl]-, [2R-[2 α ,3 β (1E,4R*),4 α]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

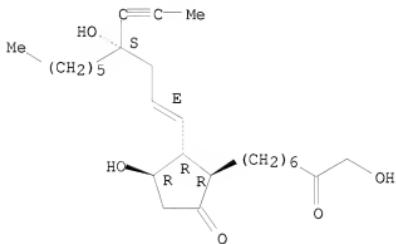
Double bond geometry as shown.



RN 78169-34-3 CAPLUS

CN Cyclopentanone, 4-hydroxy-2-(8-hydroxy-7-oxooctyl)-3-[4-hydroxy-4-(1-propynyl)-1-decenyl]-, [2R-[2 α ,3 β (1E,4S*),4 α]]- (9CI)
(CA INDEX NAME)

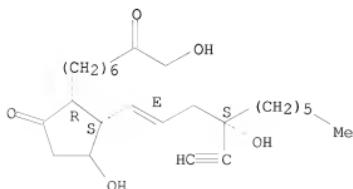
Absolute stereochemistry.
Double bond geometry as shown.



RN 78215-37-9 CAPLUS

CN Cyclopentanone, 3-(4-ethynyl-4-hydroxy-1-decenyl)-4-hydroxy-2-(8-hydroxy-7-oxooctyl)-, [2R-[2 α ,3 β (1E,4S*),3 α]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

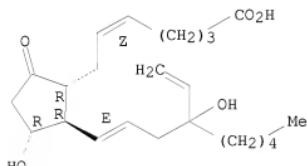


OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD
(1 CITINGS)

L6 ANSWER 6 OF 14 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1981:156410 CAPLUS
DOCUMENT NUMBER: 94:156410
ORIGINAL REFERENCE NO.: 94:25561a,25564a
TITLE: Prostanoic acid esters and pharmaceutical compositions containing them
PATENT ASSIGNEE(S): American Cyanamid Co., USA
SOURCE: Neth. Appl., 66 pp.
CODEN: NAXXAN
DOCUMENT TYPE: Patent
LANGUAGE: Dutch
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

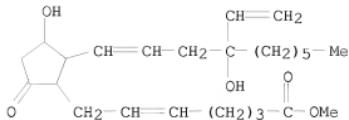
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|--|----------|-----------------|----------|
| NL 7903227 | A | 19801028 | NL 1979-3227 | 19790424 |
| PRIORITY APPLN. INFO.: | | | | |
| AB A series of known 16-alkyl-(esp 16-vinyl)- 16-hydroxy prostaglandins was prepared conventionally as bronchodilators (some test data given). | | | | |
| IT 73626-93-4P | RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and bronchodilatory activity of) | | | |
| RN 73626-93-4 CAPLUS | | | | |
| CN 5-Heptenoic acid, 7-[{(1R,2R,3R)-2-[(1E)-4-ethenyl-4-hydroxy-1-nonenyl]-3-hydroxy-5-oxocyclopentyl}-, (5Z)- (9CI) (CA INDEX NAME) | | | | |

Absolute stereochemistry.
Double bond geometry as shown.



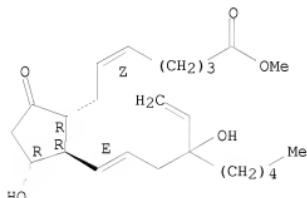
HO

| | | |
|--|-------------|-------------|
| IT 73621-93-9P | 73621-94-0P | 73626-69-4P |
| 73626-72-9P | 73626-73-0P | 73626-75-2P |
| 73626-78-5P | 73626-79-6P | 73626-82-1P |
| 73626-83-2P | | |
| RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of) | | |
| RN 73621-93-9 CAPLUS | | |
| CN 5-Heptenoic acid, 7-[2-(4-ethenyl-4-hydroxy-1-decanyl)-3-hydroxy-5-oxocyclopentyl]-, methyl ester (9CI) (CA INDEX NAME) | | |



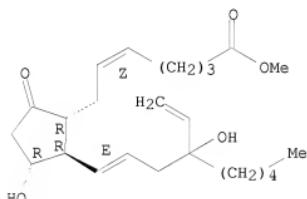
RN 73621-94-0 CAPLUS
 CN 5-Heptenoic acid, 7-[(1R,2R,3R)-2-[(1E)-4-ethenyl-4-hydroxy-1-nonenyl]-3-hydroxy-5-oxocyclopentyl]-, methyl ester, (5Z)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
 Double bond geometry as shown.



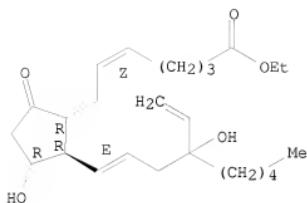
RN 73626-69-4 CAPLUS
 CN 5-Heptenoic acid, 7-[(1R,2R,3R)-2-[(1E)-4-ethenyl-4-hydroxy-1-nonenyl]-3-hydroxy-5-oxocyclopentyl]-, methyl ester, (5Z)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.
 Double bond geometry as shown.



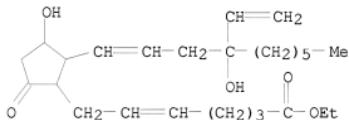
RN 73626-72-9 CAPLUS
 CN 5-Heptenoic acid, 7-[(1R,2R,3R)-2-[(1E)-4-ethenyl-4-hydroxy-1-nonenyl]-3-hydroxy-5-oxocyclopentyl]-, ethyl ester, (5Z)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.
 Double bond geometry as shown.



RN 73626-73-0 CAPLUS

CN 5-Heptenoic acid, 7-[2-(4-ethenyl-4-hydroxy-1-deceny)-3-hydroxy-5-oxocyclopentyl]-, ethyl ester (9CI) (CA INDEX NAME)

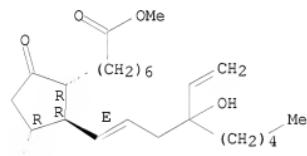


RN 73626-75-2 CAPLUS

CN Cyclopentaneheptanoic acid, 2-[(1E)-4-ethenyl-4-hydroxy-1-noneny]-3-hydroxy-5-oxo-, methyl ester, (1R,2R,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



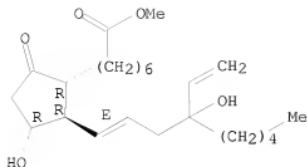
HO

RN 73626-78-5 CAPLUS

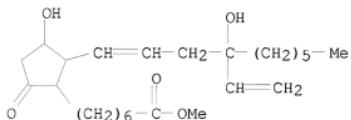
CN Cyclopentaneheptanoic acid, 2-[(1E)-4-ethenyl-4-hydroxy-1-noneny]-3-hydroxy-5-oxo-, methyl ester, (1R,2R,3R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

Double bond geometry as shown.

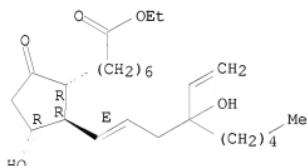


RN 73626-79-6 CAPLUS
 CN Cyclopentaneheptanoic acid, 2-(4-ethenyl-4-hydroxy-1-deceny1)-3-hydroxy-5-oxo-, methyl ester (9CI) (CA INDEX NAME)

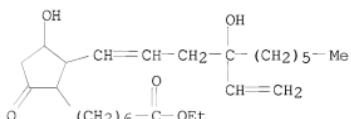


RN 73626-82-1 CAPLUS
 CN Cyclopentaneheptanoic acid, 2-[(1E)-4-ethenyl-4-hydroxy-1-nonenyl]-3-hydroxy-5-oxo-, ethyl ester, (1R,2R,3R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.
 Double bond geometry as shown.



RN 73626-83-2 CAPLUS
 CN Cyclopentaneheptanoic acid, 2-(4-ethenyl-4-hydroxy-1-deceny1)-3-hydroxy-5-oxo-, ethyl ester (9CI) (CA INDEX NAME)

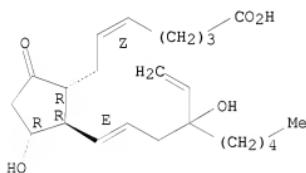


ORIGINAL REFERENCE NO.: 93:19131a, 19134a
 TITLE: Pharmaceutical compositions for topical administration containing prostaglandins
 INVENTOR(S): Birnbaum, Jay Edward
 PATENT ASSIGNEE(S): American Cyanamid Co., USA
 SOURCE: Eur. Pat. Appl., 68 pp.
 CODEN: EPXWDW
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

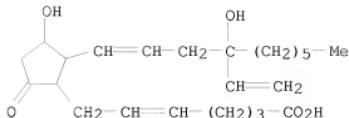
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------------|------------------|----------|-----------------|------------|
| EP 8227 | A1 | 19800220 | EP 1979-301602 | 19790807 |
| EP 8227 | B1 | 19830427 | | |
| R: BE, CH, DE,
US 4254145 | FR, GB, NL | | | |
| A 19810303 | A | 19800625 | US 1978-934199 | 19780816 |
| ZA 7902935 | A | 19821026 | ZA 1979-2935 | 19790613 |
| CA 1134270 | A1 | 19800221 | CA 1979-330510 | 19790625 |
| AU 7949171 | A | 19800221 | AU 1979-49171 | 19790724 |
| AU 530454 | B2 | 19830714 | | |
| JP 55036494 | A | 19800314 | JP 1979-104515 | 19790816 |
| PRIORITY APPLN. INFO.: | MARPAT 93:120420 | | US 1978-934199 | A 19780816 |

OTHER SOURCE(S): MARPAT 93:120420
 AB Topical compns. containing prostaglandins have vasodilating activity and are used to treat peripheral vascular diseases. The compns. have a longer duration of activity than prostaglandins administered orally or parenterally. All-rac-15-deoxy-16-hydroxy-16-vinyl-PGE2 [74608-67-6] and 1-PGE2 [363-24-6] in aquation base in 0.3 and 1.0% concns. showed lowering of mean arterial blood pressure in spontaneously hypertensive rats when applied topically.
 IT 73626-93-4 74531-81-0
 RL: BIOL (Biological study)
 (topical pharmaceutical containing, as vasodilator)
 RN 73626-93-4 CAPLUS
 CN 5-Heptenoic acid, 7-[(1R,2R,3R)-2-[(1E)-4-ethenyl-4-hydroxy-1-nonenyl]-3-hydroxy-5-oxocyclopentyl]-, (5Z)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
 Double bond geometry as shown.



RN 74531-81-0 CAPLUS
 CN 5-Heptenoic acid, 7-[(2-(4-ethenyl-4-hydroxy-1-decanyl)-3-hydroxy-5-oxocyclopentyl]- (9CI) (CA INDEX NAME)

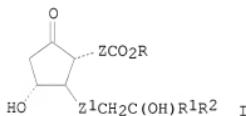


OS.CITING REF COUNT: 23 THERE ARE 23 CAPLUS RECORDS THAT CITE THIS RECORD (23 CITINGS)

L6 ANSWER 8 OF 14 CAPLUS COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 1980:214968 CAPLUS
 DOCUMENT NUMBER: 92:214968
 ORIGINAL REFERENCE NO.: 92:34811a,34814a
 TITLE: Novel prostaglandin compounds
 INVENTOR(S): Floyd, Middleton Brawner; Weiss, Martin Joseph;
 Grudzinskas, Charles Vincent; Chen, Sow-Mei Lai
 PATENT ASSIGNEE(S): American Cyanamid Co., USA
 SOURCE: Brit. UK Pat. Appl., 29 pp.
 CODEN: BAXXDU
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 9
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|----------|-----------------|-------------|
| GB 2009173 | A | 19790613 | GB 1978-46615 | 19781130 |
| US 4198521 | A | 19800415 | US 1977-857715 | 19771205 |
| US 4429148 | A | 19840131 | US 1981-305901 | 19810925 |
| PRIORITY APPLN. INFO.: | | | US 1977-857715 | A 19771205 |
| | | | US 1978-888183 | A 19780320 |
| | | | US 1976-706343 | A2 19760719 |
| | | | US 1979-79126 | A3 19790926 |

GI



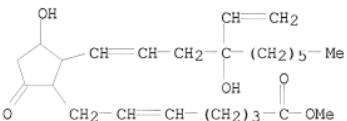
AB The prostaglandins I [Z = CH₂, cis-CH₂CH:CH(CH₂)₃; R = Me, Et; Z₁ = CH:CH, trans-vinylene; R₁ = vinyl, cyclopropyl; R₂ = C₂-7 alkyl], as optically active compds. or racemates, were prepared. Thus, 9-oxo-11a,16-dihydroxy-16-vinyl-13-trans-prostenoic acid was prepared from 4-hydroxy-1-octene by sequential treatment with Me₃SiCl, bis(3-methyl-2-butyl)borane, iodine, AcOH, pyridinium chlorochromate, CH₂:CHMgCl, Me₃SiCl, BuLi, PrC.tpbond.CC₆, 4-(trimethylsiloxy)-2-(6-carbotrimethylsiloxyhexyl)cyclopent-2-en-1-one, and AcOH. I are useful for the treatment of burns and as nasal decongestants, gastric secretion inhibitors, ulcer inhibitors, platelet aggregation inhibitors, smooth muscle stimulants, antihypertensives, labor inducing agents, reproductive cycle control agents, and bronchodilators for the treatment of asthma and chronic bronchitis. Bronchodilator

activity was determined in guinea pigs by Konzett assay.
 IT 73621-93-9P 73621-94-0P 73626-69-4P
 73626-72-9P 73626-73-0P 73626-75-2P
 73626-78-5P 73626-79-6P 73626-82-1P
 73626-83-2P 73626-93-4P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of, as bronchodilator)

RN 73621-93-9 CAPLUS

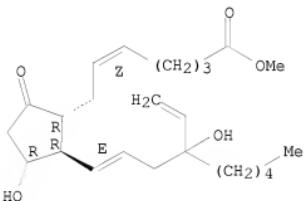
CN 5-Heptenoic acid, 7-[2-(4-ethenyl-4-hydroxy-1-deceny)-3-hydroxy-5-oxocyclopentyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 73621-94-0 CAPLUS

CN 5-Heptenoic acid, 7-[(1R,2R,3R)-2-[(1E)-4-ethenyl-4-hydroxy-1-noneny]-3-hydroxy-5-oxocyclopentyl]-, methyl ester, (5Z)- (9CI) (CA INDEX NAME)

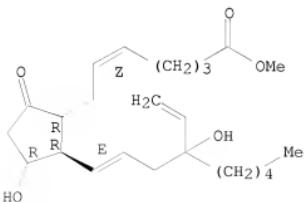
Absolute stereochemistry.
 Double bond geometry as shown.



RN 73626-69-4 CAPLUS

CN 5-Heptenoic acid, 7-[(1R,2R,3R)-2-[(1E)-4-ethenyl-4-hydroxy-1-noneny]-3-hydroxy-5-oxocyclopentyl]-, methyl ester, (5Z)-rel- (9CI) (CA INDEX NAME)

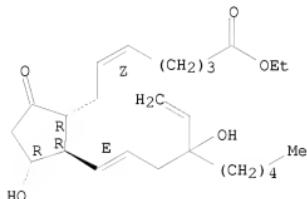
Relative stereochemistry.
 Double bond geometry as shown.



RN 73626-72-9 CAPLUS

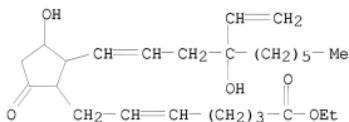
CN 5-Heptenoic acid, 7-[(1R,2R,3R)-2-[(1E)-4-ethenyl-4-hydroxy-1-nonenyl]-3-hydroxy-5-oxocyclopentyl]-, ethyl ester, (5Z)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.



RN 73626-73-0 CAPLUS

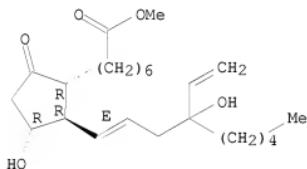
CN 5-Heptenoic acid, 7-[2-(4-ethenyl-4-hydroxy-1-deceny)-3-hydroxy-5-oxocyclopentyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 73626-75-2 CAPLUS

CN Cyclopentaneheptanoic acid, 2-[(1E)-4-ethenyl-4-hydroxy-1-nonenyl]-3-hydroxy-5-oxo-, methyl ester, (1R,2R,3R)- (9CI) (CA INDEX NAME)

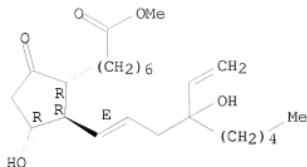
Absolute stereochemistry.
Double bond geometry as shown.



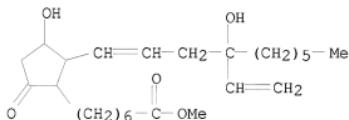
RN 73626-78-5 CAPLUS

CN Cyclopentaneheptanoic acid, 2-[(1E)-4-ethenyl-4-hydroxy-1-nonenyl]-3-hydroxy-5-oxo-, methyl ester, (1R,2R,3R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.

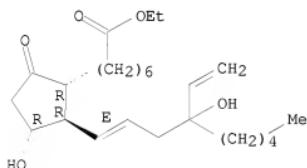


RN 73626-79-6 CAPLUS
 CN Cyclopentaneheptanoic acid, 2-(4-ethenyl-4-hydroxy-1-deceny)-3-hydroxy-5-oxo-, methyl ester (9CI) (CA INDEX NAME)

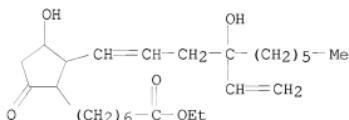


RN 73626-82-1 CAPLUS
 CN Cyclopentaneheptanoic acid, 2-[(1E)-4-ethenyl-4-hydroxy-1-nonenyl]-3-hydroxy-5-oxo-, ethyl ester, (1R,2R,3R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.
 Double bond geometry as shown.

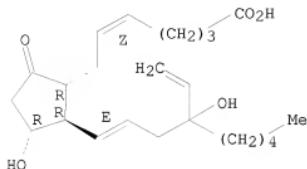


RN 73626-83-2 CAPLUS
 CN Cyclopentaneheptanoic acid, 2-(4-ethenyl-4-hydroxy-1-deceny)-3-hydroxy-5-oxo-, ethyl ester (9CI) (CA INDEX NAME)



RN 73626-93-4 CAPLUS
 CN 5-Heptenoic acid, 7-[(1R,2R,3R)-2-[(1E)-4-ethenyl-4-hydroxy-1-nonenyl]-3-hydroxy-5-oxocyclopentyl]-, (5Z)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



L6 ANSWER 9 OF 14 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1979:507716 CAPLUS

DOCUMENT NUMBER: 91:107716

ORIGINAL REFERENCE NO.: 91:17379a,17382a

TITLE: Prostanic acid and its derivatives

INVENTOR(S): Floyd, Middleton Brawner, Jr.; Gurdzinskas, Charles Vincent; Chen, Sow-Mei Lai; Weiss, Martin Joseph

PATENT ASSIGNEE(S): American Cyanamid Co., USA

SOURCE: Ger. Offen., 112 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

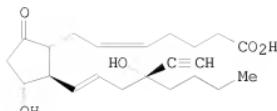
LANGUAGE: German

FAMILY ACC. NUM. COUNT: 9

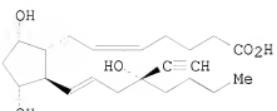
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|----------|-----------------|-------------|
| DE 2852318 | A1 | 19790607 | DE 1978-2852318 | 19781204 |
| US 4190596 | A | 19800226 | US 1977-857848 | 19771205 |
| US 4190597 | A | 19800226 | US 1977-857849 | 19771205 |
| US 4191699 | A | 19800304 | US 1977-857714 | 19771205 |
| GB 2009162 | A | 19790613 | GB 1978-46614 | 19781130 |
| BE 872533 | A1 | 19790605 | BE 1978-192145 | 19781205 |
| NL 7811889 | A | 19790607 | NL 1978-11889 | 19781205 |
| AU 7842207 | A | 19790614 | AU 1978-42207 | 19781205 |
| JP 54084552 | A | 19790705 | JP 1978-150497 | 19781205 |
| FR 2412527 | A1 | 19790720 | FR 1978-34249 | 19781205 |
| US 4429148 | A | 19840131 | US 1981-305901 | 19810925 |
| PRIORITY APPLN. INFO.: | | | US 1977-857714 | A 19771205 |
| | | | US 1977-857848 | A 19771205 |
| | | | US 1977-857849 | A 19771205 |
| | | | US 1976-706343 | A2 19760719 |
| | | | US 1979-79126 | A3 19790926 |

OTHER SOURCE(S): MARPAT 91:107716
GI



I



II

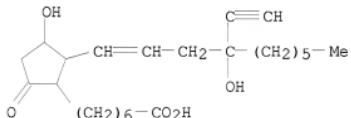
AB Some 16-ethynyl-16-hydroxy-15-deoxy-PGE and -PGF analogs, e.g., I and II, were prepared by appropriate modifications of conventional syntheses. The compds. had bronchodilator activity.

IT 71098-18-5P 71098-19-6P 71098-20-9P
 71098-22-1P 71098-23-2P 71098-24-3P
 71156-18-8P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)

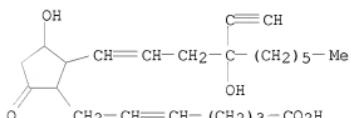
RN 71098-18-5 CAPLUS

CN Cyclopentaneheptanoic acid, 2-(4-ethynyl-4-hydroxy-1-deceny)-3-hydroxy-5-oxo- (9CI) (CA INDEX NAME)



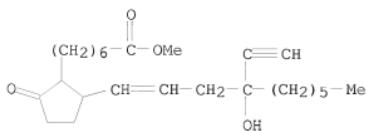
RN 71098-19-6 CAPLUS

CN 5-Heptenoic acid, 7-[2-(4-ethynyl-4-hydroxy-1-deceny)-3-hydroxy-5-oxocyclopentyl]- (9CI) (CA INDEX NAME)

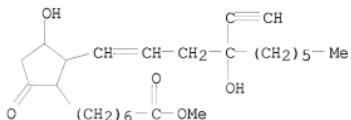


RN 71098-20-9 CAPLUS

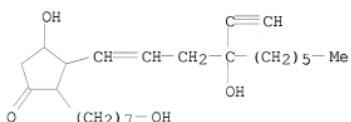
CN Cyclopentaneheptanoic acid, 2-(4-ethynyl-4-hydroxy-1-deceny)-5-oxo-, methyl ester (9CI) (CA INDEX NAME)



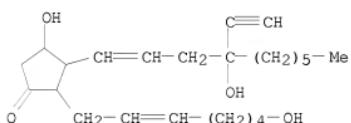
RN 71098-22-1 CAPLUS
 CN Cyclopentaneheptanoic acid, 2-(4-ethynyl-4-hydroxy-1-deceny)-3-hydroxy-5-oxo-, methyl ester (9CI) (CA INDEX NAME)



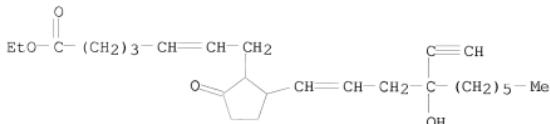
RN 71098-23-2 CAPLUS
 CN Cyclopentanone, 3-(4-ethynyl-4-hydroxy-1-deceny)-4-hydroxy-2-(7-hydroxyheptyl)- (9CI) (CA INDEX NAME)



RN 71098-24-3 CAPLUS
 CN Cyclopentanone, 3-(4-ethynyl-4-hydroxy-1-deceny)-4-hydroxy-2-(7-hydroxy-2-heptenyl)- (9CI) (CA INDEX NAME)



RN 71156-18-8 CAPLUS
 CN 5-Heptenoic acid, 7-[2-(4-ethynyl-4-hydroxy-1-deceny)-5-oxocyclopentyl]-, ethyl ester (9CI) (CA INDEX NAME)



L6 ANSWER 10 OF 14 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1979:491212 CAPLUS

DOCUMENT NUMBER: 91:91212

ORIGINAL REFERENCE NO.: 91:14727a,14730a

TITLE: 15-Deoxy-16-hydroxyprostaglandins synthesis and bronchodilator activity

AUTHOR(S): Grudzinskas, C. V.; Chen, S. M. L.; Floyd, M. B.; Lenhard, R. H.; Schaub, R. E.; Siuta, G. J.; Weiss, M. J.; Wissner, A.; Dassy, F.; Van Humbeeck, L.

CORPORATE SOURCE: Lederle Lab., American Cyanamid Co., Pearl River, NY, 10965, USA

SOURCE: Chem., Biochem., Pharmacol. Act. Prostanoids, Incl. Proc. Symp. (1979), Meeting Date 1978, 243-57.

Editor(s): Roberts, Stanley M.; Scheinmann, Feodor. Pergamon: Oxford, Engl.

CODEN: 40TZAM

DOCUMENT TYPE: Conference

LANGUAGE: English

AB A brief review of the author's use of vinylic Li reagents in prostaglandin synthesis was given. The effects of structural variations, especially nature and location of alkyl substituents and location of the OH group on bronchodilator activity of prostaglandins was discussed and illustrated with comparative tabular data for 32 racemic compds., with (-)-PGE₁ as the reference compound

IT 71069-45-9 71069-46-0

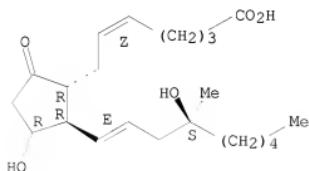
RL: RCT (Reactant); RACT (Reactant or reagent)
(bronchodilator activity of)

RN 71069-45-9 CAPLUS

CN 5-Heptenoic acid, 7-[(1R,2R,3R)-3-hydroxy-2-[(1E,4R)-4-hydroxy-4-methyl-1-nonenyl]-5-oxocyclopentyl]-, (5Z)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

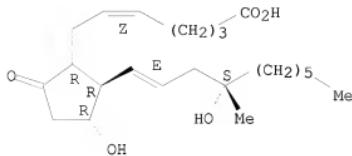
Double bond geometry as shown.



RN 71069-46-0 CAPLUS

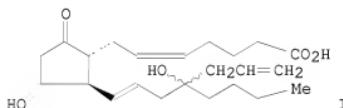
CN 5-Heptenoic acid, 7-[(3-hydroxy-2-(4-hydroxy-4-methyl-1-deceny)-5-oxocyclopentyl)-, [1 α (Z),2 β (1E,4S*),3 α]- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.



L6 ANSWER 11 OF 14 CAPLUS COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 1979:438996 CAPLUS
 DOCUMENT NUMBER: 91:38996
 ORIGINAL REFERENCE NO.: 91:6353a,6356a
 TITLE: 15-Deoxy-16-hydroxy-16-substituted prostanic acids and derivatives
 INVENTOR(S): Floyd, Middleton Brawner, Jr.; Weiss, Martin Joseph; Grudzinskas, Charles Vincent; Chen, Sow-Mei Lai
 PATENT ASSIGNEE(S): American Cyanamid Co., USA
 SOURCE: Ger. Offen., 173 pp. Addn. to Ger. Offen. 2,731,868.
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|--------|----------|-----------------|------------|
| DE 2837530 | A1 | 19790322 | DE 1978-2837530 | 19780828 |
| GB 2006186 | A | 19790502 | GB 1978-33588 | 19780816 |
| NL 7808897 | A | 19790302 | NL 1978-8897 | 19780829 |
| FR 2401899 | A2 | 19790330 | FR 1978-24952 | 19780829 |
| JP 54046748 | A | 19790412 | JP 1978-105429 | 19780829 |
| ES 472908 | A2 | 19791016 | ES 1978-472908 | 19780829 |
| PRIORITY APPLN. INFO.: | | | US 1977-828564 | A 19770829 |
| OTHER SOURCE(S): | MARPAT | 91:38996 | | |
| GI | | | | |

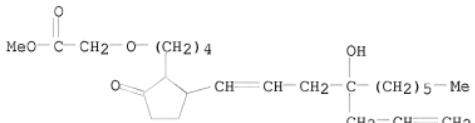


AB I and several known 16-hydroxyprostaglandins, and intermediates for them, were prepared by appropriate modifications of conventional syntheses; 105 new compds. were claimed. Some of the compds. had bronchodilatory activity.

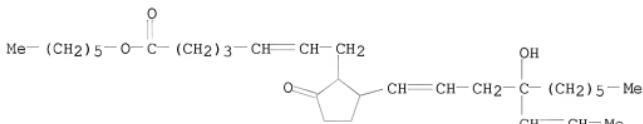
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| IT 70666-07-8P | 70666-10-3P | 70666-11-4P |
| 70666-12-5P | 70666-14-7P | 70666-17-0P |
| 70666-68-1P | 70666-69-2P | 70666-72-7P |
| 70666-73-8P | 70666-75-0P | 70666-77-2P |
| 70666-82-9P | 70666-84-1P | 70666-88-5P |

70666-92-1P 70666-94-3P 70666-97-6P
70666-99-8P 70667-01-5P 70695-66-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

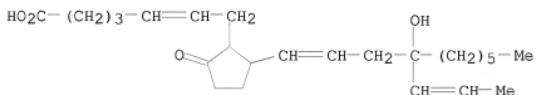
RN 70666-07-8 CAPLUS
CN Acetic acid, 2-[4-[2-[4-hydroxy-4-(2-propenyl)-1-decenyl]-5-oxocyclopentyl]butoxy]-, methyl ester (CA INDEX NAME)



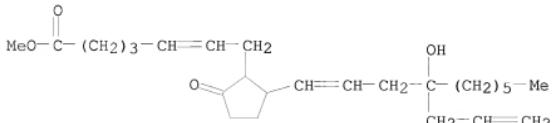
RN 70666-10-3 CAPLUS
CN 5-Heptenoic acid, 7-[2-[4-hydroxy-4-(1-propenyl)-1-decenyl]-5-oxocyclopentyl]-, hexyl ester (9CI) (CA INDEX NAME)



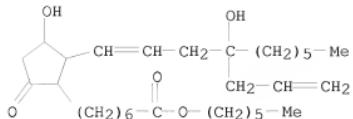
RN 70666-11-4 CAPLUS
CN 5-Heptenoic acid, 7-[2-[4-hydroxy-4-(1-propenyl)-1-decenyl]-5-oxocyclopentyl]- (9CI) (CA INDEX NAME)



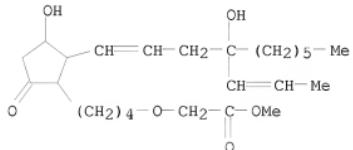
RN 70666-12-5 CAPLUS
CN 5-Heptenoic acid, 7-[2-[4-hydroxy-4-(2-propenyl)-1-decenyl]-5-oxocyclopentyl]-, methyl ester (9CI) (CA INDEX NAME)



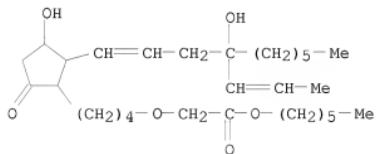
RN 70666-14-7 CAPLUS
CN Cyclopentaneheptanoic acid, 3-hydroxy-2-[4-hydroxy-4-(2-propenyl)-1-decenyl]-5-oxo-, hexyl ester (9CI) (CA INDEX NAME)



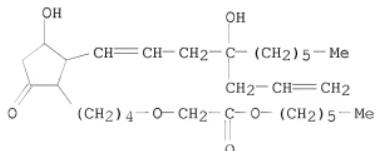
RN 70666-17-0 CAPLUS
 CN Acetic acid, 2-[4-(3-hydroxy-2-[4-hydroxy-4-(1-propen-1-yl)-1-decen-1-yl]-5-oxocyclopentyl]butoxy]-, methyl ester (CA INDEX NAME)



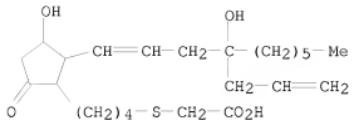
RN 70666-68-1 CAPLUS
 CN Acetic acid, 2-[4-(3-hydroxy-2-[4-hydroxy-4-(1-propen-1-yl)-1-decen-1-yl]-5-oxocyclopentyl]butoxy]-, hexyl ester (CA INDEX NAME)



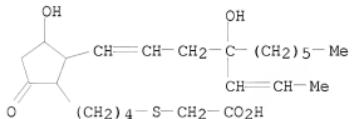
RN 70666-69-2 CAPLUS
 CN Acetic acid, 2-[4-(3-hydroxy-2-[4-hydroxy-4-(2-propen-1-yl)-1-decen-1-yl]-5-oxocyclopentyl]butoxy]-, hexyl ester (CA INDEX NAME)



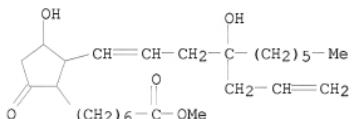
RN 70666-72-7 CAPLUS
 CN Acetic acid, 2-[4-(3-hydroxy-2-[4-hydroxy-4-(2-propen-1-yl)-1-decen-1-yl]-5-oxocyclopentyl]butyl]thio- (CA INDEX NAME)



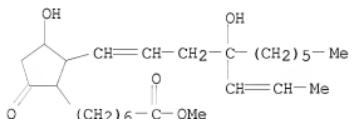
RN 70666-73-8 CAPLUS
 CN Acetic acid, 2-[(4-[3-hydroxy-2-(4-hydroxy-4-(1-propenyl)-1-decenyl)-5-oxocyclopentyl]butyl]thio]- (CA INDEX NAME)



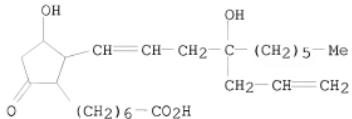
RN 70666-75-0 CAPLUS
 CN Cyclopentaneheptanoic acid, 3-hydroxy-2-(4-hydroxy-4-(2-propenyl)-1-deceny)-5-oxo-, methyl ester (9CI) (CA INDEX NAME)



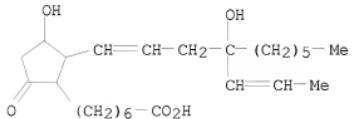
RN 70666-77-2 CAPLUS
 CN Cyclopentaneheptanoic acid, 3-hydroxy-2-(4-hydroxy-4-(1-propenyl)-1-deceny)-5-oxo-, methyl ester (9CI) (CA INDEX NAME)



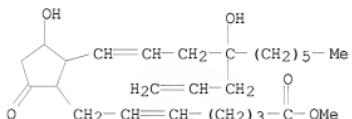
RN 70666-82-9 CAPLUS
 CN Cyclopentaneheptanoic acid, 3-hydroxy-2-(4-hydroxy-4-(2-propenyl)-1-deceny)-5-oxo- (9CI) (CA INDEX NAME)



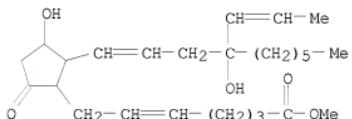
RN 70666-84-1 CAPLUS
 CN Cyclopentaneheptanoic acid, 3-hydroxy-2-[4-hydroxy-4-(1-propenyl)-1-deceny]-5-oxo- (9CI) (CA INDEX NAME)



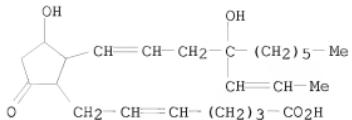
RN 70666-88-5 CAPLUS
 CN 5-Heptenoic acid, 7-[3-hydroxy-2-[4-hydroxy-4-(2-propenyl)-1-deceny]-5-oxocyclopentyl]-, methyl ester (9CI) (CA INDEX NAME)



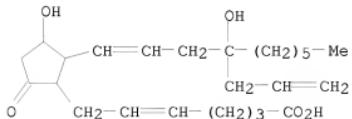
RN 70666-92-1 CAPLUS
 CN 5-Heptenoic acid, 7-[3-hydroxy-2-[4-hydroxy-4-(1-propenyl)-1-deceny]-5-oxocyclopentyl]-, methyl ester (9CI) (CA INDEX NAME)



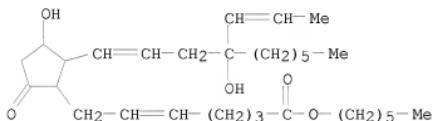
RN 70666-94-3 CAPLUS
 CN 5-Heptenoic acid, 7-[3-hydroxy-2-[4-hydroxy-4-(1-propenyl)-1-deceny]-5-oxocyclopentyl]- (9CI) (CA INDEX NAME)



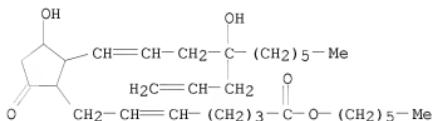
RN 70666-97-6 CAPLUS
 CN 5-Heptenoic acid, 7-[3-hydroxy-2-[4-hydroxy-4-(2-propenyl)-1-deceny]-5-oxocyclopentyl]- (9CI) (CA INDEX NAME)



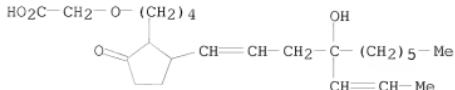
RN 70666-99-8 CAPLUS
 CN 5-Heptenoic acid, 7-[3-hydroxy-2-[4-hydroxy-4-(1-propenyl)-1-deceny]-5-oxocyclopentyl]-, hexyl ester (9CI) (CA INDEX NAME)



RN 70667-01-5 CAPLUS
 CN 5-Heptenoic acid, 7-[3-hydroxy-2-[4-hydroxy-4-(2-propenyl)-1-deceny]-5-oxocyclopentyl]-, hexyl ester (9CI) (CA INDEX NAME)



RN 70695-66-8 CAPLUS
 CN Acetic acid, 2-[4-[2-[4-hydroxy-4-(1-propenyl)-1-deceny]-5-oxocyclopentyl]butoxy]- (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD
(2 CITINGS)

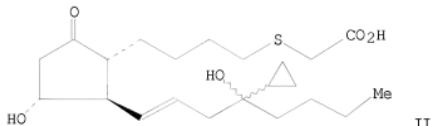
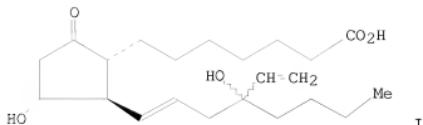
L6 ANSWER 12 OF 14 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1979:151669 CAPLUS
DOCUMENT NUMBER: 90:151669
ORIGINAL REFERENCE NO.: 90:24097a,24100a
TITLE: 15-Deoxy-16-hydroxy-16-substituted-3-thiaprostanic acids
INVENTOR(S): Floyd, Middleton B., Jr.; Weiss, Martin J.; Grudzinskas, Charles V.; Chen, Sow-Mei C.
PATENT ASSIGNEE(S): American Cyanamid Co., USA
SOURCE: U.S., 26 pp. Cont.-in-part of U.S. 4,061,670.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 9
PATENT INFORMATION:

PATIENT INFORMATION:

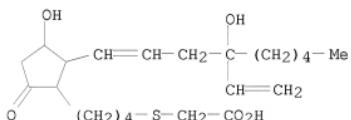
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|----------|-----------------|-------------|
| US 4131737 | A | 19781226 | US 1977-782858 | 19770330 |
| US 4061670 | A | 19771206 | US 1976-706343 | 19760719 |
| AU 7834374 | A | 19790927 | AU 1978-34374 | 19780321 |
| DE 2813342 | A1 | 19781005 | DE 1978-2813342 | 19780328 |
| BE 865428 | A4 | 19780929 | BE 1978-186360 | 19780329 |
| DK 7801377 | A | 19781001 | DK 1978-1377 | 19780329 |
| NL 7803418 | A | 19781003 | NL 1978-3418 | 19780330 |
| FR 2385696 | A2 | 19781027 | FR 1978-9306 | 19780330 |
| SE 7803619 | A | 19781117 | SE 1978-3619 | 19780330 |
| JP 54039047 | A | 19790324 | JP 1978-36173 | 19780330 |
| CH 639935 | A5 | 19831215 | CH 1978-12411 | 19781205 |
| US 4429148 | A | 19840131 | US 1981-305901 | 19810925 |
| PRIORITY APPLN. INFO.: | | | | |
| | | | US 1976-706343 | A2 19760719 |
| | | | US 1977-782858 | A 19770330 |
| | | | US 1979-79126 | A3 19790926 |

OTHER SOURCE(S): CASREACT 90:151669; MARPAT 90:151669

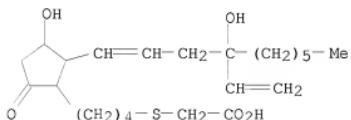
GT



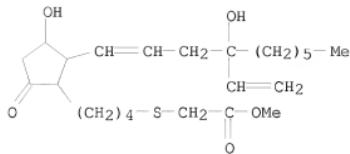
AB A series of known title compds. (e.g., I, II) was prepared conventionally.
 IT 69801-90-7P 69801-91-8P 69801-96-3P
 69801-97-4P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)
 RN 69801-90-7 CAPLUS
 CN Acetic acid, 2-[4-[2-(4-ethenyl-4-hydroxy-1-nonen-1-yl)-3-hydroxy-5-oxocyclopentyl]butyl]thio- (CA INDEX NAME)



RN 69801-91-8 CAPLUS
 CN Acetic acid, 2-[4-[2-(4-ethenyl-4-hydroxy-1-decen-1-yl)-3-hydroxy-5-oxocyclopentyl]butyl]thio- (CA INDEX NAME)

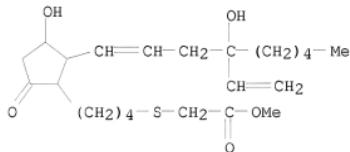


RN 69801-96-3 CAPLUS
 CN Acetic acid, 2-[4-[2-(4-ethenyl-4-hydroxy-1-decen-1-yl)-3-hydroxy-5-oxocyclopentyl]butyl]thio-, methyl ester (CA INDEX NAME)



RN 69801-97-4 CAPLUS

CN Acetic acid, 2-[{4-[2-(4-ethenyl-4-hydroxy-1-nonen-1-yl)-3-hydroxy-5-oxocyclopentyl]butyl}thio]-, methyl ester (CA INDEX NAME)



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD
(3 CITINGS)

L6 ANSWER 13 OF 14 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1978:675 CAPLUS

DOCUMENT NUMBER: 88:675

ORIGINAL REFERENCE NO.: 88:143a,146a

TITLE: Synthesis and gastric antisecretory properties of 15-deoxy-16-hydroxyprostaglandin E analogs

AUTHOR(S): Collins, Paul W.; Dajani, Esam Z.; Driskill, Doyle R.; Bruhn, Mildred S.; Jung, Christopher J.; Pappo, Raphael

CORPORATE SOURCE: Dep. Chem. Res., Searle Lab., Chicago, IL, USA

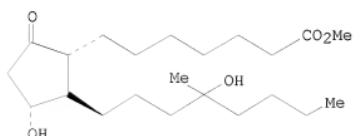
SOURCE: Journal of Medicinal Chemistry (1977), 20(9), 1152-9

CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



AB Twelve title analogs of prostaglandins E1 and E2 were prepared and tested i.v. in dogs for gastric antisecretory activity. Seven of the compds. were about equipotent or more potent than prostaglandin E1, of which

(\pm) -15-deoxy-16-methyl-16-hydroxyprostaglandin E1 methyl ester (I) [59122-46-2] was apprx. 40 times more potent. Structure-activity relations are discussed.

IT 58683-00-4P

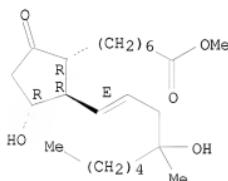
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and gastric antisecretory activity of)

RN 58683-00-4 CAPLUS

CN Cyclopentaneheptanoic acid, 3-hydroxy-2-[(1E)-4-hydroxy-4-methyl-1-nonenyl]-5-oxo-, methyl ester, (1R,2R,3R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

Double bond geometry as shown.



OS.CITING REF COUNT: 9 THERE ARE 9 CAPLUS RECORDS THAT CITE THIS RECORD
(9 CITINGS)

L6 ANSWER 14 OF 14 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1976:121272 CAPLUS
DOCUMENT NUMBER: 84:121272
ORIGINAL REFERENCE NO.: 84:19681a,19684a
TITLE: 16-Oxidized prostanoic acid derivatives
INVENTOR(S): Pappo, Raphael; Collins, Paul Waddell
PATENT ASSIGNEE(S): G.D. Searle and Co., USA
SOURCE: Ger. Offen., 45 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|-------------|------|----------|-----------------|----------|
| DE 2513212 | A1 | 19751009 | DE 1975-2513212 | 19750325 |
| DE 2513212 | C2 | 19860327 | | |
| US 3965143 | A | 19760622 | US 1974-454913 | 19740326 |
| BE 827127 | A1 | 19750925 | BE 1975-154714 | 19750325 |
| DK 7501280 | A | 19750927 | DK 1975-1280 | 19750325 |
| FI 7500899 | A | 19750927 | FI 1975-899 | 19750325 |
| FI 63747 | B | 19830429 | | |
| FI 63747 | C | 19830810 | | |
| NO 7501039 | A | 19750929 | NO 1975-1039 | 19750325 |
| NO 146200 | B | 19820510 | | |
| NO 146200 | C | 19820818 | | |
| SE 7503431 | A | 19750929 | SE 1975-3431 | 19750325 |
| SE 420199 | B | 19810921 | | |
| SE 420199 | C | 19820114 | | |
| NL 7503553 | A | 19750930 | NL 1975-3553 | 19750325 |
| NL 183294 | B | 19880418 | | |
| NL 183294 | C | 19880916 | | |
| JP 50135059 | A | 19751025 | JP 1975-36028 | 19750325 |

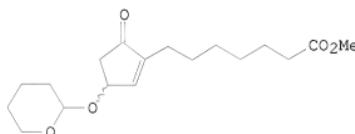
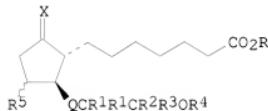
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| JP 58055142 | B | 19831208 | | |
| AU 7579471 | A | 19760930 | AU 1975-79471 | 19750325 |
| GB 1492426 | A | 19771116 | GB 1975-12367 | 19750325 |
| CA 1040197 | A1 | 19781010 | CA 1975-222994 | 19750325 |
| AT 7502273 | A | 19790115 | AT 1975-2273 | 19750325 |
| AT 351684 | B | 19790810 | | |
| IL 46919 | A | 19791230 | IL 1975-46919 | 19750325 |
| HU 174973 | B | 19800428 | HU 1975-SE1775 | 19750325 |
| FR 2274289 | A1 | 19760109 | FR 1975-9523 | 19750326 |
| FR 2274289 | B1 | 19790629 | | |
| PL 100838 | B1 | 19781130 | PL 1975-179076 | 19750326 |
| CH 613443 | A5 | 19790928 | CH 1975-3920 | 19750326 |

PRIORITY APPLN. INFO.:

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 84:121272

GI



AB More than 21 16-hydroxyprostanoic acid derivs. were prepared, which inhibited gastric juice secretion and included I [R = H, Me, or Me2CH; R1 = H or Me; R2 = Me or Bu; R3 = Bu, pentyl, CMe2Pr, C.tplbond.CEt, or cis-CH:CHEt; R4 = H, Ac, Me, or SiEt3; R5 = H, OH, or OAc; Q = C.tplbond.C, CH2CH2, or cis- or trans-CH:CH; X = O or (H, OH)]. In an example, HOCHBuCH2C.tplbond.CH was converted into the Et3Si ether and reductively iodinated to give trans-Et3SiOCHBuCH2CH:CHI, which reacted with BuLi, [(Me3N)3PO]Cu+ C.tplbond.CPr, and II and was then hydrolyzed to give I R = R2 = Me, R1 = R4 = H, R3 = Bu, R5 = OH, Q = trans-CH:CH, X = O].

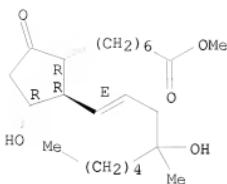
IT 58683-00-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 58683-00-4 CAPLUS

CN Cyclopentaneheptanoic acid, 3-hydroxy-2-[(1E)-4-hydroxy-4-methyl-1-nonenyl]-5-oxo-, methyl ester, (1R,2R,3R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

Double bond geometry as shown.



OS.CITING REF COUNT: 23 THERE ARE 23 CAPLUS RECORDS THAT CITE THIS RECORD (23 CITINGS)

=> log off
ALL L# QUERIES AND ANSWER SETS ARE DELETED AT LOGOFF
LOGOFF? (Y)/N/HOLD:y
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